PCT

WORLD INTELLECTUAL PROPERTY ORGANIZATION International Bureau



INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁷; C07D 213/61, 213/50, 213/26, 213/89, 405/12, 401/12, 417/12, 401/04, 413/06, 413/14, 417/14, 413/04, A01N 43/40

(11) International Publication Number:

WO 00/15615

(43) International Publication Date:

23 March 2000 (23.03.00)

(21) International Application Number:

PCT/EP99/06761

A1

(22) International Filing Date:

13 September 1999 (13.09.99)

(30) Priority Data:

1873/98

15 September 1998 (15.09.98) CH

- (71) Applicant (for all designated States except AT US): NOVAR-TIS AG [CH/CH]; Schwarzwaldallee 215, CH-4058 Başei (CH).
- (71) Applicant (for AT only): NOVARTIS-ERFINDUNGEN VER-WALTUNGSGESELLSCHAFT MBH [AT/AT]; Brunner Strasse 59, A-1230 Vienna (AT).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): EDMUNDS, Andrew [GB/CH]; Hegenbeimerstrasse 66, CH-4055 Basel (CH). SECKINGER, Karl [DE/DE]; Bergstrasse 19, D-79359 Riegel (DE). LÜTHY, Christoph [CH/CH]; Mittelweg 1, CH-4142 Münchenstein (CH). KÜNZ, Walter [CH/CH]; Buchenstrasse 9, Ch-4104 Oberwil (CH). DE MES-MAEKER, Alain [BE/CH]; Ueligasse 31, CH-4444 Kaenerkinden (CH). SCHAETZER, Jürgen [DE/DE]; Holbeinstrasse 1, D-79618 Rheinfelden (DE).

(74) Agent: BECKER, Konrad; Novartis AG, Corporate Intellectual Property, Patent & Trademark Dept., CH-4002 Basel (CH).

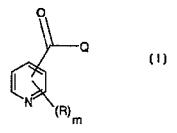
(81) Designated States: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

Published

With international search report.

Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.

(54) Title: PYRIDINE KETONES USEFUL AS HERBICIDES



(57) Abstract

Compounds of formula (I) in which the substituents are as defined in claim 1 are suitable for use as herbicides,

FOR THE PURPOSES OF INFORMATION ONLY

Codes used to identify States party to the PCT on the front pages of pamphlets publishing international applications under the PCT.

	AL.	Albania	ES	Spain	LS	Lesotho	SI	Slovenia
	AM	Armenia	FI	Finland	LT	Lithuania	SK	Slovakia
	AΤ	Austria	FR	France	LŲ	Luxembonrg	SN	Senegal
	AU	Australia	GΛ	Gabon	LV	Latvia	SZ	Swaziland
	λZ	Azerbaijan	GB	United Kingdom	MC	Monaco	TD	Chad
	3A	Bosnia and Herzegovina	GE	Georgia	MĐ	Republic of Moldova	TG	Togo
	BB	Barbados	GH	Ghana	MG	Madagascar	ŢJ	Tajikistan
	RE	Belgium	GN	Guinca	MK	The former Yugoslav	TM	Turkmenistan
	BIF	Burkina Faso	GR	Greece		Republic of Macedonia	TR	Turkey
	BG	Bułgaria	HU	Hungary	ML	Mali	TT	Trinidad and Tobago
	BJ	Benin	ſΕ	Ireland	MN	Mongolia	UA	Ukraine
	BR	Brazil	IL	Israel	MR	Mauritania	UG	Uganda
	BY	Belarus	IS	Iceland	MW	Malawi	US	United States of America
_	CA	Canada	ïГ	Italy	MX	Mexico	UZ	Uzbekistan
	CF	Central African Republic	JP	Japan	NE	Niger	VN	Viet Nam
	CG	Congo	KE	Kenya	NI.	Netherlands	YU	Yugoslavia
	CH	Switzerland	KG	Kyrgyzstan	NO	Norway	zw	Zimbabwe
	CI	Côte d'Ivoire	KP	Democratic People's	NZ	New Zealand		
	CM	Сатегоол		Republic of Korea	PL	Poland		
	CN	China	KR	Republic of Korea	PT	Portugal		
	CU	Cuba	KZ	Kazakstan	RO	Romania		
	CZ	Czech Republic	LC	Saint Lucia	RU	Russian Federation		
	DE	Germany	LI	Liechtenstein	SD	Sudan		
1	DK.	Denmark	LK	Sri Lanka	SE	Sweden		
	BE	Estonia	LR	Liberia	SG	Singapore		

PYRIDINE KETONES USEFUL AS HERBICIDES

The present invention relates to novel herbicidally active pyridine ketones, to processes for their preparation, to compositions which comprise these compounds, and to their use for controlling weeds, in particular in crops of useful plants, or for inhibiting plant growth.

Pyridine ketones having herbicidal action are described, for example, in WO 97/46530. We have now found novel pyridine ketones having herbicidal and growth-inhibiting properties.

The present invention thus provides compounds of the formula I

$$Q \qquad (I)$$

$$(O)p \qquad (R) \qquad m$$

in which

each R independently is C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆haloalkenyl, C₂-C₆alkynyl, C₂-C₆ haloalkynyl, C₃-C₆cycloalkyl, C₁-C₆alkoxy, C₁-C₆haloalkoxy, C₁-C₆alkylthio, C₁-C₆alkylsulfinyl, C₁-C₆alkylsulfonyl, C₁-C₆haloalkyl, C₁-C₆haloalkylthio, C₁-C₆haloalkylsulfinyl, C₁-C₆alkylsulfonyl, C₁-C₆alkoxycarbonyl, C₁-C₆alkylcarbonyl, C₁-C₆alkylamino, di-C₁-C₆alkylamino, C₁-C₆alkylaminosulfonyl, di-C₁-C₆alkylaminosulfonyl, -N(R₁)-S-R₂, -N(R₃)-SO-R₄, -N(R₅)-SO₂-R₆, nitro, cyano, halogen, hydroxyl, amino, formyl, hydroxy-C₁-C₆alkyl, C₁-C₆alkoxy-C₁-C₆alkyl, C₁-C₆alkoxy-C₁-C₆alkyl, C₁-C₆alkyl, C₁-C₆alkylsulfinyl-C₁-C₆alkyl, C₁-C₆alkyl, thiocyanato-C₁-C₆alkyl, cyano-C₁-C₆alkylsulfinyl-C₁-C₆alkyl, C₁-C₆alkylyloxy, C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthio-C₁-C₆alkylthi

be mono- or polysubstituted by halogen, methyl, ethyl, trifluoromethyl, methoxy or nitro, or R is a five- to ten-membered monocyclic or fused bicyclic ring system, which may be aromatic or partially saturated and may contain 1 to 4 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur, where the ring system is either attached directly to the pyridine ring or attached to the pyridine ring via a C₁-C₄alkylene group, and where each ring system may not contain more than 2 oxygen atoms and not more than two sulfur atoms, and where the ring system for its part may be mono-, di- or trisubstituted by C₁-Cealkyi, C1-Cehaloalkyi, C3-Cealkenyi, C3-Cehaloalkenyi, C3-Cealkynyi, C3-Cehaloalkynyi, C1-Cealkoxy, C1-Ce haloalkoxy, C3-Cealkenyloxy, C3-Cealkynyloxy, mercapto, C1-Cealkylthio, C1-C₆haloalkylthio, C₃-C₆alkenylthio, C₃-C₆haloalkenylthio, C₃-C₆alkynylthio, C₂-C₅aikoxyalkylthio, C₃-C₅acetylalkylthio, C₃-C₅alkoxycarbonylalkylthio, C₂-C₄cyanoalkylthio. C₁-C₆alkylsulfinyl, C₁-C₆haloalkylsulfinyl, C₁-C₆alkylsulfonyl, C₁-C₆haloalkylsulfonyl, aminosulfonyl, C₁-C₂ alkylaminosulfonyl, C₂-C₄dialkylaminosulfonyl, C₁-C₃alkylene-R₇, NR₈R₉, halogen, cyano, nitro, phenyl and benzylthio, where phenyl and benzylthio for their part may be substituted on the phenyl ring by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, halogen, cyano or nitro, and where substituents on the nitrogen in the heterocyclic ring are different from halogen;

m is 1, 2, 3 or 4;

p is 0 or 1;

R₁, R₂ and R₅ independently of one another are hydrogen or C₁-C₆alkyl;

R₂ is NR₁₀R₁₁, C₁-C₆alkoxy, C₁-C₆haloalkoxy, C₁-C₆alkyl, C₁-C₆haloalkyl, C₃-C₆alkenyl, C₃-C₆haloalkynyl, C₃-C₆cycloalkyl or phenyl, where phenyl for its part may be substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, halogen, cyano or nitro;

R₄ is NR₁₂R₁₃, C₁-C₆alkoxy, C₁-C₆hałoałkoxy, C₁-C₆alkyl, C₁-C₆haloałkyl, C₃-C₆alkenyl, C₃-C₆ haloałkenyl, C₃-C₆cycłoalkyl or phenyl, where phenyl for its part may be substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, halogen, cyano or nitro;

 R_6 is $NR_{14}R_{15}$, C_1 - C_6 alkoxy, C_1 - C_6 haloalkoxy, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_3 - C_6 alkenyl, C_3 - C_6 alkynyl, C_3 - C_6 cycloalkyl or phenyl, where phenyl for its part may be substituted by C_1 - C_3 alkyl, C_1 - C_3 haloalkyl, C_1 - C_3 alkoxy, C_1 - C_3 haloalkoxy, halogen, cyano or nitro;

 R_7 is C_1 - C_3 alkoxy, C_2 - C_4 alkoxycarbonyl, C_1 - C_3 alkylthio, C_1 - C_3 alkylsulfinyl, C_1 - C_3 alkylsulfinyl, C_1 - C_3 alkyl, C_1 - C_3 alkyl, C_1 - C_3 alkyl, C_1 - C_3 alkoxy, C_1 - C_3 haloalkoxy, halogen, cyano or nitro;

 R_8 , R_{10} , R_{12} and R_{14} independently of one another are hydrogen or C_1 - C_6 alkyl; R_9 , R_{11} , R_{13} and R_{15} independently of one another are C_1 - C_6 alkyl or C_1 - C_6 alkoxy; Q is the group Q_1

in which

R₁₆, R₁₇, R₁₈ and R₁₉ independently of one another are hydrogen, hydroxyl, C₁-C₄alkyl, C₂-C₆ alkenyl, C₂-C₆alkynyl, C₁-C₄alkoxycarbonyl, C₁-C₆alkylthio, C₁-C₆alkylsulfinyl, C₁-C₆ alkylsulfonyl, C₁-C₄alkyl-NHS(O)₂, C₁-C₄haloalkyl, -NH-C₁-C₄alkyl, -N(C₁-C₄alkyl)₂, C₁-C₆ alkoxy, cyano, nitro, halogen or phenyl, which for its part may be substituted by C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy, C₁-C₄alkylcarbonyl, C₁-C₄alkoxycarbonyl, amino, C₁-C₄alkylamino, di-C₁-C₄alkylamino, C₁-C₆alkylthio, C₁-C₆alkylsulfinyl, C₁-C₆ alkylsulfonyl, C₁-C₄alkyl-S(O)₂O, C₁-C₄haloalkylthio, C₁-C₄haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄haloalkyl-S(O)₂NH, C₁-C₄alkyl-S(O)₂N(C₁-C₄alkyl), halogen, nitro, COOH or cyano; or two adjacent substituents from the group consisting of R₁₆, R₁₇, R₁₈ and R₁₉ form a C₂-C₆alkylene bridge;

 $R_{20} \text{ is hydroxyl, O'M}^{+}, \text{ halogen, cyano, SCN, OCN, } C_{1}\text{-}C_{12}\text{alkoxy, } C_{1}\text{-}C_{4}\text{alkoxycarbonyl-}C_{1}\text{-}C_{4}\text{alkoxy, } C_{1}\text{-}C_{12}\text{alkylthio, } C_{1}\text{-}C_{12}\text{alkylsulfinyl, } C_{1}\text{-}C_{12}\text{alkylsulfonyl, } C_{1}\text{-}C_{12}\text{haloalkylsulfinyl, } C_{1}\text{-}C_{12}\text{alkylsulfonyl, } C_{1}\text{-}C_{6}\text{alkoxy-}C_{1}\text{-}C_{6}\text{alkoxy-}C_{1}\text{-}C_{6}\text{alkoxy-}C_{1}\text{-}C_{6}\text{alkoxy-}C_{1}\text{-}C_{6}\text{alkoxy-}C_{1}\text{-}C_{6}\text{alkoxy-}C_{1}\text{-}C_{6}\text{alkoxy-}C_{1}\text{-}C_{6}\text{alkoxy-}C_{1}\text{-}C_{6}\text{alkoxy-}C_{1}\text{-}C_{6}\text{alkoxy-}C_{1}\text{-}C_{6}\text{alkoxy-}C_{1}\text{-}C_{6}\text{alkoxy-}C_{1}\text{-}C_{6}\text{alkoxy-}C_{12}\text{-}C_{12}\text{alkenylsulfinyl, } C_{2}\text{-}C_{12}\text{alkenylsulfinyl, } C_{2}\text{-}C_{12}\text{alkenylsulfinyl, } C_{2}\text{-}C_{12}\text{alkynylsulfonyl, } C_{2}\text{-}C_{12}\text{alkoxycarbonyl-}C_{1}\text{-}C_{4}\text{alkoxycarbonyl-}C_{1}\text{-}C_{4}\text{alkoxycarbonyl-}C_{1}\text{-}C_{4}\text{alkoxycarbonyl-}C_{1}\text{-}C_{4}\text{alkoxy})P(Q)O, H(C_{1}\text{-}C_{4}\text{alkoxy})P(Q)O, H(C_{1}\text{-}C_{4}\text{-$

 $R_{37}R_{38}N$, $R_{71}R_{72}NNH$ -, $R_{21}R_{22}NC(O)O$ -, $R_{73}R_{74}NC(O)NH$ -, C_1 - C_4 aikyl- $S(O)_2NR_{39}$, C_1 - C_4 haloaikyl- $S(O)_2O$, C_1 - C_4 alkyl- $S(O)_2O$, C_1 - C_4 haloaikyl- $S(O)_2O$, C_1 - C_{18} alkylcarbonyloxy, where the alkyl group may be substituted by halogen, C_1 - C_6 alkoxy, C_1 - C_6 alkylthio or cyano,

WO 00/15615

 C_2 - C_{18} alkenylcarbonyloxy, C_2 - C_{18} aikynylcarbonyloxy, C_3 - C_6 cycloalkylcarbonyloxy, C_1 - C_{12} alkoxycarbonyloxy, C_1 - C_{12} alkylthiocarbonyloxy, C_1 - C_{12} alkylthiocarbamoyl, C_1 - C_6 alkyl-NH-, di- C_1 - C_6 alkyl-N(CS)N(C_1 - C_6 alkyl)-NH-, benzyloxy, benzylthio, benzylsulfinyl, benzylsulfonyl, phenoxy, phenylthio, phenylsulfinyl, phenylsulfonyl, phenylsulfonyl, phenylsulfonyloxy or benzoyloxy, where the phenyl groups for their part may each be substituted by C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkylamino, C_1 - C_4 alkylamino, C_1 - C_4 alkylamino, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkyl-S(O)₂O, C_1 - C_4 haloalkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 haloalkylsulfonyl, C_1 - C_4 haloalkyl-S(O)₂O, C_1 - C_4 alkyl-S(O)₂NH, C_1 - C_4 alkyl-S(O)₂N(C_1 - C_4 alkyl), halogen, nitro or cyano,

or a group Ar₁-thio, Ar₂-sulfinyl, Ar₃-sulfonyl, -OCO-Ar₄ or NH-Ar₅ in which Ar₁, Ar₂, Ar₃, Ar₄ and Ar₅ independently of one another are a five- to ten-membered monocyclic or fused bicyclic ring system which may be aromatic or partially saturated and may contain 1 to 4 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur, and in which each ring system may not contain more than 2 oxygen atoms and not more than two sulfur atoms, and in which the ring system for its part may be mono-, di- or trisubstituted by C₁-C₆alkyl, C₁-C₆haloalkyl, C₃-C₆alkenyl, C₃-C₆haloalkenyl, C₃-C₆alkynyl, C₃-C₆haloalkynyl, C₁-C₆alkoxy, C₁-C₆haloalkoxy, C₃-C₆alkenyloxy, C₃-C₆alkynyloxy, mercapto, C₁-C₆alkylthio. C₁-C₆haloalkylthio, C₃-C₆alkenylthio, C₃-C₆haloalkenylthio, C₃-C₆alkynylthio, C₂-C₅ alkoxyalkylthio, C₃-C₅acetylalkylthio, C₃-C₆alkoxycarbonylalkylthio, C₂-C₄cyanoalkylthio, C₁-Cealkylsulfinyl, C1-Cehaloalkylsulfinyl, C1-Cealkylsulfonyl, C1-Cehaloalkylsulfonyl, aminosulfonyl, C₁-C₂alkylaminosulfonyl, C₂-C₄dialkylaminosulfonyl, C₁-C₃alkylene-R₄₁. NR₄₂R₄₃, halogen, cyano, nitro, phenyl and benzylthio, where phenyl and benzylthio for their part may be substituted on the phenyl ring by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, haiogen, cyano or nitro, and where substituents on the nitrogen in the heterocyclic ring are different from halogen;

R₄₁ is C₁-C₃alkoxy, C₂-C₄alkoxycarbonyl, C₁-C₃alkylthio, C₁-C₃alkylsulfinyl, C₁-C₃ alkylsulfonyl or phenyl, where phenyl for its part may be substituted by C₁-C₃alkyl, C₁-C₃ haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, halogen, cyano or nitro;

R₄₂ is hydrogen or C₁-C₆alkyl;

 R_{43} is C_1 - C_6 alkyl or C_1 - C_6 alkoxy;

 R_{21} , R_{37} , R_{39} , R_{40} , R_{71} and R_{73} independently of one another are hydrogen or C_1 - C_4 alkyl; R_{22} , R_{38} , R_{72} and R_{74} independently of one another are hydrogen, C_1 - C_{12} alkyl, hydroxyl, C_1 - C_{12} alkoxy, C_3 - C_6 alkenyloxy or C_3 - C_6 alkynyloxy; or R_{21} and R_{22} together or R_{37} and R_{38}

together or R_{71} and R_{72} together or R_{73} and R_{74} together are pyrrolidino, piperidino, morpholino, thiomorpholino, which may be mono- or polysubstituted by methyl groups; or are the group Q_2

in which

Y is a chemical bond, an alkylene group A₁, carbonyl, oxygen, sulfur, sulfinyl, sulfonyl, -NHR₂₄₈ or NH(CO)R₂₄₉;

 A_1 is $C(R_{246}R_{247})_{m_{01}}$;

A is $C(R_{244}R_{245})_{1}$;

r and mon independently of one another are 0, 1 or 2;

R₂₄₀ is hydrogen, methyl or C₁-C₃alkoxycarbonyl;

R₂₄₁, R₂₄₂, R₂₄₃, R₂₄₄, R₂₄₅, R₂₄₆ and R₂₄₇ independently of one another are hydrogen, halogen or methyl, or R₂₄₃ together with an adjacent group R₂₄₅ or R₂₄₇ is a chemical bond; R₂₄₈ and R₂₄₉ independently of one another are hydrogen or C₁-C₄alkyl;

R₂₃ is hydroxyl, O⁻M⁺, halogen, cyano, SCN, OCN, C₁-C₁₂alkoxy, C₁-C₄alkoxycarbonyl-C₁-C₄ alkoxy, C₁-C₁₂alkylthio, C₁-C₁₂alkylsulfinyl, C₁-C₁₂alkylsulfonyl, C₁-C₁₂haloalkylthio, C₁-C₁₂ haloalkylsulfinyl, C₁-C₁₂alkylsulfonyl, C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkylsulfonyl, C₂-C₁₂alkenylsulfinyl, C₂-C₁₂alkenylsulfinyl, C₂-C₁₂alkenylsulfinyl, C₂-C₁₂alkenylsulfonyl, C₂-C₁₂alkynylsulfinyl, C₂-C₁₂alkynylsulfonyl, C₂-C₁₂ haloalkenylthio, C₂-C₁₂haloalkenylsulfinyl, C₂-C₁₂haloalkenylsulfonyl, C₁-C₄alkoxycarbonyl-C₁-C₄alkylthio, C₁-C₄alkoxycarbonyl-C₁-C₄alkylsulfonyl, (C₁-C₄alkoxy)₂P(O)O, C₁-C₄alkyl-(C₁-C₄alkoxy)P(O)O, H(C₁-C₄alkoxy)P(O)O,

 $R_{44}R_{45}N$, $R_{75}R_{76}NNH$ -, $R_{46}R_{47}NC(O)O$ -, $R_{77}R_{78}NC(O)NH$ -, C_1 - C_4 alkyl- $S(O)_2NR_{48}$, C_1 - C_4 haloalkyl- $S(O)_2NR_{49}$, C_1 - C_4 alkyl- $S(O)_2O$, C_1 - C_4 haloalkyl- $S(O)_2O$, C_1 - C_{18} alkylcarbonyloxy, where the alkyl group may be substituted by halogen, C_1 - C_6 alkoxy, C_1 - C_6 alkylthio or cyano, C_2 - C_{18} alkenylcarbonyloxy, C_2 - C_{18} alkynylcarbonyloxy, C_3 - C_6 cycloalkylcarbonyloxy, C_1 - C_{12} alkoxycarbonyloxy, C_1 - C_{12} alkylthiocarbonyloxy, C_1 - C_{12} alkylthiocarbonyloxy, C_1 - C_6 alkyl-NH-, di-NH-, di-NH-, di-NH-, di-NH-, di-NH-, di-NH-, di-NH-, di-NH-, benzyloxy, benzylthio,

benzylsulfinyl, benzylsulfonyl, phenoxy, phenylthio, phenylsulfinyl, phenylsulfonyl, phenylsulfonyl, phenylsulfonyl, phenylsulfonyl, phenzylsulfonyl, phenzyls

or a group Ar₆-thio, Ar₇-sulfinyl, Ar₈-sulfonyl, -OCO-Ar₉ or NH-Ar₁₀ in which Ar₆, Ar₇, Ar₈, Ar₉ and Ar₁₀ independently of one another are a five- to ten-membered monocyclic or fused bicyclic ring system which may be aromatic or partially saturated and may contain 1 to 4 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur, and in which each ring system may not contain more than 2 oxygen atoms and not more than two sulfur atoms, and in which the ring system for its part may be mono-, di- or trisubstituted by C₁-C₆alkyl, C₁-C₆haloalkyl, C₃-C₆alkenyl, C₃-C₆haloalkenyl, C₃-C₆alkynyl, C₃-C₆haloalkynyl, C₁-C₆alkoxy, C₁-C₆haloalkoxy, C₃-C₆alkenyloxy, C₃-C₆alkynyloxy, mercapto, C₁-C₆alkylthio, C₁-C₆haloalkylthio, C₃-C₆alkenylthio, C₃-C₆haloalkenylthio, C₃-C₆alkynylthio, C₂-C₅ alkoxyalkylthio, C₃-C₅acetylalkylthio, C₃-C₆alkoxycarbonylalkylthio, C₂-C₄cyanoalkylthio, C₄-Cealkylsulfinyl, C₁-Cehaloalkylsulfinyl, C₁-Cealkylsulfonyl, C₁-Cehaloalkylsulfonyl, aminosulfonyl, C₁-C₂aikylaminosulfonyl, C₂-C₄dialkylaminosulfonyl, C₁-C₃aikylene-R₅o₁ NR₅, R₅₂, halogen, cyano, nitro, phenyl and benzylthio, where phenyl and benzylthio for their part may be substituted on the phenyl ring by C1-C3alkyl, C1-C3haloalkyl, C1-C3alkoxy, C1-C₃haloalkoxy, halogen, cyano or nitro, and where substituents on the nitrogen in the heterocyclic ring are different from halogen;

 R_{50} is C_1 - C_3 alkoxy, C_2 - C_4 alkoxycarbonyl, C_1 - C_3 alkylthio, C_1 - C_3 alkylsulfinyl, C_1 - C_3 alkylsulfonyl or phenyl, where phenyl for its part may be substituted by C_1 - C_3 alkyl, C_1 - C_3 haloalkyl, C_1 - C_3 alkoxy, C_1 - C_3 haloalkoxy, halogen, cyano or nitro;

R₅₁ is hydrogen or C₁-C₅alkyl;

R₅₂ is C₁-C₆alkyl or C₁-C₆alkoxy;

 R_{46} , R_{44} , R_{48} , R_{49} , R_{75} and R_{77} independently of one another are hydrogen or C_1 - C_4 alkyl; R_{47} , R_{45} , R_{76} and R_{78} independently of one another are hydrogen, C_1 - C_{12} alkyl, hydroxyl, C_1 - C_{12} alkoxy, C_3 - C_6 alkenyloxy or C_3 - C_6 alkynyloxy; or R_{44} and R_{45} together or R_{46} and R_{47} together or R_{75} and R_{76} together or R_{77} and R_{78} together are pyrrolidino, piperidino, morpholino, thiomorpholino, which may be mono- or polysubstituted by methyl groups; or are the group Q_3

in which

R₂₆ is hydroxyl, O'M*, halogen, cyano, SCN, OCN, C₁-C₁₂ alkoxy, C₁-C₄ alkoxycarbonyl-C₁-C₄ alkoxy, C₁-C₁₂ alkylsulfinyl, C₁-C₁₂ alkylsulfinyl, C₁-C₁₂ alkylsulfinyl, C₁-C₁₂ haloalkylsulfinyl, C₁-C₁₂ haloalkylsulfinyl, C₁-C₆ alkoxy-C₁-C₆ alkylsulfinyl, C₁-C₆ alkoxy-C₁-C₆ alkylsulfinyl, C₂-C₁₂ alkenylsulfinyl, C₂-C₁₂ alkenylsulfinyl, C₂-C₁₂ alkenylsulfinyl, C₂-C₁₂ alkenylsulfinyl, C₂-C₁₂ alkenylsulfinyl, C₂-C₁₂ alkenylsulfinyl, C₂-C₁₂ haloalkenylsulfinyl, C₂-C₁₂ haloalkenylsulfinyl, C₂-C₁₂ haloalkenylsulfinyl, C₁-C₄ alkoxycarbonyl-C₁-C₄ alkylsulfinyl, C₁-C₄ alkoxycarbonyl-C₁-C₄ alkylsulfonyl, (C₁-C₄ alkoxy)₂ P(O)O, C₁-C₄ alkyl-(C₁-C₄ alkoxy) P(O)O, H(C₁-C₄ alkoxy) P(O)O,

 $R_{53}R_{54}N,\ R_{79}R_{80}NNH-,\ R_{55}R_{56}NC(O)O-,\ R_{81}R_{82}NC(O)NH-,\ C_1-C_4alkyl-S(O)_2NR_{57},\ C_1-C_4alkyl-S(O)_2NR_{58},\ C_1-C_4alkyl-S(O)_2O,\ C_1-C_4haloalkyl-S(O)_2O,\ C_1-C_{18}alkylcarbonyloxy,\ where the alkyl group may be substituted by halogen,\ C_1-C_6alkoxy,\ C_1-C_6alkylthio or cyano,\ C_2-C_{19}alkenylcarbonyloxy,\ C_2-C_{18}alkynylcarbonyloxy,\ C_3-C_6cycloalkylcarbonyloxy,\ C_1-C_{12}\ alkoxycarbonyloxy,\ C_1-C_{12}alkylthiocarbonyloxy,\ C_1-C_{12}alkylthiocarbamoyl,\ C_1-C_6alkyl-NH(CS)N(C_1-C_6alkyl)-NH-,\ benzyloxy,\ benzylthio,\ benzylsulfinyl,\ benzylsulfonyl,\ phenoxy,\ phenylthio,\ phenylsulfinyl,\ phenylsulfonyl,\ phenylsulfonyl,\ phenylsulfonyl,\ phenylsulfonyl,\ phenylsulfonyl,\ phenylsulfonyl,\ C_1-C_4alkyl,\ C_1-C_4alkoxy,\ C_1-C_4haloalkoxy,\ C_1-C_4alkylcarbonyl,\ C_1-C_4alkylsulfinyl,\ C_1-C$

or a group Ar₁₁-thio, Ar₁₂-sulfinyl, Ar₁₃-sulfonyl, -OCO-Ar₁₄ or NH-Ar₁₅ in which Ar₁₁, Ar₁₂, Ar₁₃, Ar₁₄ and Ar₁₅ independently of one another are a five- to ten-membered monocyclic or fused bicyclic ring system which may be aromatic or partially saturated and may contain 1 to 4 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur, and in

which each ring system may not contain more than 2 oxygen atoms and not more than two sulfur atoms, and in which the ring system for its part may be mono-, di- or trisubstituted by C₁-C₆alkyl, C₁-C₆haloalkyl, C₃-C₆alkenyl, C₃-C₆haloalkenyl, C₃-C₆alkynyl, C₃-C₆haloalkylyl, C₁-C₆haloalkoxy, C₁-C₆haloalkoxy, C₃-C₆alkenyloxy, C₃-C₆alkynyloxy, mercapto, C₁-C₆alkylthio, C₁-C₆haloalkylthio, C₃-C₆alkenylthio, C₃-C₆haloalkenylthio, C₃-C₆alkynylthio, C₂-C₅ alkoxyalkylthio, C₃-C₅acetylalkylthio, C₃-C₆alkoxycarbonylalkylthio, C₂-C₄cyanoalkylthio, C₁-C₆alkylsulfinyl, C₁-C₆haloalkylsulfinyl, C₁-C₆haloalkylsulfinyl, aminosulfonyl, C₁-C₆alkylsulfonyl, C₁-C₆alkylsulfonyl, C₁-C₃alkylene-R₅₉, NR₆₀R₆₁, halogen, cyano, nitro, phenyl and benzylthio, where phenyl and benzylthio for their part may be substituted on the phenyl ring by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, halogen, cyano or nitro, and where substituents on the nitrogen in the heterocyclic ring are different from halogen;

 R_{59} is C_1 - C_3 alkoxy, C_2 - C_4 alkoxycarbonyl, C_1 - C_3 alkylthio, C_1 - C_3 alkylsulfinyl, C_1 - C_3 alkylsulfonyl or phenyl, where phenyl for its part may be substituted by C_1 - C_3 alkyl, C_1 - C_3 haloalkyl, C_1 - C_3 alkoxy, C_1 - C_3 haloalkoxy, halogen, cyano or nitro;

R₆₀ is hydrogen or C₁-C₆alkyl;

R₆₁ is C₁-C₆alkyl or C₁-C₆alkoxy;

 R_{55} , R_{57} , R_{58} , R_{79} and R_{61} independently of one another are hydrogen or C_1 -C₄aikyl; R_{56} , R_{54} , R_{80} and R_{82} independently of one another are hydrogen, C_1 -C₁₂alkyl, hydroxyl, C_1 -C₁₂alkoxy, C_3 -C₆alkenyloxy or C_3 -C₆alkynyloxy; or R_{53} and R_{54} together or R_{55} and R_{56} together or R_{79} and R_{80} together or R_{81} and R_{82} together are pyrrolidino, piperidino, morpholino, thiomorpholino, which may be mono- or polysubstituted by methyl groups; R_{29} is hydrogen, C_1 -C₆alkyl, C_1 -C₄alkylcarbonyl, C_1 -C₄alkoxycarbonyl, $(C_1$ -C₄alkyl)NHCO, phenylaminocarbonyl, benzylaminocarbonyl or $(C_1$ -C₄alkyl)₂NCO, where the phenyl and benzyl groups for their part may each be substituted by C_1 -C₄alkyl, C_1 -C₄haloalkyl, C_1 -C₄alkylcarbonyl, C_1 -C₄alkoxycarbonyl, C_1 -C₄alkylamino, di-C₁-C₄alkylamino, C_1 -C₄alkylamino, C_1 -C₄alkylsulfinyl, C_1 -C₄alkylsulfonyl, C_1 -C₄alkyl-S(O)₂O, C_1 -C₄alkylamino, C_1 -C₄alkylsulfinyl, C_1 -C₄alkylsulfonyl, C_1 -C₄haloalkyl-S(O)₂O, C_1 -C₄alkyl-S(O)₂NH, C_1 -C₄alkyl-S(O)₂N(C₁-C₄alkyl), halogen, nitro or cyano; or is the group Q_4

in which

 R_{30} is hydroxyl, O'M*, halogen, cyano, SCN, OCN, C_1 - C_{12} alkoxy, C_1 - C_4 alkoxycarbonyl- C_1 - C_4 alkoxy, C_1 - C_{12} alkylthio, C_1 - C_{12} alkylsulfinyl, C_1 - C_{12} alkylsulfinyl, C_1 - C_{12} haloalkylsulfinyl, C_1 - C_6 alkoxy- C_1 - C_6 alkylsulfonyl, C_2 - C_{12} alkenylthio, C_2 - C_{12} alkenylsulfonyl, C_2 - C_{12} alkynylthio, C_2 - C_{12} alkynylsulfonyl, C_2 - C_{12} alkynylsulfonyl, C_2 - C_{12} alkoxycarbonyl- C_1 - C_4 alkylthio, C_1 - C_4 alkoxycarbonyl- C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkoxycarbonyl- C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkoxy) C_1 - C_4 - C_4 alkoxy) C_1 - C_4 - C_4 alkoxy) C_1 - C_4 - $C_$

 $R_{62}R_{63}N,\ R_{83}R_{84}NNH-,\ R_{64}R_{65}NC(O)O-,\ R_{85}R_{86}NC(O)NH-,\ C_1-C_4alkyl-S(O)_2NR_{66},\ C_1-C_4alkyl-S(O)_2NR_{67},\ C_1-C_4alkyl-S(O)_2O,\ C_1-C_4haloalkyl-S(O)_2O,\ C_1-C_{18}alkylcarbonyloxy,\ where the alkyl group may be substituted by halogen,\ C_1-C_6alkoxy,\ C_1-C_6alkylthio\ or\ cyano,\ C_2-C_{18}alkenylcarbonyloxy,\ C_2-C_{18}alkynylcarbonyloxy,\ C_3-C_6cycloalkylcarbonyloxy,\ C_1-C_{12}\ alkoxycarbonyloxy,\ C_1-C_{12}alkylthiocarbonyloxy,\ C_1-C_{12}alkylthiocarbamoyl,\ C_1-C_6alkyl-NH(CS)N(C_1-C_6alkyl)-NH-,\ di-C_1-C_6alkyl-N(CS)N(C_1-C_6alkyl)-NH-,\ benzyloxy,\ benzylthio,\ benzylsulfinyl,\ benzylsulfonyl,\ phenoxy,\ phenylthio,\ phenylsulfinyl,\ phenylsulfonyl,\ phenylsulfonyloxy\ or\ benzoyloxy,\ where the phenyl groups for\ their part may each be substituted by <math display="inline">C_1$ -C_4alkyl,\ C_1-C_4alkoxy,\ C_1-C_4alkoxy,\ C_1-C_4alkylcarbonyl,\ C_1-C_4alkylsulfonyl,\ C_1-C_4alkylsulfonyl,\ C_1-C_4alkylsulfinyl,\ C_1-C_4alkylsulfonyl,\ C_1-C_4alkylsulfinyl,\ C_1-C_4alkylsulfinyl,\ C_1-C_4alkylsulfinyl,\ C_1-C_4alkylsulfonyl,\ C_1-C_4alkylsulfinyl,\ C_1-C_4alkylsulfonyl,\ C_1-C_4alkyls

or a group Ar₁₆-thio, Ar₁₇-sulfinyl, Ar₁₈-sulfonyl, -OCO-Ar₁₉ or NH-Ar₂₀ in which Ar₁₆, Ar₁₇, Ar₁₈, Ar₁₉ and Ar₂₀ independently of one another are a five- to ten-membered monocyclic or fused bicyclic ring system which may be aromatic or partially saturated and may contain 1 to 4 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur, and in

which each ring system may not contain more than 2 oxygen atoms and not more than two sulfur atoms, and in which the ring system for its part may be mono-, di- or trisubstituted by C₁-C₆alkyl, C₁-C₆haloalkyl, C₃-C₆alkenyl, C₃-C₆haloalkenyl, C₃-C₆alkynyl, C₃-C₆haloalkynyl, C₁-C₆alkoxy, C₁-C₆haloalkoxy, C₃-C₆alkenyloxy, C₃-C₆alkynyloxy, mercapto, C₁-C₆alkylthio, C₁-C₆haloalkylthio, C₃-C₆alkenylthio, C₃-C₆alkynylthio, C₃-C₆alkynylthio, C₂-C₅ alkoxyalkylthio, C₃-C₆alkenylthio, C₃-C₆alkoxycarbonylalkylthio, C₂-C₄cyanoalkylthio, C₁-C₆alkylsulfinyl, C₁-C₆haloalkylsulfinyl, C₁-C₆haloalkylsulfinyl, C₁-C₆haloalkylsulfonyl, aminosulfonyl, C₁-C₆haloalkylsulfinyl, C₁-C₆alkylaminosulfonyl, C₁-C₃alkylaminosulfonyl, C₁-C₃alkylaminosulfonyl, C₁-C₃alkylene-R₆₈, NR₆₉R₇₀, halogen, cyano, nitro, phenyl and benzylthio, where phenyl and benzylthio for their part may be substituted on the phenyl ring by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, halogen, cyano or nitro, and where substituents on the nitrogen in the heterocyclic ring are different from halogen;

R_{ss} is C₁-C₃alkoxy, C₂-C₄alkoxycarbonyl, C₁-C₃alkylthio, C₁-C₃alkylsulfinyl, C₁-C₃alkylsulfonyl or phenyl, where phenyl for its part may be substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃ alkoxy, C₁-C₃haloalkoxy, halogen, cyano or nitro;

R₇₀ is hydrogen or C₁-C₆alkyl;

R₈₁ is C₁-C₆alkyl or C₁-C₆alkoxy;

R₆₄, R₆₂, R₆₅, R₆₇, R₈₃ and R₆₅ independently of one another are hydrogen or C₁-C₄alkyl; R₆₅, R₆₃, R₈₄ and R₈₆ independently of one another are hydrogen, C₁-C₁₂alkyl, hydroxyl, C₁-C₁₂alkoxy, C₃-C₆alkenyloxy or C₃-C₆alkynyloxy; or R₆₂ and R₆₃ together or R₆₄ and R₆₅ together or R₈₃ and R₈₄ together or R₈₅ and R₈₆ together are pyrrolidino, piperidino, morpholino, thiomorpholino, which may be mono- or polysubstituted by methyl groups; R₃₃ and R₃₄ independently of one another are hydrogen, C₁-C₄alkyl, C₂-C₆alkenyl, C₂-C₆ alkynyl, C₁-C₄alkoxycarbonyl, C₁-C₆alkylthio, C₁-C₆alkylsulfinyl, C₁-C₆alkylsulfonyl, C₁-C₄alkyl-NHS(O)₂, C₁-C₄haloalkyl, -NH-C₁-C₄alkyl, -N(C₁-C₄alkyl)₂, C₁-C₆alkoxy or phenyl, which for its part may be substituted by C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy, C₁-C₄alkoxy, C₁-C₄alkoxycarbonyl, amino, C₁-C₄alkylamino, di-C₁-C₄alkylamino, C₁-C₆alkylsulfinyl, C₁-C₆alkylsulfinyl, C₁-C₆alkylsulfinyl, C₁-C₆alkylsulfinyl, C₁-C₄haloalkylsulfinyl, C₁-C₄haloalkylsulfiny

R₃₅ is hydrogen, C₁-C₆alkyl, C₃-C₆alkenyl, C₃-C₆alkynyl or benzyl, which for its part may be substituted by halogen, methyl or methoxy, or is C₁-C₄alkoxycarbonyl or phenyl, which for its part may be substituted by C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy, C₁-C₄haloalkoxy, C₁-C₄

alkylcarbonyl, C_1 - C_4 alkoxycarbonyl, amino, C_1 - C_4 alkylamino, di- C_1 - C_4 alkylamino, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkyl- $S(O)_2O$, C_1 - C_4 haloalkylthio, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 haloalkyl- $S(O)_2O$, C_1 - C_4 alkyl- $S(O)_2$ NH, C_1 - C_4 alkyl- $S(O)_2$ N(C_1 - C_4 alkyl), halogen, nitro, COOH or cyano; or is the group Q_5

$$Z-R_{01}$$
 Q_5

in which

Z is S, SO or SO₂:

R₀₁ is hydrogen, C₁-C₈alkyl, C₁-C₈alkyl substituted by halogen, C₁-C₄alkoxy, C₁-C₄alkylthio. C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkylsulfinyl, $-CO_2R_{02}$, $-COR_{03}$, $-COSR_{04}$, $-NR_{05}R_{06}$, $-CONR_{036}R_{037}$ or phenyl, which for its part may be substituted by C1-C4alkyl, C1-C6haloalkyl, C1-C4alkoxy, C1- C_4 haloalkoxy, C_2 - C_6 alkenyl, C_3 - C_6 alkynyl, C_3 - C_6 alkenyloxy, C_3 - C_6 alkynyloxy, halogen, nitro, cyano, -COOH, COOC1-C4alkyl, COOphenyl, C1-C4alkoxy, phenoxy, (C1-C4alkoxy)-C1-C4 alkyl, (C_1 - C_4 alkylthio)- C_1 - C_4 alkyi, (C_1 - C_4 alkylsulfinyi)- C_1 - C_4 alkyl, (C_1 - C_4 alkylsulfonyl)- C_1 - C_4 alkyl, NHSO₂-C₁-C₄alkyl, NHSO₂-phenyl, N(C₁-C₆alkyl)SO₂-C₁-C₄alkyl, N(C₁-C₆alkyl)SO₂phenyl, N(C2-C6alkenyl)SO2-C1-C4alkyl, N(C2-C6alkenyl)SO2-phenyl, N(C3-C6alkynyl)SO2-C1-C4alkyl, N(C3-C6alkynyl)SO2-phenyl, N(C3-C7cycloalkyl)SO2-C1-C4alkyl, N(C3-C7 cycloalkyi)SO₂-phenyl, N(phenyl)SO₂-C₁-C₄alkyl, N(phenyl)SO₂-phenyl, OSO₂-C₁-C₄alkyl, CONR₂₅R₂₆, OSO₂-C₁-C₄haloalkyl, OSO₂-phenyl, C₁-C₄alkylthio, C₁-C₄haloalkylthio, phenylthio, C₁-C₄alkylsulfonyl, C₁-C₄haloalkylsulfonyl, phenylsulfonyl, C₁-C₄alkylsulfinyl, C₁-C₄haloalkylsulfinyl, phenylsulfinyl, C₁-C₄alkylene-phenyl or -NR₀₁₅CO₂R₀₂₇; or Ro1 is C2-Cealkenyl or C2-Cealkenyl substituted by halogen, C1-C4alkoxy, C1-C4alkylthio, C₁-C₄alkylsulfonyl, C₁-C₄alkylsulfinyl, -CONR₀₃₂R₀₃₃, cyano, nitro, -CHO, -CO₂R₀₃₆, -COR₀₃₉, -COS-C1-C4alkyl, -NR034R035 or phenyl which for its part may be substituted by C1-C4alkyl, C1-C6haloalkyl, C1-C4aikoxy, C1-C4haloaikoxy, C2-C6alkenyl, C3-C6alkynyl, C3-C6alkenyloxy, C₃-C₆alkynyloxy, halogen, nitro, cyano, -COOH, COOC₁-C₄alkyl, GOOphenyl, C₁-C₄alkoxy, phenoxy, (C1-C4aikoxy)-C1-C4alkyl, (C1-C4alkylthio)-C1-C4alkyl, (C1-C4alkylsulfinyl)-C1-C4alkyl, (C1-C4alkylsulfonyl)-C1-C4alkyl, NHSO2-C1-C4alkyl, NHSO2-phenyl, N(C1-C5alkyl)SO2-C1-C4 alkyl, N(C₁-C₆alkyl)SO₂-phenyl, N(C₂-C₆alkenyl)SO₂-C₁-C₄alkyl, N(C₂-C₆alkenyl)SO₂-phenyl, N(C₃-C₆alkynyl)SO₂-C₁-C₄alkyl, N(C₃-C₆alkynyl)SO₂-phenyl, N(C₃-C₇cycloalkyl)SO₂-C₁-C₄

PCT/EP99/06761 WO 00/15615

alkyl, N(C3-C7cycloalkyl)SO2-phenyl, N(phenyl)SO2-C1-C4alkyl, N(phenyl)SO2-phenyl, OSO2-C₁-C₄alkyl, CONR₀₄₀R₀₄₁, OSO₂-C₁-C₄haloalkyl, OSO₂-phenyl, C₁-C₄alkylthio, C₁-C₄ haloalkylthio, phenylthio, C₁-C₄alkylsulfonyl, C₁-C₄haloalkylsulfonyl, phenylsulfonyl, C₁-C₄ alkylsulfinyl, C₁-C₄haloalkylsulfinyl, phenylsulfinyl, C₁-C₄alkylene-phenyl or -NR₀₄₃CO₂R₀₄₂; or R₀₁ is C₃-C₆alkynyl or C₃-C₆alkynyl substituted by halogen, C₁-C₄haloalkyl, cyano, -CO₂R₀₄₄ or phenyl, which for its part may be substituted by C₁-C₄alkyl, C₁-C₆haloalkyl, C₁-C₄ alkoxy, C₁-C₄haloalkoxy, C₂-C₆alkenyl, C₃-C₆alkynyl, C₃-C₆alkenyloxy, C₃-C₆alkynyloxy, halogen, nitro, cyano, -COOH, COOC1-C4alkyl, COOphenyl, C1-C4alkoxy, phenoxy, (C1-C4 alkoxy)-C₁-C₄alkyl, (C₁-C₄alkylthio)-C₁-C₄alkyl, (C₁-C₄alkylsulfinyl)-C₁-C₄alkyl, (C₁-C₄ alkylsulfonyl)-C₁-C₄alkyl, NHSO₂-C₁-C₄alkyl, NHSO₂-phenyl, N(C₁-C₆alkyl)SO₂-C₁-C₄alkyl. N(C₁-C₆alkyl)SO₂-phenyl, N(C₂-C₆alkenyl)SO₂-C₁-C₄alkyl, N(C₂-C₆alkenyl)SO₂-phenyl, N(C₃-Cealkynyl)SO2-C1-C4alkyl, N(C3-C6alkynyl)SO2-phenyl, N(C3-C7cycloalkyl)SO2-C1-C4alkyl, N(C₃-C₇cycloalkyl)SO₂-phenyl, N(phenyl)SO₂-C₁-C₄alkyl, N(phenyl)SO₂-phenyl, OSO₂-C₁-C₄ alkyl, CONR₀₂₈R₀₂₉, OSO₂-C₁-C₄haloalkyl, OSO₂-phenyl, C₁-C₄alkylthio, C₁-C₄haloalkylthio, phenylthio, C₁-C₄alkylsulfonyl, C₁-C₄haloalkylsulfonyl, phenylsulfonyl, C₁-C₄alkylsulfinyl, C₁-C₄haloalkylsulfinyl, phenylsulfinyl, C₁-C₄alkylene-phenyl or -NR₀₃₁CO₂R₀₃₀; or R₀₁ is C₃-C₇cycloalkyl, C₃-C₇cycloalkyl substituted by C₁-C₄alkyl, C₁-C₄alkoxy, C₁-C₄ alkylthio, C₁-C₄alkylsulfinyl, C₁-C₄alkylsulfonyl or phenyl, which for its part may be substituted by halogen, nitro, cyano, C₁-C₄alkoxy, C₁-C₄haloalkoxy, C₁-C₄alkylthio, C₁-C₄haloalkylthio, C₁-C₄alkyl and C₁-C₄haloalkyl; or Ro1 is C1-C4alkylene-C3-C7cycloalkyl, phenyl, or phenyl which is substituted by C1-C4alkyl, C₁-C₆haloalkyl, C₁-C₄aikoxy, C₁-C₄haloalkoxy, C₂-C₆aikenyl, C₃-C₆aikenyloxy, C₃-C₆alkynyloxy, halogen, nitro, cyano, -COOH, COOC₁-C₄alkyl, COOphenyl, C₇-C₄alkoxy, phenoxy, (C₁-C₄alkoxy)-C₁-C₄alkyl, (C₁-C₄alkylthio)-C₁-C₄alkyl, (C₁-C₄alkylsulfinyl)-C₁-C₄alkyl, (C1-C4alkylsulfonyl)-C1-C4alkyl, NHSO2-C1-C4alkyl, NHSO2-phenyl, N(C1-C5alkyl)SO2-C1-C4 alkyl, N(C₁-C₆alkyl)SO₂-phenyl, N(C₂-C₆alkenyl)SO₂-C₁-C₄alkyl, N(C₂-C₆alkenyl)SO₂-phenyl, N(C₃-C₆alkynyi)SO₂-C₁-C₄alkyl, N(C₃-C₆alkynyi)SO₂-phenyi, N(C₃-C₇cycloalkyl)SO₂-C₁-C₄ alkyl, N(C₃-C₇cycloalkyl)SO₂-phenyl, N(phenyl)SO₂-C₁-C₄alkyl, N(phenyl)SO₂-phenyl, OSO₂-C₁-C₄alkyl, CONR₀₄₅R₀₄₆, OSO₂-C₁-C₄haloalkyl, OSO₂-phenyl, C₁-C₄alkylthio, C₁-C₄haloalkylthio, phenylthio, C₁-C₄alkylsulfonyl, C₁-C₄haloalkylsulfonyl, phenylsulfonyl, C₁-C₄ alkylsulfinyl, C₁-C₄haloalkylsulfinyl, phenylsulfinyl, or -NR₀₄₈CO₂R₀₄₇; or R₀₁ is C₁-C₄alkylene-phenyl, COR₀₇ or 4-6-membered heterocyclyl; R₀₂, R₀₃₈, R₀₄₄ and R₀₆₆ independently of one another are hydrogen, C₁-C₄atkyl, phenyl, or phenyi which is substituted by C₁-C₄alkyl, C₁-C₆haloalkyl, C₁-C₄alkoxy, C₁-C₄haloalkoxy, C₂-

C₆alkenyi, C₃-C₆alkynyi, C₃-C₆alkenyloxy, C₃-C₆alkynyloxy, halogen, nitro, cyano, -COOH, COOC₁-C₄alkyl, COOphenyi, C₁-C₄alkoxy, phenoxy, (C₁-C₄alkoxy)-C₁-C₄alkyi, (C₁-C₄alkyi, (C₁-C₄alkyi, (C₁-C₄alkyi), (C₁-C₄alkyi, (C₁-C₄alkyi), NHSO₂-C₁-C₄alkyi, NHSO₂-phenyi, N(C₁-C₆alkyi)SO₂-C₁-C₄alkyi, N(C₁-C₆alkyi)SO₂-phenyi, N(C₂-C₆alkenyi)SO₂-phenyi, N(C₃-C₆alkynyi)SO₂-C₁-C₄alkyi, N(C₃-C₆alkynyi)SO₂-phenyi, N(C₃-C₇cycloalkyi)SO₂-phenyi, N(C₃-C₇cycloalkyi)SO₂-phenyi, N(C₃-C₇cycloalkyi)SO₂-phenyi, N(C₃-C₇cycloalkyi)SO₂-phenyi, N(C₃-C₇cycloalkyi)SO₂-phenyi, N(C₁-C₄alkyi, N(C₃-C₇cycloalkyi)SO₂-phenyi, N(C₁-C₄alkyi, CONR₀₄₉R₀₅₀, OSO₂-C₁-C₄alkyi, OSO₂-phenyi, C₁-C₄alkyithio, C₁-C₄haloalkyithio, phenyithio, C₁-C₄alkyisulfonyi, C₁-C₄haloalkyisulfonyi, C₁-C₄alkyiene-phenyi or -NR₀₅₂CO₂R₀₅₃;

R₀₃, R₀₃₉ and R₀₆₇ independently of one another are C₁-C₄alkyl, phenyl or phenyl which is substituted by C₁-C₄alkyl, C₁-C₆haloalkyl, C₁-C₄alkoxy, C₁-C₄haloalkoxy, C₂-C₆alkenyl, C₃-C₆ alkynyl, C₃-C₆alkenyloxy, C₃-C₆alkynyloxy, halogen, nitro, cyano, -COOH, COOC₁-C₄alkyl, COOphenyl, C₁-C₄alkoxy, phenoxy, (C₁-C₄alkoxy)-C₁-C₄alkyl, (C₁-C₄alkylthio)-C₁-C₄alkyl, (C₁-C₄alkylsulfinyl)-C₁-C₄alkyl, NHSO₂-C₁-C₄alkyl, NHSO₂-phenyl, N(C₁-C₆alkyl)SO₂-C₁-C₄alkyl, N(C₁-C₆alkyl)SO₂-phenyl, N(C₂-C₆alkenyl)SO₂-C₁-C₄alkyl, N(C₃-C₆alkynyl)SO₂-phenyl, N(C₃-C₆alkynyl)SO₂-phenyl, N(C₃-C₆alkynyl)SO₂-phenyl, N(C₃-C₇cycloalkyl)SO₂-phenyl, N(phenyl)SO₂-C₁-C₄alkyl, N(C₃-C₇cycloalkyl)SO₂-phenyl, N(phenyl)SO₂-C₁-C₄alkyl, N(C₃-C₇cycloalkyl)SO₂-phenyl, N(phenyl)SO₂-C₁-C₄alkyl, N(C₃-C₇cycloalkyl)SO₂-phenyl, N(phenyl)SO₂-C₁-C₄alkyl, N(phenyl)SO₂-C₁-C₄alkylthio, C₁-C₄alkylthio, C₁-C₄alkylsulfinyl, C₁-C₄alkylsulfinyl, C₁-C₄alkylsulfinyl, phenylsulfinyl, C₁-C₄alkylsulfinyl, phenylsulfinyl, C₁-C₄alkylene-phenyl or -NR₀₅₅CO₂R₀₅₅;

Rn4 is C1-C4alkyl;

R₀₅ is hydrogen, C₁-C₄alkyl, C₂-C₆alkenyl, C₃-C₆alkynyl, C₃-C₇cycloalkyl, phenyl or phenyl which is substituted by C₁-C₄alkyl, C₁-C₆haloalkyl, C₁-C₄alkoxy, C₁-C₄haloalkoxy, C₂-C₆ alkenyl, C₃-C₆alkynyloxy, C₃-C₆alkynyloxy, halogen, nitro, cyano, -COOH, COOC₁-C₄alkyl, COOphenyl, C₁-C₄alkoxy, phenoxy, (C₁-C₄alkoxy)-C₁-C₄alkyl, (C₁-C₄alkyl, (C₁-C₄alkyl, (C₁-C₄alkyl), (C₁-C₄alkyl), (C₁-C₄alkyl), NHSO₂-C₁-C₄alkyl, NHSO₂-phenyl, N(C₁-C₆alkyl)SO₂-phenyl, N(C₁-C₆alkyl)SO₂-phenyl, N(C₂-C₆alkenyl)SO₂-phenyl, N(C₃-C₆alkynyl)SO₂-phenyl, N(C₃-C₆alkynyl)SO₂-phenyl, N(C₃-C₆alkynyl)SO₂-C₁-C₄alkyl, N(C₃-C₆alkynyl)SO₂-phenyl, N(C₃-C₇cycloalkyl)SO₂-H, N(C₃-C₇cycloalkyl)SO₂-C₁-C₄alkyl, N(C₃-C₇cycloalkyl)SO₂-phenyl, N(phenyl)SO₂-C₁-C₄alkyl, N(C₃-C₇cycloalkyl)SO₂-phenyl, N(phenyl)SO₂-C₁-C₄alkyl, N(C₃-C₇cycloalkyl)SO₂-phenyl, N(phenyl)SO₂-C₁-C₄alkyl, N(C₃-C₇cycloalkyl)SO₂-phenyl, N(phenyl)SO₂-phenyl, OSO₂-C₁-C₄alkyl, OSO₂-phenyl, C₁-C₄alkylthio, C₁-C₄haloalkylthio, phenylthio, C₁-C₄alkyisulfonyl, C₁-C₄haloalkylsulfonyl,

phenyisulfonyl, C₁-C₄alkylsulfinyl, C₁-C₄haloalkylsulfinyl, phenylsulfinyl, C₁-C₄alkylenephenyl or -NR₀₅₀CO₂R₀₅₉;

Ros is hydrogen, C_1 -C4alkyl, C_2 -Csalkenyl, C_3 -Csalkynyl, C_3 -C7cycloalkyl, phenyl or phenyl which is substituted by C_1 -C4alkyl, C_1 -C6haloalkyl, C_1 -C4alkoxy, C_1 -C4haloalkoxy, C_2 -C6 alkenyl, C_3 -C6alkynyl, C_3 -C6alkenyloxy, C_3 -C6alkynyloxy, halogen, nitro, cyano, -COOH, COOC1-C4alkyl, COOphenyl, C_1 -C4alkoxy, phenoxy, $(C_1$ -C4alkoxy)-C1-C4alkyl, $(C_1$ -C4alkyl, $(C_1$ -C4alkylsulfinyl)-C1-C4alkyl, $(C_1$ -C4alkylsulfonyl)-C1-C4alkyl, $(C_1$ -C4alkyl, $(C_1$ -C6alkyl)SO2-phenyl, $(C_1$ -C6alkyl)SO2-phenyl, $(C_2$ -C6alkenyl)SO2-C1-C4alkyl, $(C_1$ -C6alkyl)SO2-C1-C4alkyl, $(C_1$ -C6alkyl)SO2-C1-C4alkyl, $(C_1$ -C6alkyl)SO2-C1-C4alkyl, $(C_2$ -C6alkyl)SO2-C1-C4alkyl, $(C_3$ -C7cycloalkyl)SO2-phenyl, $(C_3$ -C7cycloalkyl)SO2-phenyl, $(C_3$ -C7cycloalkyl)SO2-phenyl, $(C_3$ -C7cycloalkyl)SO2-phenyl, $(C_3$ -C7cycloalkyl)SO2-C1-C4alkyl, $(C_1$ -C4alkyl, $(C_1$ -C4alkyl, $(C_1$ -C4alkyl, $(C_1$ -C4alkyl, $(C_1$ -C4alkylsulfonyl, $(C_1$ -C4alkylene-phenyl or -NR064CO2R063;

R₀₇ is phenyl, substituted phenyl, C₁-C₄alkyl, C₁-C₄alkoxy or -NR₀₈R₀₉;

R₀₈ and R₀₉ independently of one another are C₁-C₄alkyl, phenyl or phenyl which is substituted by halogen, nitro, cyano, C₁-C₄alkyl, C₁-C₄alkoxy, C₁-C₄thioalkyl, -CO₂R₀₆₆, -COR₀₆₇, C₁-C₄alkylsulfonyl, C₁-C₄alkylsulfinyl, C₁-C₄haloalkyl; or R₀₈ and R₀₉ together form a 5-6-membered ring which may be interrupted by oxygen, NR₀₆₅ or S,

 R_{015} , R_{031} , R_{043} , R_{048} , R_{052} , R_{056} , R_{060} and R_{064} independently of one another are hydrogen, C_1 - C_4 alkyl, C_2 - C_6 alkenyl, C_3 - C_6 alkynyl or C_3 - C_7 cycloalkyl;

Ro25, Ro26, Ro27, Ro28, Ro29, Ro30, Ro32, Ro33, Ro34, Ro35, Ro36, Ro37, Ro40, Ro41, Ro42, Ro45, Ro46, Ro47, Ro49, Ro50, Ro53, Ro54, Ro55, Ro57, Ro58, Ro59, Ro51, Ro52, Ro63, Ro53 and Ro70 independently of one another are hydrogen, C1-C4alkyl, C2-C6alkenyl, C3-C6alkynyl, C3-C7cycloalkyl, phenyl, or phenyl which is substituted by halogen, nitro, cyano, C1-C4alkoxy, C1-C4haloalkoxy, C1-C4haloalkylthio, C1-C4haloalkylthio, C1-C4alkyl or C1-C4haloalkyl; and R36 is C1-C4alkyl, C1-C4haloalkyl, C3-C6alkenyl, C3-C6haloalkenyl, C3-C6alkynyl, C3-C6 haloalkynyl, C3-C6cycloalkyl or C3-C6cycloalkyl which is substituted by halogen, C1-C4alkyl, C1-C4haloalkyl, C3-C6haloalkenyl, C3-C6haloalkynyl, C3-C6haloalkynyl, C3-C6haloalkynyl, C1-C4 alkoxycarbonyl, C1-C4alkylthio, C1-C4alkylsulfinyl, C1-C4alkylsulfinyl, C1-C4alkylsulfinyl, C1-C4haloalkylsulfinyl, C1-C4haloalkyl, C1-C4haloalkyl, C3-C6alkynyl, C3-C6alky

PCT/EP99/06761

cyano, nitro or COOH; and agronomically acceptable salts M⁺ and all stereoisomers and tautomers of the compounds of the formula I.

The compounds of the formula I can be present in different isomeric forms which can be isolated in pure form. The invention therefore also embraces all stereoisomeric forms of the compound of the formula I. Examples of these isomeric forms are the formulae Ix, Ixx, Ixxx and Ixxxx below, in which Q is the group Q_2 .

The alkyl groups occurring in the definitions of the substituents can be straight-chain or branched and are, for example, methyl, ethyl, n-propyl, isopropyl, n-butyl, sec-butyl, isobutyl, tert-butyl, pentyl, hexyl, heptyl and octyl and their branched isomers. Alkoxy, alkenyl and alkynyl radicals are derived from the alkyl radicals mentioned. The alkenyl and alkynyl groups can be mono- or polyunsaturated.

Halogen is generally fluorine, chlorine, bromine or iodine. This also applies, correspondingly, to halogen in combination with other meanings, such as haloalkyl or halophenyl.

Haloalkyl groups preferably have a chain length of from 1 to 8 carbon atoms. Haloalkyl is, for example, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2,2,2-trifluoroethyl, 2-fluoroethyl, 2-chloroethyl, pentafluoroethyl, 1,1-difluoro-2,2,2-trichloroethyl, 2,2,3,3-tetrafluoroethyl and 2,2,2-trichloroethyl; preferably

trichloromethyl, difluorochloromethyl, difluoromethyl, trifluoromethyl and dichlorofluoromethyl.

Suitable haloaikenyl groups are alkenyl groups which are mono- or polysubstituted by halogen, halogen being fluorine, chlorine, bromine and iodine and in particular fluorine and chlorine, for example 2,2-difluoro-1-methylvinyl, 3-fluoropropenyl, 3-chloropropenyl, 3-bromopropenyl, 2,3,3-trifluoropropenyl, 2,3,3-trichloropropenyl and 4,4,4-trifluorobut-2-en-1-yl. Among the C₃-C₂₀alkenyl groups which are mono-, di- or trisubstituted by halogen, preference is given to those having a chain length of from 3 to 5 carbon atoms.

Suitable haloalkynyl groups are, for example, alkynyl groups which are mono- or polysubstituted by halogen, halogen being bromine, iodine and in particular fluorine and chlorine, for example 3-fluoropropynyl, 3-chloropropynyl, 3-bromopropynyl, 3,3,3-trifluoropropynyl and 4,4,4-trifluorobut-2-yn-1-yl. Among the alkynyl groups which are mono-or polysubstituted by halogen, preference is given to those having a chain length of from 3 to 5 carbon atoms.

Alkoxy groups preferably have a chain length of from 1 to 6 carbon atoms. Alkoxy is, for example, methoxy, ethoxy, propoxy, i-propoxy, n-butoxy, isobutoxy, sec-butoxy and tert-butoxy and also the isomeric pentyloxy and hexyloxy radicals; preferably methoxy and ethoxy. Alkylcarbonyl is preferably acetyl or propionyl. Alkoxycarbonyl is, for example, methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, isopropoxycarbonyl, n-butoxycarbonyl, isobutoxycarbonyl, sec-butoxycarbonyl or tert-butoxycarbonyl; preferably methoxycarbonyl or ethoxycarbonyl. Haloalkoxy groups preferably have a chain length of from 1 to 8 carbon atoms. Haloalkoxy is, for example, fluoromethoxy, difluoromethoxy, trifluoromethoxy, 2,2,2-trifluoroethoxy, 1,1,2,2-tetrafluoroethoxy, 2-fluoroethoxy, 2-chloroethoxy, 2,2-difluoroethoxy and 2,2,2-trichloroethoxy; preferably difluoromethoxy, 2-chloroethoxy and trifluoromethoxy. Alkylthio groups preferably have a chain length of from 1 to 8 carbon atoms. Alkylthio is, for example, methylthio, ethylthio, propylthio, isopropylthio, n-butylthio, isobutylthio, secbutylthio or tert-butylthio, preferably methylthio and ethylthio. Alkylsulfinyl, isobutylsulfinyl, sec-butylsulfinyl, tert-butylsulfinyl; preferably methylsulfinyl, and ethylsulfinyl, isobutylsulfinyl, sec-butylsulfinyl, tert-butylsulfinyl; preferably methylsulfinyl and ethylsulfinyl.

Alkylsulfonyl is, for example, methylsulfonyl, ethylsulfonyl, propylsulfonyl, isopropylsulfonyl, n-butylsulfonyl, isobutylsulfonyl, sec-butylsulfonyl or tert-butylsulfonyl; preferably methylsulfonyl or ethylsulfonyl. Alkoxyalkoxy groups preferably have a chain length of from 1 to 8 carbon atoms. Examples of alkoxyalkoxy groups are: methoxymethoxy, methoxyethoxy, methoxypropoxy, ethoxymethoxy, ethoxyethoxy, propoxymethoxy or butoxybutoxy. Alkylamino is, for example, methylamino, ethylamino, n-propylamino, isopropylamino or the isomeric butylamines. Dialkylamino is, for example, dimethylamino, methylethylamino, diethylamino, n-propylmethylamino, dibutylamino and diisopropylamino. Preference is given to alkylamino groups having a chain length of from 1 to 4 carbon atoms. Alkoxyalkyl groups have a chain length of preferably from 1 to 6 carbon atoms. Alkoxyalkyl is, for example, methoxymethyl, methoxyethyl, ethoxymethyl, ethoxyethyl, n-propoxymethyl, n-propoxyethyl, isopropoxymethyl or isopropoxyethyl. Alkylthioalkyl groups preferably have from 1 to 8 carbon atoms. Alkylthioalkyl is, for example, methylthiomethyl, methylthioethyl, ethylthiomethyl, ethylthioethyl, n-propylthiomethyl, n-propylthioethyl, isopropylthiomethyl, iso-propylthioethyl, butylthiomethyl, butylthioethyl or butylthiobutyl. The cycloalkyl groups preferably have from 3 to 8 ring carbon atoms, for example cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl and cyclooctyl. Phenyl, also as part of a substituent as phenoxy, benzyl, benzyloxy, benzoyl, phenylthio, phenylalkyl, phenoxyalkyl, may be substituted. In this case, the substituents can be in ortho, meta and/or para position. The preferred substituent positions are the ortho and para positions to the ring attachment point. Heterocyclyl is to be understood as meaning ring systems which, in addition to carbon atoms, contain at least one heteroatom, such as nitrogen, oxygen and/or sulfur. They can be saturated or unsaturated. In the context of the present invention, heterocyclyl ring systems may also be substituted. Suitable substituents are, for example, C₁-C₄alkyl, C₁-C4haioalkyl, C1-C4alkoxy, cyano, nitro, C1-C4alkylsulfonyl, C1-C4alkylsulfinyl, C1-C4alkylthio or C₃-C₆cycloalkyl.

Heterocyclyl may be, for example, furyl, thiophenyl, pyrrolidyl, piperidinyl, morpholinyl, pyridyl, imidazolyl, tetrahydrofuryl, tetrahydropyranyl, dihydrofuryl, dihydropyranyl, isoxazolyl, oxazolyl, isothiazolyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, thiazolyl, pyrazolyl, 1,2,4-triazolyl, 1,2,3-triazolyl, tetrazolyl, pyrimidyl, pyrazinyl, sym. or unsym. triazinyl,

- 18 -

imidazolidinyl, dioxanyl, oxetanyl, in particular 2-oxetanyl, or phthalimidyl.

The invention also embraces the salts M⁺ which can be formed by the compounds of the formula I, in particular the compounds of the formula I in which R20, R23, R26 and R30 are hydroxyl, preferably with amines, alkali metal and alkaline earth metal bases or quaternary ammonium bases. Among the alkali metal and alkaline earth metal bases, the hydroxides of lithium, sodium, potassium, magnesium or calcium, in particular those of sodium or potassium, may be especially emphasized as salt formers. Examples of amines suitable for ammonium salt formation are both ammonia and primary, secondary and tertiary C1-C₁-alkylamines, C₁-C₄hydroxyalkylamines and C₂-C₄alkoxyalkylamines, for example methylamine, ethylamine, n-propylamine, isopropylamine, the four isomeric butylamines, namylamine, isoamylamine, hexylamine, heptylamine, octylamine, nonylamine, decylamine, pentadecylamine, hexadecylamine, heptadecylamine, octadecylamine, methylethylamine, methylisopropylamine, methylhexylamine, methylnonylamine, methylpentadecylamine, methyloctadecylamine, ethylbutylamine, ethylheptylamine, ethyloctylamine, hexylheptylamine, hexyloctylamine, dimethylamine, diethylamine, di-n-propylamine, diisopropylamine, di-n-butylamine, di-n-amylamine, diisoamylamine, dihexylamine, diheptylamine, dioctylamine, ethanolamine, n-propanolamine, isopropanolamine, N,N-diethanolamine, Nethylpropanolamine, N-butylethanolamine, allylamine, n-butenyl-2-amine, n-pentenyl-2amine, 2,3-dimethylbutenyl-2-amine, dibutenyl-2-amine, n-hexenyl-2-amine, propylenediamine, trimethylamine, triethylamine, tri-n-propylamine, triisopropylamine, tri-n-butylamine, triisobutylamine, tri-sec-butylamine, tri-n-amylamine, methoxyethylamine and ethoxyethylamine; heterocyclic amilines, for example pyridine, quinoline, isoquinoline, morpholine, piperidine, pyrrolidine, indoline, quinuclidine and azepine; primary arylamines, for example anilines, methoxyanilines, ethoxyanilines, o,m,p-toluidines, phenylenediamines, naphthylamines and o,m,p-chloroanilines; but in particular triethylamine, isopropylamine and diisopropylamine. Quaternary ammonium bases which are suitable for salt formation are, for example, [N(Rao1 Rbo1 R co1 R do1)]* OH, where Rao1, Rbo1, R co1 and Rbo1 independently of one anotheer are C₁-C₄alkyl. Further suitable tetraalkylammonium bases with other anions can be obtained, for example, by anion exchange reactions.

Preferred compounds of the formula I correspond to the formula Ib

in which

each R independently is C1-C6alkyl, C1-C6alkoxy, C1-C6haloalkoxy, C1-C6alkylthio, C1-C6alkylsulfinyl, C₁-C₆alkylsulfonyl, C₁-C₆haloalkyl, C₁-C₆haloalkylthio, C₁-C₆haloalkylsulfinyl, C₁-Cehaloalkylsulfonyl, C1-Cealkoxycarbonyl, C1-Cealkylcarbonyl, C1-Cealkylamino, di-C1-Cealkylamino, C₁-C₆alkylaminosulfonyi, di-C₁-C₆alkylaminosulfonyi, -N(R₁)-S-R₂, -N(R₃)-SO-R₄, -N(R₅)-SO₂-R₆, nitro, cyano, halogen, hydroxyl, amino, or a five- to ten-membered monocyclic or fused bicyclic ring system which may be aromatic or partially saturated and may contain 1 to 4 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur, where the ring system is either attached directly to the pyridine ring or attached via a C₁-C₄alkylene group to the pyridine ring, and each ring system may not contain more than 2 oxygen atoms and not more than two sulfur atoms, and the ring system for its part may be mono-, di- or trisubstituted by C1-C6alkyl, C1-C6haloalkyl, C3-C6alkenyl, C3-C6haloalkenyl, C3-Cealkynyl, C3-Cehaloalkynyl, C1-Cealkoxy, C1-Cehaloalkoxy, C3-Cealkenyloxy, C3-Cealkynyloxy, mercapto, C1-Cealkylthio, C1-Cehaloalkylthio, C3-Cealkenylthio, C3-C₆haloalkenylthio, C₃-C₆alkynylthio, C₂-C₅alkoxyalkylthio, C₃-C₅acetylalkylthio, C₃-Cealkoxycarbonylalkylthio, C2-C4-cyanoalkylthio, C1-Cealkylsulfinyl, C1-Cehaloalkylsulfinyl, C1-C6alkylsulfonyl, C1-C6-haloalkylsulfonyl, aminosulfonyl, C1-C2alkylaminosulfonyl, C2-C₄dialkylaminosulfonyl, C₁-C₃-alkylene-R₇, NR₈R₉, halogen, cyano, nitro, phenyl and benzylthio where phenyl and benzylthio for their part may be substituted on the phenyl ring by C1-C3alkyl, C1-C3haloalkyl, C1-C3alkoxy, C1-C3haloalkoxy, halogen, cyano or nitro, and where substituents on the nitrogen in the heterocyclic ring are different from halogen; Q is the group Q₁ in which

 R_{20} is hydroxyl, C_1 - C_4 alkoxy, C_1 - C_4 alkylcarbonyloxy, C_1 - C_4 alkoxycarbonyloxy, $R_{21}R_{22}N$ -C(O)O, phenylthio, C_1 - C_4 alkylthio, C_1 - C_4 alkyl- $S(O)_2O$, $(C_1$ - C_4 alkoxy)P(O)O, C_1 - C_4 alkoxy)P(O)O or benzoyloxy; and R_{21} and R_{22} independently of one another are hydrogen or C_1 - C_4 alkyl; or the group Q_{2a}

in which R_{23} is hydroxyl, C_1 - C_4 alkoxy, C_1 - C_4 alkylcarbonyloxy, C_1 - C_4 alkoxycarbonyloxy, $R_{24}R_{25}N$ -C(O)O, phenylthio, C_1 - C_4 alkylthio, C_1 - C_4 alkyl- $S(O)_2O$, $(C_1$ - C_4 alkoxy) $_2P(O)O$, C_1 - C_4 alkyl(C_1 - C_4 alkoxy)P(O)O, $H(C_1$ - C_4 alkoxy)P(O)O or benzoyloxy; and R_{24} and R_{25} independently of one another are hydrogen or C_1 - C_4 alkyl; and Y is oxygen, sulfur, a chemical bond or a C_1 - C_4 alkylene bridge; or the group Q_3

in which R_{26} is hydroxyl, C_1 - C_4 alkoxy, C_1 - C_4 alkylcarbonyloxy, C_1 - C_4 alkoxycarbonyloxy, $R_{27}R_{28}N$ -C(O)O, phenylthio, C_1 - C_4 alkylthio, C_1 - C_4 alkyl- $S(O)_2O$, $(C_1$ - C_4 alkoxy) $_2P(O)O$, C_1 - C_4 alkyl(C_1 - C_4 alkoxy) $_2P(O)O$, C_1 - C_4 alkoxy) $_2P(O)O$, C_1 - C_4 alkoxy) $_2P(O)O$, C_1 - C_4 alkoxy) $_2P(O)O$, or benzoyloxy; and C_1 - C_4 alkoxy) $_2P(O)O$, C_1 - C_4 alkylcarbonyl, or C_1 - C_4 alkyl and C_1 - C_4 alkyl, C_1 - C_4 alkylcarbonyl, C_1 - C_4 alkoxycarbonyl, C_1 - C_4 alkyl) $_2$ NCO; or the group C_4

R₃₅ is hydrogen, C₁-C₄alkyl, C₁-C₄alkoxycarbonyl or phenyl which for its part may be substituted by C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy, C₁-C₄haloalkoxy, C₁-C₄alkylcarbonyl, C₁-C₄alkoxycarbonyl, amino, C₁-C₄alkylamino, di-C₁-C₄alkylamino, C₁-C₄alkylthio, C₁-C₄alkylsulfinyl, C₁-C₄alkylsulfonyl, C₁-C₄alkyl-S(O)₂O, C₁-C₄haloalkylthio, C₁-C₄haloalkylsulfinyl, C₁-C₄haloalkylsulfonyl, C₁-C₄haloalkyl-S(O)₂O, C₁-C₄alkyl-S(O)₂NH, C₁-C₄alkyl-S(O)₂N(C₁-C₄-alkyl), halogen, nitro, COOH or cyano; or the group Q₅, and also agronomically acceptable salts of these compounds, the other substituents being defined as under formula I in claim 1. Among the compounds of the formula Ib, preference is furthermore given to those in which the group

-C(O)-Q is located in the 3 position on the pyridine ring, or in which Q is Q₂, R₂₃ being, in particular, hydroxyl, Y being a methylene bridge and m being the number 2. Preference is further given to compounds of the formula ib in which R is C₁-C₆alkyl or C₁-C₆haloalkyl.

Preferred compounds of the formula I are characterized in that the group -C(O)Q is in the ortho position to a group R. Preference is furthermore given to compounds of the formula I in which a group R is C₁-C₆haloalkyl and in the ortho position to the pyridyl nitrogen. Of particular interest are furthermore compounds of the formula I in which the group -C(O)Q is in the 3 position to the pyridyl nitrogen. In the formula I, p is preferably the number 0. Also to be emphasized are compounds of the formula I in which m is 2 and R is C₁-C₃alkyl, C₁-C₃-haloalkyl, C₁-C₂alkoxymethyl, C₁-C₂alkythiomethyl, hydroxymethyl, C₁-C₃alkylcarbonyloxymethyl, benzoyloxymethyl, C₁-C₄alkoxycarbonyloxymethyl, chlorine, cyano, C₁-C₃alkoxy, C₁-C₃haloalkoxy, allyloxy, propargyloxy, C₁-C₃alkylsulfinylmethyl or C₁-C₃alkylsulfinyl, C₁-C₃alkylsulfonyl, C₁-C₃alkylsulfonylmethyl. A further group of preferred compounds of the formula I is formed by those compounds in which at least one group R is triftuoromethyl, difluorochloromethyl, pentafluoroethyl or heptafluoro-n-propyl.

Particularly noteworthy compounds of the formula I are those in which Q is a group Q_1 and R_{16} , R_{18} and R_{19} are C_1 - C_3 alkyl and R_{17} is hydrogen, or Q is a group Q_2 and Y is - CH_2 -, - CH_2 CH₂- or oxygen, A is - CH_2 - and R_{240} , R_{241} , R_{242} and R_{243} are each hydrogen, or Q is a group Q_3 and R_{29} is C_1 - C_4 alkylcarbonyl, C_1 - C_4 alkoxycarbonyl or C_1 - C_4 alkylaminocarbonyl or di(C_1 - C_2 -alkyl)aminocarbonyl, or Q is a group Q_4 in which R_{33} , R_{34} and R_{35} are C_1 - C_3 alkyl. In these noteworthy compounds of the formula I, R_{20} , R_{23} , R_{26} and R_{30} independently of one another are halogen, thiocyanato, C_1 - C_{12} alkoxy, C_1 - C_4 alkoxycarbonyl- C_1 - C_2 alkoxy, C_1 - C_{12} -

alkylthio, C1-C12alkylsuifinyl, C1-C12alkylsulfonyl, C1-C12haloalkylthio, C1-C12haloalkylsuifinyl, C_1 - C_{12} haloalkylsulfonyl, C_1 - C_{12} alkenylthio, C_2 - C_{12} alkenylsulfinyl, C_2 - C_{12} alkenylsulfonyl, C2-C12-haloalkenylthio, C2-C12haloalkenylsulfinyl, C2-C12haloalkenylsulfonyl, C2-C12alkynylthio, C2-C12alkynylsulfinyl, C2-C12alkynylsulfonyl, C1-C4alkoxycarbonyl-C1-C2alkylthio, C_1 - C_2 -alkoxycarbonyl- C_1 - C_2 alkylsulfinyl, C_1 - C_4 alkoxycarbonyl- C_1 - C_2 alkylsulfonyl, C_1 - C_4 alkyi- $S(O)_2$ NH, C_1 - C_4 haloalkyi- $S(O)_2$ NH, C_1 - C_4 alkyi- $S(O)_2$ O, C_1 - C_1 8alkyicarbonyioxy, C2-C18-alkenylcarbonyloxy, C3-C6cycloalkylcarbonyloxy, C1-C12alkoxycarbonyloxy, C1-C12alkylthiocarbonyloxy, C₁-C₁₂alkylthiocarbamoyl, C₁-C₆alkyl-NH(CS)N(C₁-C₆alkyl)-NH-. di-C₁-C₅alkyl-N(CS)N(C₁-C₅alkyl)-NH-, benzyloxy, benzylthio, benzylsulfinyl, benzylsulfonyl, phenoxy, phenylthio, phenylsulfinyl, phenylsulfonyl, phenylsulfonyloxy or benzoyloxy, where the phenyl groups for their part may in each case be substituted by C1-C4alkyl, C1-C4haloalkyl, C₁-C₄alkoxy, C₁-C₄haloalkoxy, C₁-C₄alkylcarbonyl, C₁-C₄alkoxycarbonyl, C₁-C₄alkylamino, di-C₁-C₄alkylamino, C₁-C₄alkylthio, C₁-C₄alkylsulfinyl, C₁-C₄alkylsulfonyl, C₁-C₄aikyl-S(O)₂O, C₁-C₄haloaikylthio, C₁-C₄haloaikylsulfinyl, C₁-C₄haloaikylsulfonyl, C₁-C₄haloalkyl-S(O)₂O, C₁-C₄alkyl-S(O)₂NH, C₁-C₄alkyl-S(O)₂N(C₁-C₄alkyl), halogen, nitro or cyano, or R₂₀, R₂₃, R₂₆ and R₃₀ independently of one another are thienvicarbonyloxy or furylcarbonyloxy which for their part may be substituted by methyl or halogen, or are pyridylcarbonyloxy which for its part may be substituted as stated in claim 1, or Reg is $R_{37}R_{38}N$, $R_{71}R_{72}NNH$ -, $R_{21}R_{22}NC(O)O$ - or $R_{73}R_{74}NC(O)NH$ -; or R_{23} is $R_{44}R_{45}N$, $R_{75}R_{76}NNH$ -, $R_{46}R_{47}NC(O)O$ - or $R_{77}R_{78}NC(O)NH$ -; or R_{26} is $R_{53}R_{54}N$, $R_{79}R_{80}NNH$ -, $R_{65}R_{66}NC(O)O$ - or R₈₁R₈₂NC(O)NH-; or R₃₀ is R₆₂R₆₃N, R₈₃R₈₄NNH-, R₆₄R₆₅NC(O)O- or R₈₆R₈₆NC(O)NH-. Very particularly preferably, R₂₀, R₂₃, R₂₅ or R₃₀ are hydroxyl or O^{*}M⁺.

A further preferred group is formed by those compounds of the formula I in which Q is a group Q_5 , R_{36} is C_1 - C_4 alkyl, C_1 - C_4 haloaikyl or cyclopropyl and R_{01} is C_1 - C_6 alkyl, C_1 - C_4 -alkoxycarbonylmethyl, C_3 - C_8 alkenyl, is benzyl or phenyl substituted by methyl, halogen, trifluoromethyl, methoxy, and at least one group R is trifluoromethyl, difluorochloromethyl, pentafluoroethyl or heptafluoro-n-propyl located in the ortho position to the pyridyl nitrogen.

The process according to the invention for preparing compounds of the formula I

$$Q \qquad (I),$$

$$(Q)_{p} \qquad (R)_{m}$$

in which R and m are as defined under formula I; p is 0 and Q is the group

is carried out analogously to known processes (for example those described in WO 97/46530 and EP-A-0 353 187) and comprises

a) reacting a compound of the formula II

in which R and m are as defined under formula I and X is a leaving group, for example halogen, in an inert organic solvent in the presence of a base with compounds of the formula III, IV,V or VI

(III)
$$\bigcap_{R_{19}} \bigcap_{R_{18}} \bigcap_{R_{17}} \bigcap_{R_{241}} \bigcap_{R_{242}} \bigcap_{R_{242}} \bigcap_{R_{243}} \bigcap_{R_{34}} \bigcap_{R_{34}} \bigcap_{R_{34}} \bigcap_{R_{35}} \bigcap_{R_{35}}$$

in which R_{16} , R_{17} , R_{18} , R_{19} , R_{29} , R_{33} , R_{34} , R_{35} , R_{240} , R_{243} , R_{242} , R_{241} , A and Y are as defined under formula I to give the compounds of the formula VII, VIII, IX or X

$$R_{19}$$
 R_{18} R_{17} R_{240} R_{243} R_{243}

and then isomerizing these compounds, for example in the presence of a base and a catalytic amount of dimethylaminopyridine (DMAP) or a source of cyanide; or b) reacting a compound of the formula XI

in which R and m are as defined under formula I with compounds of the formula III, IV, V or VI

(VI),

WO 00/15615

PCT/EP99/06761

in which R₁₆, R₁₇, R₁₈, R₁₉, R₂₉, R₃₃, R₃₄, R₃₅, R₂₄₀, R₂₄₃, R₂₄₂, R₂₄₁, A and Y are as defined under formula I in an inert organic solvent in the presence of a base and a coupling agent to give a compound of the formula VII, VIII, IX or X

and then isomerizing these compounds, for example as described under route a).

Compounds of the formula I in which R_{20} , R_{23} , R_{26} and R_{30} are different from hydroxyl or halogen can be prepared by converesion methods which are generally known from the literature, for example acyclations or carbamoylations with appropriate acyl chlorides, from compounds in which R_{20} , R_{23} , R_{26} or R_{30} is hydroxyl in the presence of a suitable base, or they can be prepared by nucleophilic substitution reactions on chlorides of the formula I in which R_{20} , R_{23} , R_{26} or R_{30} is halogen, which are likewise obtainable by known processes by reaction with a chlorinating agent, such as phosgene, thionyl chloride or oxalyl chloride. Here, for example, suitably substituted amines, or hydroxylamines directly, or

WO 00/15615

alkylsulfonamides, mercaptans, thiophenois, phenois, Ar₁-NH₂ or Ar₁-SH, are employed in the presence of a base, for example 5-ethyl-2-methylpyridine, diisopropylethylamine, triethylamine, sodium bicarbonate, sodium acetate or potassium carbonate.

Compounds of the formula I in which R₂₀, R₂₃, R₂₆ or R₃₀ comprise thio groups can be oxidized analogously to known standard processes, for example using peracids, for example meta-chloroperbenzoic acid (rn-CPBA) or peracetic acid, to give the corresponding sulfones and sulfoxides of the formula I. Here, the degree of oxidation at the sulfur atom (SO- or SO₂-) can be controlled by the amount of oxidizing agent.

The process according to the invention for preparing compounds of the formula I in which R and m are as defined under formula I and Q is a group

in which Z is sulfur, q is 0 and R_{35} and R_{01} are as defined under formula I is carried out analogously to known processes (for example those described in WO 97/43270) and comprises converting a compound of the formula XII

in which R_{36} , R and m are as defined under formula I in the presence of a base, carbon disulfide and an alkylating agent of the formula XIII

$$R_{01}$$
- X_1 (XIII),

in which R_{01} is as defined under formula I and X_1 is a leaving group, for example halogen or sulfonate, into the compound of the formula XIV

- 29 -

$$\begin{array}{c|c} (R)m & O & O \\ \hline & & \\ & & \\ R_{01}Z & ZR_{01} \end{array} \qquad (XIV),$$

in which Z is sulfur and R, R_{01} , R_{36} and m are as defined above and then cyclizing this compound using hydroxylamine hydrochloride, in the presence or absence of a solvent, in the presence of a base to give the compounds of the formulae

$$(R)m \longrightarrow N \qquad (le) \text{ and } \qquad R_{36} \longrightarrow N \qquad (lf)$$

in which Z is sulfur and R, R_{36} , R_{01} and m are as defined above, and then oxidizing these compounds with an oxidizing agent, for example meta-chloroperbenzoic acid (m-CPBA). The isomers of the formulae le and If can be separated using column chromatography and a suitable mobile phase and then purified.

The preparation of the compounds of the formula *i* in which p is 0 is illustrated in more detail in the reaction schemes 1 and 2 below.

- 30 -

Reaction scheme 1

Route a):

(B)m
$$\times$$
 + III, IV, V or VI Base e.g. $(C_2H_5)_3N$, VII, VIII, IX, or X Solvent e.g. CH_2CI_2 , 0-110°C

Route b):

Base e.g.
$$(C_2H_5)_3N$$
, coupling agent e.g.

VII, VIII, IX, or X

Solvent e.g. CH_2CI_2 ,

0-110°C

Isomerization:

Base e.g. $(C_2H_5)_3N$,

KCN cat.

(R)m

According to this reaction scheme, the compounds of the formula I with the group Q_1 in which R_{20} is hydroxyl, the compounds of the formula I with the group Q_2 in which R_{23} is hydroxyl, the compounds of the formula I with the group Q_3 in which R_{26} is hydroxyl and the compounds of the formula I with the group Q_4 in which R_{30} is hydroxyl can preferably be prepared.

Reaction scheme 2

WO 00/15615

$$\frac{\text{NH}_2\text{OH .HCl, base e.g.}}{\text{NaOAc/C}_2\text{H}_5\text{OH}} = \frac{\text{O}}{\text{N}} = \frac{\text{Z} - \text{R}_{01}}{\text{N}} = \frac{\text{O}}{\text{N}} = \frac{\text{Z} - \text{R}_{01}}{\text{N}} = \frac{\text{O}}{\text{N}} = \frac{\text{Z} - \text{R}_{01}}{\text{N}} = \frac{\text{O}}{\text{N}} = \frac{\text{N}}{\text{N}} = \frac{\text{O}}{\text{N}} = \frac{\text{N}}{\text{N}} = \frac{\text{N}}{\text{N}}$$

if Z = SO- or SO_2 -

For preparing the compounds of the formula l in which Q is the groups Q_1 to Q_2 and R_{20} , R_{23} , R_{26} and R_{30} are hydroxyl, in accordance with reaction scheme 1, route a), the carboxylic acid derivatives of the formula ll in which X is a leaving group, for example halogen, for example iodine, bromine and in particular chlorine, N-oxyphthalimide or N,O-

dimethylhydroxylamino or part of an activated ester, for example N=C-NH-O-

(formed from dicyclohexylcarbodiimide (DCC) and the corresponding carboxylic acid) or

 $c_2^{}H_5^{}N = \underset{O_{-}}{\text{C}} - \text{NH}(\text{CH}_2)_3^{}N(\text{CH}_3)_2 \quad \text{(formed from N-ethyl-N'-(3-dimethylaminopropyl)carbodiimide)}$

(EDC) and the corresponding carboxylic acid) are employed. These compounds are reacted in an inert organic solvent, for example a halogenated hydrocarbon, for example dichloromethane, a nitrile, for example acetonitrile, or an aromatic hydrocarbon, for example toluene, and in the presence of a base, for example an alkylamine, for example triethylamine, an aromatic amine, for example pyridine or 4-dimethylaminopyridine (DMAP), with the dione derivatives of the formula III, IV, V or VI to give the isomeric enol ethers of the formulae VII, VIII, IX and X. This esterification is carried out at temperatures of from 0°C to 110°C.

The isomerization of the ester derivatives of the formulae VII, VIII, IX and X to the dione derivatives of the formula I (in which R₂₀, R₂₃, R₂₆ and R₃₀ are hydroxyl) can be carried out, for example, analogously to EP 369 803 in the presence of a base, for example an alkylamine, for example triethylamine, a carbonate, for example potassium carbonate, and a catalytic amount of DMAP or a cyanide source, for example acetone cyanohydrin or potassium cyanide.

According to reaction scheme 1, route b), the desired diones of the formula I (in which R₂₀, R₂₃, R₂₆ and R₃₀ are hydroxyl) can be obtained, for example, in analogy to Chem. Lett. 1975, 1045 by esterifying the carboxylic acids of the formula XI with the dione derivatives of the formula III, IV, V or VI in an inert solvent, for example a halogenated hydrocarbon, for example dichloromethane, a nitrile, for example acetonitrile, or an aromatic hydrocarbon, for example toluene, in the presence of a base, for example an alkylamine, for example triethylamine, and a coupling agent, for example 2-chloro-1-methylpyridinium iodide. Depending on the solvent used, this esterification is carried out at temperatures of from 0°C to 110°C, affording initially, as described under route a), the isomeric ester of the formula I which can be isomerized as described under route a), for example in the presence of a base and a catalytic amount of DMAP, or a cyanide source, to give the desired dione derivative of the formula I (R₂₀, R₂₃, R₂₆ and R₃₀ are hydroxyl).

The preparation of the compounds of the formula I in which Q is the group Q_5 can be carried out in accordance with reaction scheme 2 by reacting the β -diketone derivative of

the formula XII, for example in analogy to Synthesis 1991, 301; ibid. 1988, 793; or Tetrahedron 32, 3055 (1976) with carbon disulfide in the presence of a base, for example a carbonate, for example potassium carbonate, a metal hydride, for example sodium hydride, or potassium fluoride on aluminium, and an alkylating agent of the formula XIII in which X₁ is a leaving group, for example halogen, for example iodine, bromine and in particular

carried out in the presence of a solvent, for example an amide, for example N,N-dimethylformamide (DMF), a sulfoxide, for example dimethylsulfoxide (DMSO), or a nitrile, for example acetonitrile. The ketene thioacetal of the formula XIV which is formed is cyclized with the aid of hydroxylamine hydrochloride in the presence of a base, for example sodium acetate, in a solvent, for example an alcohol, for example ethanol, or an ether, for example tetrahydrofuran, to give the compound of the formula le in which Z is sulfur. This cyclization reaction is carried out at temperatures of from 0°C to 100°C. If appropriate, compounds of the formulae le and If (Z is sulfur) can be oxidized analogously to known standard processes, for example with peracids, for example meta-chloroperbenzoic acid (m-CPBA) or peracetic acid, to give the corresponding sulfones and sulfoxides of the formulae le and If (Z = SO- or SO₂-). Here, the degree of oxidation at the sulfur atom (Z = SO- or SO₂-) can be controlled by the amount of oxidizing agent.

Oxidations to the compounds of the formulae le and If (Z is SO- or SO₂-) are carried out as described, for example, in H.O. House, "Modern Synthetic Reactions" W. A. Benjamin, Inc., Menlo Park, California, 1972, pages 334-335 and 353-354.

The activated carboxylic acid derivatives of the formula II in reaction scheme 1 (route a) in which X is a leaving group, for example halogen, for example bromine, iodine or in particular chlorine, can be prepared by known standard processes, as described, for example, in C. Ferri "Reaktionen der organischen Synthese" [Reactions of Organic Synthesis], Georg Thieme Verlag, Stuttgart, 1978, page 461 ff. This is shown in reaction scheme 3 below.

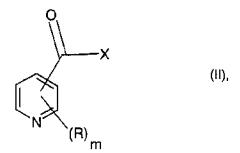
Reaction scheme 3

According to reaction scheme 3, the compounds of the formula II (X=leaving group) or II (X=halogen) are prepared, for example, by employing a halogenating agent, for example a thionyl halide, for example thionyl chloride or thionyl bromide; a phosphorus halide or phosphorus oxyhalide, for example phosphorus pentachloride or phosphorus oxychloride or phosphorus pentabromide or phosphoryl bromide; or an oxalyl halide, for example oxalyl chloride, or by employing a reagent for the formation of activated esters, for example N,N'-dicyclohexylcarbodiimide (DCC) or N-ethyl-N'-(3-dimethylaminopropyl)carbodiimide (EDC) of the formula X. For the compound of the formula X used as halogenating agents, X is a leaving group, for example halogen, for example fluorine, bromine or iodine and in particular chlorine, and W₁ is, for example, PCl₂, SOCI, SOBr or CICOCO.

The reaction is carried out in the presence or absence of an inert organic solvent, for example in aliphatic, halogenated aliphatic, aromatic or halogenated aromatic hydrocarbons, for example n-hexane, benzene, toluene, xylenes, dichloromethane, 1,2-dichloroethane or chlorobenzene, at reaction temperatures in the range of from -20°C to the reflux temperature of the reaction mixture, preferably at 40-150°C, and in the presence of a catalytic amount of N,N-dimethylformamide. Such reactions are generally known and described in the literature in various variations with respect to the leaving group X.

The compounds of the formulae III, IV, V and VI are known and can be prepared analogously to the methods described, for example, in WO 92/07837, DE-A-3818958, EP-A-0 338 992 and DE-A-3902818.

The compounds of the formula XII in reaction scheme 2 can be obtained by standard processes, for example from the corresponding compounds of the formula II



in which R and m are as defined above and X is a leaving group, for example halogen, for example via Claisen condensation, or from the compounds of the formula II by reaction with a ketocarboxylic acid salt of the formula XV

in which R₃₆ is as defined under formula I and M⁺ is an alkali metal ion (cf., for example, WO 96/26192).

The compounds of the formulae II and XI are known and can be prepared analogously to the methods described, for example, in WO 97/46530, EP-A-0 353 187, Heterocycles, 48, 779 (1998), Heterocycles, 46, 129 (1997), or Tetrahedron Letters, 1749 (1998).

For preparing all other compounds of the formula I functionalized according to the definition of $(R)_m$, there is a large number of known standard processes available, for example alkylation, halogenation, acylation, amidation, oximation, oxidation and reduction, and the choice of the suitable preparation processes depends on the properties (reactivities) of the substituents in the intermediates in question.

All further compounds originating from the scope of the formula I can be prepared in a simple manner, taking into account the chemical properties of the pyridyl or Q moiety.

The end products of the formula I can be isolated in a customary manner by concentration or evaporation of the solvent and be purified by recrystallization or trituration of the solid residue in solvents in which they are only sparingly soluble, such as ethers, aromatic

hydrocarbons or chlorinated hydrocarbons, by distillation or by means of column chromatography and a suitable mobile phase.

Furthermore, it is known to the person skilled in the art in which order certain reactions have to be carried out advantageously to avoid possible side reactions. Unless a targeted synthesis is carried out for isolating pure isomers, the product may be obtained as a mixture of two or more isomers. The isomers can be separated by methods known per se.

Compounds of the formula I in which p is 1, i.e. the corresponding N-oxides of the formula I, can be prepared by reacting a compound of the formula I in which p is 0 with a suitable oxidizing agent, for example with the H_2O_2 urea adduct, in the presence of an acid anhydride, for example trifluoroacetic anhydride. This reaction sequence is demonstrated using the example of group O_2 below:

Compounds of the formula I in which R in the ortho position to the pyridine nitrogen is 1-chloro-C₁-C₂alkyl, 1-hydroxy-C₁-C₂alkyl, 1-(C₁-C₆alkylcarbonyloxy)-C₁-C₂alkyl, 1-benzoyloxy-C₁-C₂alkyl, 1-(C₁-C₄alkoxycarbonyloxy)-C₁-C₂alkyl, 1-(C₁-C₄alkylthio)-C₁-C₂alkyl, 1-(C₁-C₄alkylsulfinyl)-C₁-C₂alkyl, 1-thiocyanato-C₁-C₂alkyl, 1-cyano-C₁-C₂alkyl, can also be prepared, for example, by heating an N-oxide of the formula I under known reaction conditions, for example in the presence of tosyl chloride (see, for example, Parham, W. E.; Sloan, K. B.; Reddy, K. R.; Olson, P. E.; *J Org Chem* 1973, 38, 927) or in the presence of an acid anhydride (see, for example, Konno, K.; Hashimoto, K.; Shirahama, H.; Matsumoto, T.; *Heterocycles* 1986, 24, 2169), followed, if appropriate, by subsequent conversion.

The compounds of the formula XXIIa are synthesized analogously to known processes, for example those mentioned in Heterocycles, 46, 129 (1997) or Helvetica Chimica Acta 71, 596 (1988), and comprises either

a) acylating a compound of the formula XVI

- 37 -

in which R₃₀₁ is hydrogen or C₁-C₆alkyl;

R₄₀₁ is hydrogen, C₁-C₆alkyl, C₂-C₆alkenyl, C₃-C₆cycloalkyl, C₁-C₆alkoxy, C₁-C₆alkylthio, C₁-Cealkylsulfinyl, C1-Cehaloalkyl, 1-(C1-Cealkylcarbonyloxy)-C1-Cealkyl, 1-(C1-Cealkylthio)-C1-C₆-alkyl, 1-(C₁-C₆alkylsulfinyl)-C₁-C₆alkyl, 1-(C₁-C₆alkylsulfonyl)-C₁-C₆alkyl, 1-thiocyanato-C₁-C₆-alkyl, 1-cyano-C₁-C₆alkyl, phenyl, where the phenyl groups may be mono- or polysubstituted by halogen, methyl, ethyl, trifluoromethyl, methoxy or nitro, or is a five-to ten-membered monocyclic or fused bicyclic ring system which may be aromatic or partially saturated and may contain 1 to 4 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur, where the ring system is either attached directly or via a C1-C₄alkylene group to the double bond, and each ring system may not contain more than 2 oxygen atoms and not more than two sulfur atoms and the ring system for its part may be mono-, di- or trisubstituted by C₁-C₆alkyl, C₁-C₆haloalkyl, C₃-C₆alkenyl, C₃-C₆haloalkenyl, C₃-Cealkynyl, C3.Cehaloaikynyl, C1-Cealkoxy, C1-Cehaloaikoxy, C3-Cealkenyloxy, C3-Cealkynyloxy, mercapto, C₁-Cealkytthio, C₁-Cehaloalkytthio, C₃-Cealkenytthio, C₃-C₆haioalkenylthio, C₃-C₆alkynylthio, C₂-C₅alkoxyalkylthio, C₃-C₅acetylalkylthio, C₃-Cealkoxycarbonylalkylthio, C2-C4cyanoalkylthio, C1-Cealkylsulfinyl, C1-Cehaloalkylsulfinyl, C1-Cealkylsulfonyl, C1-Cehaloalkylsulfonyl, aminosulfonyl, C1-C2alkylaminosulfonyl, C2-C₄dialkylaminosulfonyl, C₁-C₃alkylene-R₈₇, NR₈₈R₈₉, halogen, cyano, nitro, phenyl and benzylthio, where phenyl and benzylthio for their part may be substituted on the phenyl ring by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃-haloalkoxy, halogen, cyang or nitro and where substituents on nitrogen in the heterocyclic ring are different from halogen; R₈₇ is C₁-C₃alkoxy, C₂-C₄alkoxycarbonyl, C₁-C₃alkylthio, C₁-C₃alkylsulfinyl, C₁-C₃alkyisulfonyl or phenyl, where phenyl for its part may be substituted by C1-C3alkyl, C1-C3haloalkyl, C1-C3alkoxy, C₁-C₃haloalkoxy, halogen, cyano or nitro;

R₈₈ is hydrogen or C₁-C₆alkyl and R₈₉ is C₁-C₆alkyl or C₁-C₆alkoxy; with a compound of the formula XVII

- 38 -

in which R_{501} is C_1 - C_6 haloalkyl and X_2 is $O(CO)R_{501}$ or halogen to give the compound of the formula XVIII

in which R₃₀₁, R₄₀₁, R₅₀₁ and R₁₄ are as defined above, in the presence of a base, for example an aromatic amine, for example pyridine, and subsequently replacing the alkoxy group by the amino group using ammonia in an organic solvent, for example a halogenated hydrocarbon, for example dichloromethane, or a nitrile, for example acetonitrile. The resulting compound of the formula XIX

$$\begin{array}{c|c}
 & \text{NH}_2 & \text{O} \\
 & \text{R}_{301} & \text{R}_{501} & \text{(XIX)}
\end{array}$$

is subsequently condensed with a compound of the formula XX

in which R₂₀₁ is C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆haloalkenyl, C₂-C₆alkynyl, C₂-C₆-haloalkynyl, C₃-C₆cycloalkyl, C₁-C₆haloalkyl, 1-(C₁-C₆alkylcarbonyloxy)-C₁-C₆alkyl, 1-(C₁-C₆alkylthio)-C₁-C₆alkyl, 1-(C₁-C₆alkylsulfinyl)-C₁-C₆alkyl, 1-(C₁-C₆alkylsulfonyl)-C₁-C₆alkyl, 1-thiocyanato-C₁-C₆alkyl, 1-cyano-C₁-C₆alkyl, C₁-C₆alkoxy-C₁-C₆alkyl, C₁-C₆alkoxy-C₁-C₆alkyl, C₁-C₆alkoxy-C₁-C₆alkyl, C₁-C₆alkoxy-C₁-C₆alkylthio-C₁-C₆alkoxy, phenyl, benzyl, phenoxy, phenylthio, phenylsulfinyl, phenylsulfonyl, benzylthio, benzylsulfinyl or benzylsulfonyl, where the phenyl groups may be mono- or polysubstituted at least by halogen, methyl, ethyl, trifluoromethyl, methoxy or nitro, or is a five- to ten-membered monocyclic or fused bicyclic ring system which may be aromatic or partially saturated and may contain 1 to 4 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur, where the ring system is attached either directly or via a C₁-

 C_4 -alkylene group and each ring system may not contain more than 2 oxygen atoms and not more than two sulfur atoms, and the ring system for its part may be mono-, di- or trisubstituted by C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_3 - C_6 alkenyl, C_3 - C_6 haloalkenyl, C_3 - C_6 alkenyl, C_3 - C_6 alkenyloxy, C_3 - C_6 alkynyloxy, mercapto, C_1 - C_6 alkylthio, C_1 - C_6 haloalkylthio, C_3 - C_6 alkenylthio, C_3 - C_6 alkoxyalkylthio, C_3 - C_6 alkoxyalkylthio, C_3 - C_6 alkynylthio, C_3 - C_6 alkynylthio, C_3 - C_6 alkylsulfinyl, C_1 - C_6 alkylsulfonyl, C_1 - C_6 alkylsulfonyl, aminosulfonyl, C_1 - C_6 alkylaminosulfonyl, C_1 - C_6 alkylsulfonyl, aminosulfonyl, C_1 - C_2 alkylaminosulfonyl, C_2 - C_4 dialkylaminosulfonyl, C_1 - C_3 - C_4 - C_6

R₉₀ is C₁-C₃alkoxy, C₂-C₄alkoxycarbonyl, C₁-C₃alkylthio, C₁-C₃alkylsulfinyl, C₁-C₃alkylsulfonyl or phenyl, where phenyl for its part may be substituted by C₁-C₃-alkyl, C₁-C₃haloalkyl, C₁-C₃-alkoxy, C₁-C₃haloalkoxy, halogen, cyano or nitro;

R₉₁ is hydrogen or C₁-C₆alkyl and

R₉₂ is C₁-C₆alkyl or C₁-C₆alkoxy and

R₁₄ is as defined above, and the resulting compound of the formula XXIa

is subsequently hydrolysed to give the compound of the formula XXIIa

in which R₂₀₁, R₃₀₁, R₄₀₁ and R₅₀₁ are as defined above, or

b) condensing a compound of the formula XXIII

in which R₁₄ is as defined above with a compound of the formula XXIV

$$R_{401} \longrightarrow OR_{14} \qquad (XXIV)$$

and chlorinating the resulting compound of the formula XXV

in which R_{301} , R_{401} and R_{501} are as defined above and R_{14} is C_1 - C_4 alkyl to give compounds of the formula XXVI

in which R_{301} , R_{401} , R_{501} and R_{14} are as defined above (using, for example, POCl₃), and subsequently reacting this compound with a nucleophile of the formula XXVII

In which Z is SH, OH or amino and R_{150} is C_1 - C_6 alkyl, C_3 - C_6 alkenyl, C_3 - C_6 halogenaikenyl, C_3 - C_6 halogenaikenyl, C_4 - C_6 haloalkynyl, C_4 - C_6 haloalkynyl, C_4 - C_6 haloalkyl, phenyl, benzyl, where the phenyl and benzyl groups for their part may be substituted by C_4 - C_3 alkyl, C_4 - C_3 haloalkyl, C_4 - C_3 alkoxy, C_4 - C_3 haloalkoxy, halogen, cyano or nitro, is C_4 - C_4 alkoxy- C_4 - C_4 alkyl or C_4 - C_4 -alkyl- C_4 - C_4

ten-membered monocyclic or fused bicyclic ring system which may be aromatic or partially saturated and may contain 1 to 4 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur, and each ring system may not contain more than 2 oxygen atoms and not more than two sulfur atoms, and the ring system for its part may be mono-, di- or trisubstituted by C₁-C₆alkyl, C₁-C₆haloalkyl, C₃-C₆alkenyl, C₃-C₆haloalkenyl, C₃-C₆-alkynyl, C₃-C₆haloalkynyl, C₁-C₆alkoxy, C₁-C₆haloalkoxy, C₃-C₆alkenyloxy, C₃-C₆alkynyloxy, mercapto, C₁-C₆alkylthio, C₁-C₆haloalkylthio, C₃-C₆alkenylthio, C₃-C₆haloalkynylthio, C₃-C₆alkynylthio, C₂-C₅alkoxyalkylthio, C₃-C₅acetylalkylthio, C₃-C₆alkoxycarbonylalkylthio, C₂-C₄-cyanoalkylthio, C₁-C₆alkylsulfinyl, C₁-C₆haloalkylsulfinyl, C₁-C₆-haloalkylsulfinyl, C₁-C₆-haloalkylsulfonyl, aminosulfonyl, C₁-C₆haloalkylsulfinyl, C₁-C₆alkylsulfonyl, aminosulfonyl, C₁-C₂alkylaminosulfonyl, C₂-C₄dialkylaminosulfonyl, C₁-C₃-haloalkyl, alkylene-R₉₃, NR₉₄R₉₅, halogen, cyano, nitro, phenyl and benzylthio, where phenyl and benzylthio for their part may be substituted on the phenyl ring by C₁-C₃alkyl, C₁-C₃-haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, halogen, cyano or nitro, and where substituents on nitrogen in the heterocyclic ring are different from halogen;

 R_{93} is C_1 - C_3 alkoxy, C_2 - C_4 alkoxycarbonyl, C_1 - C_3 alkylthio, C_1 - C_3 alkylsulfinyl, C_1 - C_3 alkylsulfinyl or phenyl, where phenyl for its part may be substituted by C_1 - C_3 alkyl, C_1 - C_3 haloalkyl, C_1 - C_3 -alkoxy, C_1 - C_3 haloalkoxy, halogen, cyano or nitro:

R₉₄ is hydrogen or C₁-C₈alkyl and

 R_{95} is C_1 - C_6 alkyl or C_1 - C_6 alkoxy;

in the presence of a base to give compounds of the formula XXIb

in which R_{14} , R_{150} , R_{301} , R_{401} and R_{501} are as defined above, and subsequently hydrolysing the resulting compound to give the compound of the formula XXIIb

in which R_{150} , R_{301} , R_{401} and R_{501} are as defined.

Compounds of the formula XXIb in which R₁₅₀ is fluorine are prepared by reacting a compound of the formula XXVI in the presence of a polar aprotic solvent, for example acetonitrile, dimethylformamide or sulfolane, with potassium fluoride in the presence or absence of a catalytic amount of 18-crown-6. Compounds of the formula XXIc in which R₁₅₀ is hydrogen are prepared by reducing the chlorine group in the formula XXVI, for example using hydrogen in the presence of a suitable metal catalyst or using ammonium formate in a suitable solvent. The preparation of the compounds of the formula XXIIa, or XXIIb and XXIIc is illustrated in more detail in the reaction schemes 4 and 5 below.

Reaction scheme 4

WO 00/15615

- 43 -

Reaction scheme 5:

For preparing all other compounds of the formula I which are functionalized according to the definition of R₂₀₁ (R₁₅₀) to R₅₀₁, a large number of known standard processes is suitable, for example alkylation, halogenation, acylation, amidation, oximation, oxidation and reduction, the choice of the suitable preparation processes depending on the properties (reactivities) of the substituents in the intermediates in question.

The novel compounds of the formula lib in which R_f is trifluoromethyl, difluorochloromethyl, pentafluoroethyl, heptafluoro-n-propyl or trichloromethyl, Rx1 is C1-C6alkyl and Q and R are as defined under formula I can be prepared by generally known processes via 3-alkoxycarbonyl-4-perhaloalkylpyridine N-oxides of the formula XXVIII according to

- 44 -

reaction scheme 5 by preparing, using suitable chlorination conditions and separation processes, the 6-chloro-4-haloalkyl-3-nicotinic esters of the formula XXX and then converting these compounds with a nucleophile of the formula XXXI

 $Z_{01}-R_{151}$ (XXXI)

in which Z_{01} is SH, hydroxyl, halogen or amino and R_{151} is hydrogen, C_1 - C_6 alkyl, C_3 - C_6 -alkenyl, C_3 - C_6 haloalkenyl, C_3 - C_6 haloalkenyl, C_3 - C_6 haloalkenyl, C_3 - C_6 haloalkynyl, C_1 - C_5 alkylsulfonyl, C_1 - C_6 -haloalkyl, phenyl, benzyl, where the phenyl and benzyl groups for their part may be substituted by C_1 - C_3 alkyl, C_1 - C_3 haloalkyl, C_1 - C_3 alkoxy, C_1 - C_3 haloalkoxy, halogen, cyano or nitro, is C_1 - C_4 alkoxy- C_1 - C_4 alkyl or C_1 - C_4 alkylthio- C_1 - C_4 alkyl, C_1 - C_4 alkylsulfonyl- C_1 - C_4 alkyl, or a five- to ten-membered monocyclic or fused bicyclic ring system which may be aromatic or partially saturated and may contain 1 to 4 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur, and each ring system may not contain more than 2 oxygen atoms and not more than two sulfur atoms, and the ring system for its part may be mono-, di- or trisubstituted by

 C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_3 - C_6 alkenyl, C_3 - C_6 haloalkenyl, C_3 - C_6 alkynyl, C_3 - C_6 -haloalkynyl, C_1 - C_6 haloalkoxy, C_3 - C_6 alkenyloxy, C_3 - C_6 alkynyloxy, mercapto, C_1 - C_6 alkylthio, C_1 - C_6 haloalkylthio, C_3 - C_6 alkenylthio, C_3 - C_6 alkynylthio, C_3 - C_6 alkynylthio, C_3 - C_6 alkylthio, C_3 - C_6 alkylsulfonyl, C_1 - C_6 -alkylsulfonyl, C_1 - C_6 haloalkylsulfonyl, aminosulfonyl, C_1 - C_6 haloalkylsulfonyl, aminosulfonyl, C_1 - C_2 alkylaminosulfonyl, C_2 - C_4 dialkylaminosulfonyl, C_1 - C_3 alkylene- C_3 6, C_3 6, C_3 6, C_3 7, C_3 8, C_3

 R_{96} is C_1 - C_3 alkoxy, C_2 - C_4 alkoxycarbonyl, C_1 - C_3 alkylthio, C_1 - C_3 alkylsulfinyl, C_1 - C_3 alkylsulfinyl, C_1 - C_3 alkylsulfinyl, C_1 - C_3 alkyl, C_1 - C_3 haloalkyl, C_1 - C_3 -alkoxy, C_1 - C_3 haloalkoxy, halogen, cyano or nitro;

Reg is hydrogen or C₁-C₆alkyl and

 R_{98} is C_1 - C_6 alkyl or C_1 - C_6 alkoxy;

and where substituents on nitrogen in the heterocyclic ring are different from halogen, using reaction processes which are generally known to the person skilled in the art, into the 6-substituted 4-perhaloalkylnicotinic acids of the formula XXXII and their subsequent products of the formulae IIb and Ib as described in reaction scheme 1. This is shown in reaction scheme 6 below.

- 45 -

Reaction scheme 6:

According to this reaction scheme, it is preferably possible to prepare the compounds of the formula I with the group Q1 in which R20 is hydroxyl, the compounds of the formula I with the group Q2 in which R23 is hydroxyl, the compounds of the formula I with the group Q3 in which R₂₆ is hydroxyl and the compounds of the formula I with the group Q₄ in which R₃₀ is hydroxyl.

6-substituted 2-haloalkylnicotinic acid compounds of the formula ic can be prepared, for example, from the corresponding 2-haloalkyi-3-alkoxycarbonyi-2-pyridines XXXIII in which Rf is trifluoromethyl, difluorochloromethyl, pentafluoroethyl, heptafluoro-n-propyl or trichloromethyl and R_{1x} is C₁-C₆alkyl and R is as defined under formula I, by hydrolysis into the corresponding carboxylic acids and their subsequent activation, for example by conversion into an acyl halide (IIc). (Reaction scheme 7).

Reaction scheme 7:

$$Z_{01} = \frac{1}{N} \frac{1}{Rf} = \frac{1}{2} \frac{1}{(COCI)_2} = \frac{1}{Z_{01}} \frac{1}{N} \frac{1}{Rf} = \frac{1}{Z_{01}} \frac{1}{N} \frac{1}{Rf} = \frac{$$

Their precursors of the formulae XXXIIIa, XXXIIIb, XXXIIIc, XXXIIId, XXXIIIe, XXXIIIf, XXXIIII and XXXIIIIh are likewise accessible by conversion processes known to the person skilled in the art (reaction scheme 7). 2-Trifluoromethyl-3-ethoxycarbonyl-2-pyridone (formula XXXIIIa in which R is hydrogen, R_{1X} is ethyl and Rf is trifluoromethyl) in particular is known from Org. Process Research & Development, 1, 370 (1997).

Reaction scheme 8 (intermediates of the formulae XXXIIIa-XXXIIIh)

Intermediates of the formulae XXXIIIa to XXXIIIh can be obtained by reacting, for example for preparing a 6-halo derivative of the formula XXXIIId, a pyridone of the formula XXXIIIa (preparation according to Org. Process Research & Development, 1, 370 (1997) or scheme 8) with a halogenating agent, for example phosphorus oxychloride, phosphorus oxybromide or phenyl dichlorophosphate, in the presence or absence of added base, such as a dialkylaniline, in the presence or absence of solvent, if desired in å pressure vesset, at temperatures between 0 and 220°C (preferably 60-200°C). It is known to the person skilled in the art how to convert chloro derivatives by nucleophilic substitution, for example using an alkali metal iodide in an inert solvent into the corresponding iodides, or using gaseous

hydrobromic acid in lower carboxylic acids, for example conc. acetic acid, into the corresponding bromo derivatives (for example according to US-A-3,974,166) or using alkali metal fluoride in a dipolar solvent, such as sulfolane, into the corresponding fluoro derivatives.

The compound of the formula XXXIIIe can be prepared by reacting a halo derivative of the formula XXXIIId obtained as described above with an alcohol of the formula R₁₅₁-OH in the presence of a base, such as sodium hydride, or an alkali metal oxide or carbonate, or directly with an alkali metal alkoxide, in an inert solvent such as dimethylformamide or in an excess of the alcohol of the formula R₁₅₁-OH which corresponds to the group to be introduced, at temperatures between -5 and 160°C, or by reacting, to prepare a corresponding 6-thioether of the formula XXXIIIc, analogously to what was described above, either the halide of the formula XXXIIId with a thiol of the formula R₁₅₁-SH in the presence of a base such as sodium hydride or with an alkali metal salt of a thiol in an inert solvent at ~10-150°C, or by preparing, starting from a pyridone XXXIIIa and using a thionating agent, for example Lawesson's reagent, in an inert solvent, such as toluene or acetonitrile, a pyrithione of the formula XXXIIIb and alkylating this with an alkylating agent R₁₅₁-X, where X is a leaving group, such as halide (Cl. Br, I) or ROSO₃- or RSO₂-, at 20-120°C in an inert solvent, such as tetrahydrofuran, to give the thioether of the formula XXXIIIc, or, to prepare the corresponding sulfinyl or sulfonyl derivative of the formula XXXIIIf, reacting with an oxidizing agent, such as m-chloroperbenzoic acid or sodium periodate, or sodium perborate, under temperature control known to the person skilled in the art, depending on the degree of oxidation (for example -30° C $-+50^{\circ}$ C for $m_{01} = 1$ or -20°C - +100°C for m₀₁=2) in an inert solvent, such as dichloromethane, to give XXXIIIf, or, to prepare 6-alkyl derivatives XXXIIIg according to the invention, reacting a sulfone of the formula XXXIIIf (mo1 =2) or a halo derivative of the formula XXXIIId in the presence or absence of a Pd(0) catalyst such as Pd(PPh₃)₂Cl₂ with a tetra-C₁-C_ealkyltin or with a Grignard reagent C₁-C₆alkyl-MgHal at temperatures between -10° and 180°C, for example analogously to Synlett 1998 (1185), or as described in Organocopper Reagents, R.J.K.Taylor, Oxford University Press 1994, or in Transition Metals in Organic Synthesis, S. Gibson, Oxford Univ. Press,1997, or in Org. React. 50, 1 (Stille reaction), or, to prepare 6cyano derivatives of the formula XXXIIIh, reacting a halide of the formula XXXIIId or a sulfone of the formula XXXIIIf (mo1=2) with an alkali metal or tetraalkylammonium cyanide or copper cyanide in an inert solvent, such as dichloromethane, tetrahydrofuran or dimethylformamide, at temperatures between 0°C and 220°C.

Some of the compounds of the formula XXXIIIe are also obtainable from the pyridone of the formula XXXIIIa by reacting them analogously to Org. React. 42, 2 with an alcohol R₁₅₁OH in the presence of an azodicarboxylic ester (for example diethyl ester) and triphenylphosphine in an inert solvent, such as tetrahydrofuran or dioxane. (Scheme 9)

Reaction scheme 9:

The intermediates of the formula XXXIIIa required in reaction scheme 8 as starting materials are obtainable according to Scheme 10 route A or route B (Org. Process Research & Development, 1, 370 (1997)) or route C.

Reaction scheme 10

Intermediates of the formula XXXIIIa are obtainable by route A by reacting, to prepare the 3,4-dihydro-5-alkoxycarbonyl-6-haloalkylpyridin-2-ones of the formula XXXVIII, an enamine of the formula XXXV in the presence or, preferably, in the absence of a solvent either in an excess of enamine or in the presence of a base, such as a tert-amine, with an acryloyl chloride of the formula XXXIV at temperatures between –10° and + 200°C, or by reacting a keto ester of the formula XXXVII with an acrylamide of the formula XXXVI in the presence of a catalyst such as p-toluenesulfonic acid (=HOTs) in an inert solvent, such as toluene, at temperatures between 30 and 200°C, with removal of the water of reaction formed (for example azeotropic distillation), or by reacting a keto ester of the formula XXXVII in the presence of a base, such as an alkali metal alkoxide or magnesium alkoxide, with a 4-haloketo ester of the formula XXXIX in an inert solvent, such as ethanol, at 0-180°C to give the intermediate of the formula XXXX, converting this with ammonia or an ammonium salt, such

as ammonium acetate, or with a bis-silylamine such as hexamethyldisilazane, in the presence or absence of an acidic catalyst, such as sulfuric acid or p-toluenesulfonic acid or an organic carboxylic acid (for example conc. acetic acid), in an inert solvent and at temperatures between 0° and 180°C into the corresponding enamine of the formula XXXXI, subsequently cyclizing in the presence of a catalyst, such as p-toluenesulfonic acid or sulfuric acid, if desired with continuous removal of the water of reaction formed in an inert solvent, such as toluene, to give the dihydropyridone of the formula XXXVIII, and finally treating with an oxidizing agent, such as manganese dioxide, in an inert solvent, such as chlorobenzene, at temperatures between 50 and 250°C, to prepare the pyridones XXXIIIa.

The intermediates of the formula IIa

in which Q_a is hydroxyl, halogen, cyano, or a group -CH₂(CO)R₃₆ or

R_b is hydrogen, C₁-C₄alkyl or halogen;

R_f is trifluoromethyl, difluorochloromethyl, pentafluoroethyl, heptafluoro-n-propyl or trichloromethyl;

 R_a is C_1 - C_3 alkyl, C_1 - C_3 haloalkyl, C_3 - C_4 cycloalkyl, C_1 - C_2 alkoxy- C_1 - C_4 alkyl, C_1 - C_2 -alkylthiomethyl, hydroxyl, halogen, cyano, C_1 - C_3 alkoxy, C_1 - C_3 haloalkoxy, allyloxy, propargyloxy, C_1 - C_3 alkylthio, C_1 - C_3 alkylsulfinyl, C_1 - C_3 alkylsulfonyl or C_1 - C_3 alkylsulfonyloxy, and R_{01} and R_{36} are as defined under group Q_5 of the formula I, except for the compounds 2,6-bistrifluoromethylnicotinic acid, 2,6-bistrifluoromethyl-5-methoxynicotinic acid and 2-hydroxy-6-trifluoromethylnicotinic acid, are novel and therefore likewise form part of the subject matter of the present invention.

Compounds of the formula Ilb

in which Qb is hydroxyl, halogen, cyano or a group -CH2(CO)R99 or

R₉₉ is C₁-C₄alkyl, C₁-C₄haloalkyl, C₃-C₄cycloalkyl or C₁-C₄alkoxy;

 R_t is trifluoromethyl, diffuorochloromethyl, pentafluoroethyl or heptafluoro-n-propyl; and R_c is C_1 - C_3 alkyl, C_1 - C_3 haloalkyl, C_1 - C_2 alkoxymethyl, C_1 - C_2 alkylthiomethyl, hydroxyl, halogen, cyano, C_1 - C_3 alkoxy, C_1 - C_3 haloalkoxy, allyloxy, propargyloxy, C_1 - C_3 alkylthio, C_1 - C_3 -alkylsulfonyl or C_1 - C_3 alkylsulfonyloxy and R_{01} is as defined under formula I are novel and therefore likewise form part of the subject matter of the present invention.

Preferred compounds of the formula IIa correspond to the formula Ia

in which Q_a is hydroxyl, halogen, cyano or a group $-CH_2(CO)R_{36}$ or

 R_{01} and R_{36} are as defined in claim 1 and R_a is $C_1\text{-}C_3$ alkyl.

The compounds of the formula I or compositions comprising them can be used according to the invention in all the application methods customary in agriculture, for example pre-emergence application, postemergence application and seed dressing, and various methods and techniques, for example controlled release of active compounds. To this end, the active compound is absorbed in solution onto mineral granule carriers or polymerized granules (urea/formaldehyde) and dried. If appropriate, a coating which allows the active compound to be released in metered form over a certain period of time can additionally be applied (coated granules).

The compounds of the formula i can be employed as herbicides in unchanged form, i.e. as they are obtained in the synthesis, but they are preferably processed in a customary manner with the auxiliaries conventionally used in the art of formulation, for example to give emulsifiable concentrates, directly sprayable or dilutable solutions, dilute emulsions, wettable powders, soluble powders, dusts, granules or microcapsules. Such formulations are described, for example, in WO 97/34485 on pages 9 to 13. The methods of application, such as spraying, atomizing, dusting, wetting, scattering or watering, in the same way as the nature of the compositions, are chosen according to the aims striven for and the given circumstances.

The formulations, i.e. the compositions, formulations or preparations comprising the active compound of the formula I or at least one active compound of the formula I and as a rule one or more solid or liquid formulation auxiliaries, are prepared in a known manner, for example by intimate mixing and/or grinding of the active compounds with the formulation auxiliaries, for example solvents or solid carriers. Surface-active compounds (surfactants) can furthermore additionally be used during the preparation of the formulations. Examples of solvents and solid carriers are given, for example, in WO 97/34485 on page 6.

Depending on the nature of the active compound of the formula I to be formulated, suitable surface-active compounds are nonionic, cationic and/or anionic surfactants and surfactant mixtures having good emulsifying, dispersing and wetting properties.

Examples of suitable anionic, nonionic and cationic surfactants are listed, for example, in WO 97/34485 on pages 7 and 8.

The surfactants conventionally used in the art of formulation and which are suitable to prepare the herbicidal compositions according to the invention are described, inter alia, in "Mc Cutcheon's Detergents and Emulsifiers Annual", MC Publishing Corp., Ridgewood New Jersey, 1981, Stache, H., "Tensid-Taschenbuch" [Surfactant handbook], Carl Hanser Verlag, Munich/Vienna, 1981 and M. and J. Ash, "Encyclopedia of Surfactants", Vol I-III, Chemical Publishing Co., New York, 1980-81.

The herbicidal formulations as a rule comprise 0.1 to 99% by weight, in particular 0.1 to 95% by weight, of herbicide, 1 to 99.9% by weight, in particular 5 to 99.8% by weight, of a solid or liquid formulation auxiliary and 0 to 25% by weight, in particular 0.1 to 25% by weight, of a surfactant. While concentrated compositions are rather preferred as commercial goods, the end user as a rule uses dilute compositions. The compositions can also comprise further additives, such as stabilizers, for example epoxidized or non-epoxidized vegetable oils (epoxidized coconut oil, rapeseed oil or soya oil), defoamers, for example silicone oil, preservatives, viscosity regulators, binders, tackifiers and fertilizers or other active compounds.

The active compounds of the formula I are as a rule applied to the plants or their habitat, at application rates of 0.001 to 4 kg/ha, in particular 0.005 to 2 kg/ha. The dosage required for the desired effect can be determined by tests. It depends on the nature of the effect, the development stage of the crop plant and the weed and on the application (location, time, process) and can, as a function of these parameters, vary within wide ranges.

The compounds of the formula I have herbicidal and growth-inhibiting properties, owing to which they can be used in crops of useful plants, in particular in cereals, cotton, soya, sugar beet, sugar cane, plantings, rapeseed, maize and rice, and for the non-selective control of weeds. Crops include those which have been rendered tolerant towards herbicides or herbicide classes by conventional breeding methods or genetical engineering methods. The weeds to be controlled can be both monocotyledonous and dicotyledonous weeds, for example Stellaria, Nasturtium, Agrostis, Digitaria, Avena, Setaria, Sinapis, Lolium, Solanum, Echinochloa, Scirpus, Monochoria, Sagittaria, Bromus, Alopecurus, Sorghum halepense, Rottboellia, Cyperus, Abutilon, Sida, Xanthium, Amaranthus, Chenopodium, Ipomoea, Chrysanthemum, Galium, Viola and Veronica.

- 55 -

The examples below illustrate the invention in more detail, without limiting it.

Preparation Examples:

Example H1: Preparation of 2-difluoromethoxy-6-trifluoromethylnicotinic acid:

At 70°C, 25 g (0.106 mol) of (3-(ethoxycarbonyl)-6-trifluoromethyl)pyrid-2-one (Helv. Chim. Acta (1988), 71(3), 596-601) in a mixture of 50 ml of dimethylformamide and 20 ml of water are treated, in the presence of 16 g (0.116 mol) of finely powdered potassium carbonate and with efficient stirring, with a continuous stream of gaseous Freon-22. After 6 hours, a further 16 g of potassium carbonate and 20 ml of dimethyl sulfoxide are added, and the mixture is stirred with continuous introduction of Freon-22 gas at a temperature of 100°C for another 4 hours. The mixture is then treated with water and ice and extracted with diethyl ether. The aqueous phase is adjusted to pH 2 using conc. HCl and extracted with ethyl acetate. Diethyl ether is added to the extract, and some (3-(carboxy)-6-trifluoromethyl)pyrid-2-one crystals which have precipitated out are removed by filtration. The filtrate is filtered through a silica gel column (mobile phase ethyl acetate/hexane 1:1) giving, as a crystalline product, pure 2-difluoromethoxy-6-trifluoromethylnicotinic acid: ¹H NMR (CDCl₃, ppm): 8.60, d, J=9 Hz, 1H; 7.62, d, J=9 Hz, 1H; 7.62, f, J=67 Hz, 1H.

Example H2: Preparation of 4-methyl-6-trifluoromethylnicotinic acid:

In the presence of 5.8 ml of phenyl dichlorophosphate, 7.5 g (0.03 mol) of ((3-ethoxycarbonyl)-4-methyl-6-trifluoromethyl)pyrid-2-one (Helv. Chim. Acta (1988), 71 (3), 596-601) are heated in a pressure vessel at a temperature of 170°C for 3 hours. The cold reaction solution is filtered directly through a short silica gel column (mobile phase: ethyl acetate/hexane 1:9), giving, as an oily product, ethyl 2-chloro-4-methyl-6-trifluoromethyl-pyridin-3-ylcarboxylate:

¹H NMR (CDCl₃, ppm): 7.49, s, 1H; 4.48, q, 2H; 2.43, s, 3H, 1.43, t, 3H.

3.0 g (16.8 mmol) of the above product and, in 2 portions, a total of 5 g of ammonium formate are added to a suspension of 0.55 g of 10% Pd/C in 20 ml of methanol, and the mixture is stirred at room temperature for 24 hours. The reaction mixture is then filtered through Celite and, after addition of sodium chloride solution, extracted with ethyl acetate. Chromatographic purification (mobile phase 1:9) gives the 4-methyl-6-trifluoromethylpyridin-3-yl ethyl ester as an oil: ¹H NMR (CDCl₃, ppm): 9.11, s, 1H; 7.56, s, 1H, 4.44, q, 2H; 2.72,

s, 3H, 1.42, t, 3H. This is hydrolysed at 40°C in the presence of aqueous potassium hydroxide solution in dioxane. Extraction with ethyl acetate gives, after acidification to pH 2.7, 4-methyl-6-trifluoromethylnicotinic acid as a crystalline product: ¹H NMR (CDCl₃, ppm): 7.49, s, 1H; 4.48, q, 2H; 2.43, s, 3H, 1.43, t, 3H; 9.32, s, 1H, 7.62, s, 1H, 2.79, s, 3H.

Example H3: Preparation of 6-chloro-4-trifluoromethylnicotinic acid:

9.6 g (0.047 moi) of methyl 4-trifluoromethylpyridin-3-vicarboxylate, dissolved in 50 ml of dichloromethane, are treated with 30% hydrogen peroxide/urea adduct and 17 ml of trifluoroacetic anhydride. The reaction solution is stirred at temperature of 20°C for 20 hours and then washed once each with dilute sodium hydroxide solution and half-saturated sodium chloride solution. The product obtained is 3-methoxycarbonyl-4-trifluoromethyl-3pyridine N-oxide; 1H NMR (CDCl₃, ppm): 8.55, s, 1H; 8.31, d, 1H; 7.6, d, 1H; 3.98, s, 3H. 4.85 g (0.022 mol) of the above product are then added to a mixture of 5 ml of phosphorus oxychloride and 4.3 ml of ethyldiisopropylamine in 15 ml of 1,2-dichloroethane, and the mixture is heated to a temperature of 60°C. After about 2 hours, another 2 ml of phosphorus oxychloride and 2.8 ml of ethyldiisopropylamine are added, and the mixture is stirred at this temperature for 20 hours. The reaction mixture is subsequently added to icewater, adjusted to pH 3 using 30% NaOH and then extracted with dichloromethane. Filtration through a little silica gel gives an approximately 5:1 product mixture of the two 6chloro- and 2-chloro-4-trifluoromethylpyridin-3-yl methyl esters, which can be separated by HPLC into the pure components. Thus, pure methyl 6-chloro-4-trifluoromethylpyridin-3ylcarboxylate is obtained as the main product; ¹H NMR (CDCl₃, ppm): 8.91, s, 1H; 7.68, s, 1H; 3.98, s, 3H, and pure methyl 2-chloro-4-trifluoromethylpyridin-3-ylcarboxytate is obtained as the byproduct; ¹H NMR (CDCl₃, ppm): 8.64, d, 1H; 7.52, d, 1H; 4.01, s, 3H. In the presence of 0.073 g of potassium hydroxide, 0.22 g of pure methyl 6-chloro-4trifluoromethylpyridin-3-ylcarboxylate are hydrolysed at room temperature in a 1:1 mixture of 6 ml of dioxane/water. Recrystallization gives the pure 6-chloro-4-trifluoromethylnicotinic acid: m.p. 115-117°C; ¹H NMR (CDCl₃, ppm); 9.12, s. 1H; 7.24, s. 1H.

Example H4: Preparation of 6-methylthio-4-trifluoromethylnicotinic acid:

In boiling acetone, 0.70 g (2.9 mol) of methyl 6-chloro-4-trifluoromethylpyridin-3-ylcarboxylate is treated in the presence of a catalytic amount of 18-crown-6 with

methanethiolate (0.33 g) until no further conversion can be detected by gas chromatographic analysis. The mixture is then filtered through silica gel and evaporated. This gives 0.73 g of methyl 6-methylthio-4-trifluoromethylpyridin-3-ylcarboxylate; ¹H NMR (CDCl₃, ppm): 8.98, s, 1H; 7.48, s, 1H; 3.94, s, 3H; 2.64, s, 3H. Hydrolysis under the conditions mentioned above gives 6-methylthio-4-trifluoromethylnicotinic acid: ¹H NMR (CDCl₃, ppm): 9.02, s, 1H; 7.46, s, 1H; 2.64, s, 3H.

Example H5: 6-Hydroxy-2-trifluoromethylpyridin-3-yl ethyl ester:

Under an atmosphere of nitrogen and with stirring, 33.4 g of 3,4-dihydro-5-ethoxycarbonyl-6-trifluoromethylpyridin-2-one (Org. Res.& Devel. 1,370 (1997)) and 34 g of manganese dioxide in 250 ml of 1,2-dichlorobenzene are heated under reflux for 24 hours. In intervals of about 20 hours, manganese dioxide (total amount of MnO₂ used: 213 g) is added six more times over a period of 3 days, and the mixture is in each case heated further under reflux. The mixture is then cooled, diluted with ethyl acetate, and filtered through silica gel, the filtercake is washed with ethyl ester and the filtrate is concentrated. The solid residue (26.7 g, i.e. 80%), which may still contain about 6% of starting material, is directly reacted further. For complete purification, it is possible to purify, for example, over silica gel (hexane/ethyl acetate 7:3) (¹H NMR, CDCl₃, ppm): 8.02 (d, 1H); 6.85 (d, 1H); 4.86 (q, 2H); 1.37 (t, 1H).

Example H6: Preparation of ethyl 6-chloro-2-trifluoromethylpyridin-3-ylcarboxylate:

In a bomb tube, 23.5 g of ethyl 6-hydroxy-2-trifluoromethylpyridin-3-ylcarboxylate and 23.5 ml of phenyl dichlorophosphate are heated at 170°C for 3 hours, and the mixture is, after cooling, added to ice-water, stirred for a few minutes and subsequently taken up in ethyl acetate and made slightly alkaline using sodium bicarbonate and then washed neutral with water. The extracts are admixed with a little hexane and filtered through silica gel. The filtrate is evaporated, leaving 21.6 g (85%) of the title compound in the form of a dark oil with n_D³⁰ 1.4679. ¹H NMR (CDCl₃, ppm): 8.09 (d,1H); 7.60 (d,1H); 4.43 (q, 2H); 1.43 (t,3H).

Example H7: Preparation of 6-chloro-2-trifluoromethylpyridin-3-ylcarboxylic acid:

2.5 g of the ethyl 6-chloro-2-trifluoromethylpyridin-3-ytcarboxylate obtained above are dissolved in the smallest possible amount of tetrahydrofuran, treated with approximately 20 g of ice and 11 ml of 1N lithium hydroxide and stirred at room temperature until hydrolysed completely. The mixture is then washed with a little ether and the aqueous phase is acidified using 4N hydrochloric acid and extracted with ethyl acetate. The extracts are washed with sodium chloride solution, dried and evaporated. This gives 1.8 g of the title compound of m.p. 154-156°C. The other free carboxylic acids are likewise obtained from their esters in this manner.

Example H8: Preparation of ethyl 6-methylthio-2-trifluoromethylpyridin-3-ylcarboxylate:

Under an atmosphere of nitrogen and with stirring, a solution of 1.7 g of 6-chloro-2-trifluoromethylpyridin-3-yl ethyl ester in 60 ml of dimethylformamide is treated a little at a time with 0.52 g of sodium methanethiolate and stirred at room temperature until the reaction has gone to completion. The reaction mixture is then poured into ice-water, made neutral by addition of a little dilute hydrochloric acid and extracted with ethyl acetate. The extracts are diluted with a little hexane, washed with water, dried over sodium sulfate, filtered and, after filtration through a little silica gel, evaporated. This gives 1.4 g (79%) of the title compound in the form of an oil with n_p^{25} 1.5100, ¹H NMR (CDCl₃, ppm): 7.90 (d, 1H); 7.40 (d, 1H); 1.40 (q, 2H); 2.60 (s, 3H); 1.49 (t, 3H).

Example H9: Preparation of ethyl 6-ethylthio-2-trifluoromethylpyridin-3-vicarboxylate:

In an apparatus previously flushed with nitrogen, a solution of 1.8 ml of ethanethiol in 40 ml of dimethylformamide, which had been cooled to 0°C, is treated a little at a time with 0.96 g of sodium hydride oil dispersion (60%), and the mixture is stirred at room temperature. After evolution of hydrogen has ceased, the mixture is cooled to -20°C, and a solution of 5.07 g of 6-chloro-2-trifluoromethylpyridin-3-yl ethyl ester in 10 ml of dimethylformamide is added dropwise at this temperature, and the mixture is stirred slowly until room temperature has been reached. After the reaction has ended (approximately 3 hours), the reaction mixture is added to ice-water and extracted with ethyl acetate. The extracts are washed with water, dried, filtered, evaporated and dried under high vacuum. This gives 5.0 g (89%) of the title

compound as a brownish oil. ¹H NMR (CDCl₃, ppm): 7.90 (d, 1H); 7.35 (d, 1H); 4.40 (q, 2H); 3.25 (q, 2H); 1.38 (2t, 6H).

Example H10: Preparation of ethyl 6-ethylsulfinyl-2-trifluoromethylpyridin-3-ylcarboxylate:

Under an atmosphere of nitrogen and with stirring and cooling, a solution of 2.5 g of m-chloroperbenzoic acid in 40 ml of methylene chloride is added dropwise at a temperature of -20°C to a solution of 2.8 g of ethyl 6-ethylthio-2-trifluoromethylpyridin-3-ylcarboxylate, which had been charged initially, and the mixture is stirred at a temperature of +5°C for 20 hours. The mixture is then evaporated gently and purified over silica gel (hexane/ethyl acetate 7:3). This gives 2.48 g (84%) of 6-ethylsulfinyl-2-trifluoromethylpyridin-3-yl-ethyl ester. ¹H NMR (CDCl₃, ppm): 8.38 (d, 1H); 8.30 (d, 1H); 4.45 (q, 2H); 3.26 – 3.00 (m, 2H); 1.43 (t, 3H); 1.26 (t, 3H).

Ethyl 6-methylsulfinyl-2-trifluoromethylpyridin-3-ylcarboxylate is obtained in an analogous manner.

Example H11: Preparation of ethyl 6-methylsulfonyl-2-trifluoromethylpyridin-3-ylcarboxylate:

Under an atmosphere of nitrogen and with stirring and cooling, 21 g of m-chloroperbenzoic acid are introduced a little at a time over a period of 30 minutes at a temperature of –20°C into a solution of 3.6 g of 6-methylthio-2-trifluoromethylpyridin-3-yl ethyl ester, which had been charged initially, and the reaction mixture is stirred at room temperature for 5 hours. The mixture is then evaporated and filtered through silica gel (ethyl acetate/methanol/triethylamine 85:10:5). This gives 3.95 g (97%) of ethyl 6-methylsulfonyl-2-trifluoromethylpyridin-3-ylcarboxylate as a brownish solid with m.p. 70-72°C. ¹H NMR (CDCl₃, ppm): 8.40 (1H,d); 8.33 (1H,d); 4.47 (2H,q); 1.43 (3H,t).

Example H12: Preparation of ethyl 6-cyano-2-trifluoromethylpyridin-3-ylcarboxylate:

Under an atmosphere of nitrogen and with stirring, a solution of 0.596 g of ethyl 6-methylsulfonyl-2-trifluoromethylpyridin-3-ylcarboxylate in 5 ml of dimethylformamide is treated with 160 mg of solid potassium cyanide and a spatula tipful of 18-crown-6, and the mixture is heated at 80°C for 3 hours. The mixture is cooled overnight, and the next day

another 30 mg of potassium cyanide are added and the mixture is heated further until the starting material has disappeared (approximately 2 hours). The mixture is then cooled, added to ice-water and extracted with ethyl acetate. The extracts are washed with water, dried, evaporated and freed from traces of dimethylformamide under high vacuum at approximately 40°C. This gives 480 mg (yield virtually quantitative) of ethyl 6-cyano-2-trifluoromethylpyridin-3-ylcarboxylate in the form of an oil which slowly solidifies. ¹H NMR (CDCl₃, ppm): 8.29 (1H,d); 7.97 (1H,d); 4.48 (2H, d); 1.43 (3H,t).

Example H13: Preparation of ethyl 6-methyl-2-trifluoromethylpyridin-3-ylcarboxylate:

Under an atmosphere of nitrogen and with stirring, a solution of 3.6 g of 6-chloro-2-trifluoromethylpyridin-3-yl ethyl ester in 20 ml of dimethylacetamide is treated with 4.5 ml of tetramethyltin and 200 mg of dichloro(bistriphenylphosphine)palladium, and the mixture is heated to a temperature of 80-90°C for 24 hours. Then another 1.5 ml of tetramethyltin and 30 mg of dichloro(bistriphenylphosphine)palladium are added and the mixture is heated for another 6 hours. The reaction mixture is then freed from excess tetramethyltin using reduced pressure (destruction by passing through ethanolic sodium hydroxide solution), cooled and added to ice-water. The mixture is extracted with diethyl ether and the extract is washed with water, dried over sodium sulfate, filtered through a little silica gel, evaporated and dried under reduced pressure. This gives the title compound (2.4 g, 73%), which still contains traces of dimethylacetamide, in the form of a dark oil.

¹H NMR (CDCl₃, ppm): 8.00 (1H,d); 7.42 (1H,d); 4.42 (2H, d); 2.68 (3H, s); 1.41 (3H,t). Hydrofysis analogously to the description already mentioned above affords 6-methyl-2-trifluoromethylpyridin-3-ylcarboxylic acid (brown resin) which is directly converted further into the carbonyl chloride.

Example H14: Preparation of 6-methyl-2-trifluoromethylpyridin-3-vicarbonvl chloride:

A solution of 0.45 g of 6-methyl-2-trifluoromethylpyridin-3-ylcarboxylic acid in 20 ml of dichloromethane is charged initially, 3 drops of dimethylformamide are added and the mixture is subsequently treated with 1.6 ml of oxalyl chloride. After the intensive evolution of gas has ceased, the mixture is kept at a bath temperature of 40°C for another 1.5 hours and then evaporated. The crude product (0.56 g) that remains as residue can be directly reacted further. ¹H NMR (CDCl₃, ppm): 8.20 (1H,d); 7.51 (1H,d); 2.65 (3H, s).

Example H15: Preparation of 4-oxobicyclo[3.2.1]oct-2-en-2-yi 6-methyl-2-trifluoromethyl-nicotinate:

Under an atmosphere of nitrogen and with stirring and cooling, a solution of 0.56 g of 6-methyl-2-trifluoromethylpyridin-3-yicarbonyl chloride in 10 ml of methylene chloride is added dropwise at 0°C to a solution of 0.4 g of bicyclo[3.2.1]octane-2,4-dione and 0.72 g of triethylamine in 10 ml of methylene chloride, and the mixture is stirred for 5 hours until room temperature has been reached. The mixture is then diluted with methylene chloride, washed with cold 1N hydrochloric acid, dried and evaporated to give the desired enol ester (0.8 g) as a brown resin which is directly reacted further. ¹H NMR (CDCl₃, ppm): 8.17 (1H,d); 7.51 (1H, d); 5.96 (1H, s); 3.04 (2H, m); 2.75 (3H, s); 2.32-1.30 (m).

Example H16: Preparation of 4-hydroxy-3-(6-methyl-2-trifluoromethylpyridin-3-carbonyl)-bicyclo[3.2.1]oct-3-en-2-one:

Under an atmosphere of nitrogen and with stirring, 0.8 g of the above enol ester is dissolved in 30 ml of acetonitrile at 25 °C, and the mixture is treated with 0.5 ml of triethylamine and 0.4 ml of acetone cyanohydrin and stirred at room temperature for 20 hours. The mixture is then diluted with solvent and washed with dilute hydrochloric acid, dried and evaporated, and the residue is purified through a little silica gel (ethyl acetate/methanol/triethylamine 85:10:5). This gives 371 mg (46%) of the title compound (triethylamine salt) in the form of a yellowish resin. ¹H NMR (CDCl₃, ppm): 7.45 (1H, d); 7.25 (1H, d); 3.80-3.43 (4H, m); 3.18 (6H, m); 2.80 (2H, s(br)); 2.62 (3H, s); 2.20-1.54 (m).

Example H17: Preparation of ethyl 6-methoxy-2-trifluoromethylpyridin-3-ylcarboxylate:

A suspension of 5.65 g of ethyl 6-hydroxy-2-trifluoromethylpyridin-3-ylcarboxylate, 6.0 g of potassium carbonate and 2.7 ml of methyl iodide is, together with a spatula tipful of 18-crown-6, heated to a temperature of 60-70°C until the reaction has gone to completion. The mixture is then filtered, the filtration residue is washed with acetonitrile and the filtrate is concentrated under reduced pressure. The residue is cooled, admixed with ice-water, neutralized with dilute sulfuric acid and extracted with ethyl acetate. The extracts are washed with water, dried, diluted with a little hexane and filtered through a little silica gel.

The resulting residue is the title compound (3.7 g, 65%) in the form of slightly orange crystals of m.p. 150-152°C.

¹H NMR (CDCl₃, ppm): 8.00 (1H, d); 6.83 (1H, d); 4.38 (2H, q); 4.01 (3H, s);1.39 (3H, t).

Example H18: Preparation of 4-hydroxy-3-(2-methyl-6-trifluoromethylpyridin-3-carbonyl)-bicyclo[3,2,1]oct-3-en-2-one:

6.68 g (0.0305 mol) of methyl 2-methyl-6-trifluoromethylnicotinate (prepared as described in Heterocycles, 46, 129 (1997)) are dissolved in 250 ml of methanol/water (3:1 mixture), and 1.92 g (0.046 mol) of lithium hydroxide hydrate are added a little at a time at 22°C. After 4 hours at 22°C, the reaction mixture is poured into ethyl acetate and 2 N hydrochloric acid. the organic phase is washed three times with water, dried with sodium sulfate and evaporated and the residue is triturated with a little hexane. Filtration gives 5.69 g (90% of theory) of the expected 2-methyl-6-trifluoromethylnicotinic acid of m.p. 147-149°C. The 2-methyl-6-trifluoromethylnicotinic acid obtained (2.0 g, 0.0098 mol) is dissolved in 20 ml of oxalyl chioride. Three drops of dimethylformamide are added, and the mixture is heated under reflux for 1 hour. The mixture is then concentrated using a rotary evaporator, and the residue (2-methyl-6-trifluoromethylnicotinoyl chloride) is taken up in 30 ml of methylene chloride. At 0°C, 2.7 ml (0.0196 mol) of triethylamine and 0.12 g (0.00098 mol) of dimethylaminopyridine are added. 1.49 g (0.0108 mol) of bicyclo[3.2.1]octane-2,4-dione, dissolved in 20 ml of methylene chloride, are then added dropwise. After 3 hours at 22°C, the reaction mixture is extracted with 2 N hydrochloric acid. The methylene chloride phase is separated off, washed with water and subsequently extracted with 10% aqueous sodium bicarbonate solution, dried over sodium sulfate and evaporated. This gives 3.18 g (100% of theory) of 4-oxobicyclo[3.2.1]oct-2-en-2-yl 2-methyl-6-trifluoromethylnicotinate as an oil, which can be processed further without purification.

3.02 g (0.0093 mol) of 4-oxobicyclo[3.2.1]oct-2-en-2-yl 2-methyl-6-trifluoromethylnicotinate and 1.9 ml (0.0136 mol) of triethylamine are dissolved in 45 ml of acetonitrile. At 22°C, 0.01 ml of acetone cyanohydrin are added. After 18 hours at 22°C, the reaction mixture is poured into dilute hydrochloric acid and extracted with ethyl acetate. The ethyl acetate phase is washed with water and then with brine, dried over sodium sulfate and evaporated, and the residue is dissolved in a little warm acetone. The product crystallizes on standing. Filtration gives 0.99 g (33% of theory) of the expected 4-hydroxy-3-(2-methyl-6-

trifluoromethylpyridine-3-carbonyl)bicyclo[3.2.1]oct-3-en-2-one as white crystals (m.p. 75-77°C).

Example H19: Preparation of 3-(2-methyl-6-trifluoromethylpyridine-3-carbonyl)-4-oxobicyclo[3.2.1]oct-2-en-2-yl benzoate:

At 0°C, a solution of 0.562 g (0.0004 mol) of benzoyl chloride in 1 ml of tetrahydrofuran is added to a solution of 1.14 g (0.0035 mol) of 4-hydroxy-3-(2-methyl-6-trifluoromethylpyridine-3-carbonyl)bicyclo[3.2.1]oct-3-en-2-one and 0.517 g (0.004 mol) of ethyldiisopropylamine in 15 ml of tetrahydrofuran. The reaction mixture is stirred at 25°C for 2 hours, evaporated and purified over silica gel (hexane/ethyl acetate 1:1). This gives 0.9 g (60%) of the title compound in the form of a yellowish resin. ¹H NMR (CDCl₃, ppm): 7.91-7.87, m, 3H; 7.64, t, J=7.5 Hz, 1H; 7.50-7.40, m, 3H; 3.24, br t, J=4 Hz, 1H; 3.14, br t, J=4 Hz, 1H; 2.70, s, 3H; 2.47, d, J=13.5 Hz, 1H; 2.40, 2.15, m, 3H; 1.95-1.8, m, 2H.

Example H20: Preparation of 4-hydroxy-3-(2-methyl-1-oxy-6-trifluoromethylpyridine-3-carbonyl)bicyclo[3.2.1]oct-3-en-2-one:

16.25 g (0.05 mol) of 4-hydroxy-3-(2-methyl-6-trifluoromethylpyridine-3-carbonyl)-bicyclo[3.2.1]oct-3-en-2-one and 9.4 g (0.1 mol) of urea/hydrogen peroxide complex are dissolved in 150 ml of methylene chloride, and 20.5 ml (0.15 mol) of trifluoroacetic anhydride are added dropwise at 25°C. After 14 hours at 25°C, the reaction mixture is added to ethyl acetate and water, and the organic phase is washed twice with water, dried with sodium sulfate and evaporated. The residue is chromatographed over silica gel (mobile phase: ethyl acetate/methanol 9/1). This gives 6.8 g (40%) of the desired product as white crystals (m.p. 109-110°C).

Example H21: Preparation of 4-chloro-3-(2-methyl-6-trifluoromethylpyridine-3-carbonyl)-bicyclo[3.2.1]oct-3-en-2-one:

20.15 g (0.062 mol) of 4-hydroxy-3-(2-methyl-6-trifluoromethylpyridine-3-carbonyl)-bicyclo[3.2.1]oct-3-en-2-one are suspended in 50 ml of oxalyl chloride, and 0.1 ml of dimethylformamide are added dropwise. After the intensive evolution of gas has ceased, the mixture is kept at a bath temperature of 45°C for another 1.5 hours and then

evaporated, and the residue is suspended in a little ethyl acetate and admixed with stirring at 0°C with hexane. Filtration gives 19.19 g (90% of theory) of 4-chloro-3-(2-methyl-6-trifluoromethyl-pyridine-3-carbonyl)bicyclo[3.2.1]oct-3-en-2-one of m.p. 137-138°C.

Example H22: Preparation of 4-amino-3-(2-methyl-6-trifluoromethylpyridine-3-carbonyl)-bicyclo[3.2.1]oct-3-en-2-one:

1.0 g (0.0029 mol) of 4-chloro-3-(2-methyl-6-trifiuoromethylpyridine-3-carbonyl)-bicyclo[3.2.1]oct-3-en-2-one are dissolved in 10 ml of tetrahydrofuran and, at 25°C, treated with 2.0 ml of aqueous ammonia (30%). After 0.5 hours at 25°C, the reaction mixture is added to ethyl acetate and water, the organic phase is washed twice with water, dried with sodium sulfate and evaporated and the residue is triturated with a little ethyl acetate. Filtration gives 0.81 g (86% of theory) of 4-amino-3-(2-methyl-6-trifluoromethylpyridine-3-carbonyl)bicyclo[3.2.1]oct-3-en-2-one in the form of white crystals (m.p. 262-263°C). ¹H NMR (CDCl₃, ppm): 10.62 br s 1H; 8.223 br s 1H; 7.41, d, J= 8.1 Hz, 1H; 7.35, d, J= 8.1 Hz, 1H; 3.03, br t, J= 4.8 Hz, 1H; 2.70, br t, J= 4.8 Hz, 1H; 2.41, s, 3H; 1.97-2.14, m, 3H; 1.77-1.812, m, 1H; 1.47-1.70, m, 2H.

Example H23: Preparation of 4-(4-chlorophenylsulfanyl)-3-(2-methyl-6-trifluoromethyl-pyridine-3-carbonyl)bicyclo[3.2.1]oct-3-en-2-one:

2.0 g (0.0058 mol) of 4-chloro-3-(2-methyl-6-trifluoromethylpyridine-3-carbonyl)-bicyclo[3.2.1]oct-3-en-2-one, 0.07 g of dimethylaminopyridine (0.00058 mol) and 1.61 ml of triethylamine are dissolved in 15 ml of methylene chloride. At 25°C, 0.092 g (0.0064 mol) of 4-chlorothiophenol are added. After 2 hours at 22°C, the reaction mixture is evaporated and purified over silica gel (hexane/ethyl acetate 2:1). Recrystallization (hexane/acetic acid at -25°C) gives pure 4-(4-chlorophenylsulfanyl)-3-(2-methyl-6-trifluoromethylpyridine-3-carbonyl)bicyclo[3.2.1]oct-3-en-2-one: m.p. 130-131°C.

Example H24: Preparation of 4-(4-chiorobenzenesultonyl)-3-(2-methyl-6-trifluoromethyl-pyridine-3-carbonyl)bicyclo[3.2.1]oct-3-en-2-one:

0.6 g (0.00133 mol) of the 4-(4-chlorophenylsulfanyl)-3-(2-methyl-6-trifluoromethylpyridine-3-carbonyl)bicycio[3.2.1]oct-3-en-2-one obtained above is dissolved in methylene chloride,

and 0.9 ml of peracetic acid (39% in acetic acid, 0.0053 mol) are added dropwise at 25°C. After 5 hours at 25°C, the reaction mixture is added to ethyl acetate and water, the organic phase is washed with water, dried with sodium sulfate and evaporated and the residue is triturated with a little hexane. Filtration gives 0.56 g (84% of theory) of 4-(4-chiorobenzenesulfonyl)-3-(2-methyl-6-trifluoromethylpyridine-3-carbonyl)bicyclo[3.2.1]oct-3-en-2-one in the form of white crystals (m.p.166-167°C).

Example H25: Preparation of (5-cyclopropyl-3-methylsulfanylisoxazol-4-yl)-(2-methyl-6-trifluoromethylpyridin-3-yl)methanone and cyclopropyl-[3-methylsulfanyl-5-(2-methyl-6-trifluoromethylpyridin-3-yl)isoxazol-4-yl]methanone:

14.8 g (0.080 mol) of tert-butyl 3-cyclopropyl-3-oxopropionic acid ester are dissolved in 25 ml of MeOH, and 1.93 g (0.080 mol) of magnesium are added. With ice-bath cooling, 7 ml of carbon tetrachloride are added dropwise, and the reaction mixture is stirred at a temperature of 22°C for one hour. After evaporation, the residue is suspended in 100 ml of acetonitrile, and 16.31 g (0.073 mol) of 2-methyl-6-trifluoromethylnicotinoyl chloride (prepared as described in Example H18), dissolved in 50 ml of acetonitrile, are added dropwise at a temperature of 22°C. After 6 hours, the reaction mixture is taken up in ethyl acetate and washed with saturated sodium bicarbonate solution. The ethyl acetate phase is separated off, washed with water, dried over sodium sulfate and evaporated. The residue is dissolved in 160 ml of methylene chloride, and 10 ml of trifluoroacetic acid are added dropwise at a temperature of 22°C. After 18 hours, the reaction mixture is poured into water and extracted with methylene chloride. The methylene chloride phase is washed with water and then with saturated aqueous sodium chloride solution, dried over sodium sulfate and evaporated. This gives 17.3 g (88% of theory) of 1-cyclopropyl-3-(2-methyl-6trifluoromethylpyridin-3-yl)propane-1,3-dione as an oil, which is processed further without purification. The 1-cyclopropyl-3-(2-methyl-6-trifluoromethylpyridin-3-yi)propane-1,3-dione obtained above (15.0 g, 0.055 mol) is dissolved in 150 ml of dimethylformamide, and 50 g of potassium fluoride on an aluminium oxide support (alumina) (0.0055 mol/g, 0.276 mol) are added a little at a time at a temperature of 0°C. After 5 minutes, 6.7 g (0.088 mol) of carbon disulfide are added dropwise. After 2 hours, 23.6 g (0.166 mol) of methyl iodide are added dropwise, and the reaction mixture is warmed to a temperature of 22°C. After a further 2 hours, the alumina is filtered off, the filtrate is added to water and the mixture is extracted with ethyl acetate. The ethyl acetate phase is washed with water and then with

saturated aqueous sodium chloride solution, dried over sodium sulfate and evaporated. The residue is chromatographed over silica gel (mobile phase: ethyl acetate/hexane 15/1). This gives 12.0 g (60% of theory) of 2-(bismethylsulfanylmethylene)-1-cyclopropyl-3-(2-methyl-6-trifluoromethylpyridin-3-yl)-propane-1,3-dione as a solid.

12.0 g (0.033 mol) of the product obtained above are, together with 5.4 g (0.066 mol) of anhydrous sodium acetate, suspended in 120 ml of ethanol. 4.6 g (0.066 mol) of hydroxylamine hydrochloride are added, and the reaction mixture is kept at a temperature of 22°C for 5 hours. Another 2.7 g of anhydrous sodium acetate and 2.3 g of hydroxylamine hydrochloride are then added. After 18 hours, the reaction mixture is diluted with water and extracted with ethyl acetate. The ethyl acetate phase is washed with water and then with saturated aqueous sodium chloride solution, dried over sodium sulfate and evaporated. Trituration with a little ethyl acetate gives 9.0 g (79.5%) of the desired product as a 2:1 isomer mixture in the form of white crystals (m.p. 103-104°C).

Main isomer: ¹H NMR (CDCl₃, ppm) ((5-cyclopropyl-3-methylsulfanylisoxazol-4-yl)-(2-methyl-6-trifluoromethylpyridin-3-yl)methanone) 7.98, d, J=7.8 Hz, 1H; 7.61, d, J=7.8 Hz, 1H; 2.67, s, 3H; 2.50, s, 3H; 2.02-1.93, m, 1H; 1.34-1.28, m, 2H; 1.18-1.09, m, 2H.

¹H NMR (CDCl₃, ppm) (cyclopropyl-[3-methylsulfanyl-5-(2-methyl-6-trifluoromethylpyridin-3-yl)isoxazol-4-yl]methane): 7.95, d, J=7.8 Hz, 1H; 7.69, d, J=7.8 Hz, 1H; 2.67, s, 3H; 2.66, s, 3H; 1.74-1.64, m, 1H; 1.28-1.18, m, 2H; 0.89-0.80, m, 2H.

Example H26: Preparation of (5-cvclopropyl-3-methylsulfinylisoxazol-4-yl)-(2-methyl-6-trifluoromethylpyridin-3-yl)methanone and cyclopropyl-(3-methanesulfinyl-5-(2-methyl-6-trifluoromethylpyridin-3-yl)isoxazol-4-yl]methanone:

1.50 g (0.0043 mol) of the isomer mixture obtained above are dissolved in 30 ml of acetone/water (2:1 mixture), and 1.02 g (0.0048 mol) of sodium metaperiodate are added a little at a time at 22°C. After 5 hours, the reaction mixture is evaporated using a rotary evaporator. The residue is taken up in water and ethyl acetate. The ethyl acetate phase is dried over sodium sulfate and evaporated. The residue is chromatographed over silica gel (mobile phase: ethyl acetate/hexane 3/1). This gives initially 0.8 g (51% of theory) of (5-cyclopropyl-3-methylsulfinylisoxazol-4-yl)-(2-methyl-6-trifluoromethylpyridin-3-yl)methanone as white crystals (m.p. 96-97°C). ¹H NMR (CDCl₃, ppm): 7.86, d, J=7.8 Hz, 1H; 7.59, d,

J=7.8 Hz, 1H; 3.078, s, 3H; 2.66, s, 3H; 1.54-1.49, m, 1H; 1.32-1.25, m, 2H; 1.13-1.072, m, 2H.

The second product that elutes consists of 0.34 g (22% of theory) of cyclopropyl-[3-methanesulfinyl-5-(2-methyl-6-trifluoromethylpyridin-3-yl)isoxazol-4-yl]methanone as white crystals (m.p. 112-113°C). ¹H NMR (CDCl₃, ppm): 7.97, d, J=7.8 Hz, 1H; 7.67, d, J=7.8 Hz, 1H; 3.128, s, 3H; 2.62, s, 3H; 1.69-1.64, m, 1H; 1.26-1.18, m, 2H; 0.90-0.85, m, 2H.

Example H27: Preparation of (5-cyclopropyl-3-methanesulfonylisoxazol-4-yl)-(2-isopropyl-6-trifluoromethylpyridin-3-yl)methanone:

0.15 g (0.0045 mol) of (5-cyclopropyl-3-methylsulfanylisoxazol-4-yl)-(2-isopropyl-6-trifluoromethylpyridin-3-yl)methanone is dissolved in methylene chloride, and 0.28 ml of peracetic acid (39% in acetic acid, 0.0016 mol) are added dropwise at a temperature of 5°C. After 15 hours at 25°C, the reaction mixture is added to ethyl acetate and water, and the organic phase is washed with water, dried with sodium sulfate and evaporated. The residue is chromatographed over silica gel (mobile phase: ethyl acetate/hexane 5/1). This gives 0.121 g (74% of theory) of the expected product as white crystals (m.p.105-106°C).

In an analogous manner, and according to the methods shown in the general reaction schemes 1-10 and in the references mentioned therein, it is also possible to prepare the compounds listed in the tables below. In these tables, CCH is the ethynyl group, Ph is the phenyl group and Me is the methyl group.

Table 1:

Comp.

 R_1

 R_2

Ra

R₄

 R_5

p

No.

Comp.	R ₁	R ₂	R ₃	R₄	R₅	р
No.						
1. 0 01	H	CF₃	Н	Н	ОН	0
1.002	F	CF ₃	Н	н	ОН	0
1.003	CI	CF ₃	Н	Н	ОН	0
1.004	Br	CF ₃	Н	Н	он	0
1.005	CHF ₂	CF₃	Н	Н	OH	0
1.006	CCl₃	CF3	Н	H	ОН	0
1.007	CCIF ₂	CF ₃	Н	Н	OH	0
1,008	CF₃	CF₃	Н	Н	ОН	0
1.009	СНз	CF ₃	Н	Н	ОН	0
1.01	CH₂CH₃	CF₃	Н	Н	ОН	0
1.011	CH(CH ₃) ₂	CF ₃	Н	Н	он	0
1.012	$(CH_2)_2CH_3$	CF₃	Н	Н	ОН	0
1.013	C(CH ₃) ₃	CF3	Н	Н	OH	0
1.014	Ph	CF ₃	Н	Н	ОН	0
1.015	CH₂F	CF ₃	Н	Н	ОН	0
1.016	CH₂Cl	CF ₃	Н	Н	ОН	0
1.017	CH₂Br	CF ₃	Н	Н	ОН	0
1.018	CH₂OH	CF ₃	Н	H	ОН	0
1.019	CH₂OCOCH3	CF3	Н	Н	ОН	0
1.02	CH₂OCOPh	CF ₃	Н	Н	ОН	0
1.021	CH₂OCH₃	CF ₃	Н	Н	ОН	0
1.022	CH₂OCH₂CH₃	CF ₃	Н	H	ОН	0
1.023	CH₂CH₂OCH₃	CF ₃	Н	Н	он	0
1.024	CH₂SMe	CF₃	Н	Н	ОН	0
1.025	CH₂SOMe	CF ₃	Н	Н	ОН	0
1.026	CH₂SO₂Me	CF ₃	Н	Н	ОН	0
1.027	CH₂SO₂Ph	CF ₃	Н	H	он	0
1.028	SCH₂₽ħ	CF ₃	Н	H	ОН	0
1.029	SOCH₂Ph	CF ₃	Н	Н	НО	0
1.03	SO₂CH₂Ph	CF ₃	Н	Н	ОН	0
1.031	SCH₃	CF ₃	Н	H	ОН	0

- 69 -

Comp.	R ₁	R ₂	R₃	Fl ₄	R₅	р
No.						
1.032	SOCH ₃	CF₃	·H	Н	OH	0
1.033	SO₂CH₃	CF ₃	н	Н	ОН	0
1.034	SPh	CF ₃	н	Н	ОН	0
1.035	SOPh	CF₃	Н	Н	ОН	0
1.036	SO₂Ph	CF₃	Н	Н	OH	0
1.037	N(CH ₃) ₂	CF ₃	Н	Н	ОН	0
1.038	CH=CH ₂	CF₃	Н	Н	ОН	0
1.039	CH ₂ CH=CH ₂	CF ₃	н	Н	ОН	0
1.04	SO ₂ N(CH ₃) ₂	CF ₃	Н	Н	OH	0
1.041	ethynyl	CF ₃	Н	Н	ОН	0
1.042	cyclopropyl	CF ₃	Н	Н	ОН	0
1.043	OCH₃	CF ₃	Н	Н	ОН	0
1.044	OPh	CF ₃	Н	Н	ОН	0
1.045	OCHF ₂	CF ₃	Н	Н	ОН	0
1.046	CO₂Me	CF₃	Н	Н	ОН	0
1.047	2-furyl	CF ₃	н	Н	ОН	0
1.048	OCH₂ethynyl	CF₃	Н	Н	ОН	0
1.049	2-pyridyl	CF ₃	H	н	ОН	0
1.05	3-pyridyl	CF ₃	Н	н	ОН	0
1.051	4-pyridy	CF₃	Н	Н	ОН	0
1.052	Н	CF ₃	Н	Н	ОН	1
1.053	F	CF₃	н	H	OH	1
1.054	CI	CF₃	Н	Н	ОН	1
1.055	Br	CF_3	Н	Н	OH	1
1.056	CHF ₂	CF ₃	Н	Н	OH	1
1.057	CCl ₃	CF ₃	Н	Н	OH	1
1.058	CCIF2	CF ₃	Н	Н	OH	1
1.059	CF ₃	CF ₃	Н	Н	ОН	1
1.06	CH₃	CF ₃	Н	Н	ОН	1
1.061	CH₂CH₃	CF ₃	Н	н	ОН	1
1.062	CH(CH ₃) ₂	CF ₃	Н	Н	OH	1

- 70 -

Comp.	R,	R_2	R ₃	₽₄	R₅	р
No.						
1.063	(CH ₂) ₂ CH ₃	CF ₃	Н	Н	ОН	1
1.064	C(CH ₃) ₃	CF₃	Н	Н	ОН	1
1.065	Ph	CF ₃	Н	Н	ОН	1
1.066	CH₂F	CF₃	Н	Н	ОН	1
1.067	CH₂Cl	CF ₃	Н	н	ОН	1
1.068	CH₂Br	CF ₃	Н	Н	ОН	1
1.069	CH₂OH	CF_3	н	Н	ОН	1
1.07	CH₂OCOCH₃	CF ₃	Н	Н	ОН	1
1.071	CH₂OCOPh	CF ₃	Н	Н	ОН	1
1.072	CH₂OCH₃	CF ₃	Н	Н	ОН	1
1.073	CH₂OCH₂CH₃	CF ₃	Н	Н	ОН	1
1.074	CH ₂ CH ₂ OCH ₃	CF ₃	H	Н	ОН	1
1.075	CH₂SMe	CF ₃	Н	Н	ОН	1
1.076	CH₂SOMe	CF ₃	Н	Н	ОН	1
1.077	CH₂SO₂Me	CF ₃	Н	Н	ОН	1
1.078	CH₂SO₂Ph	CF ₃	Н	Н	ОН	1
1.079	SCH₂Pħ	CF ₃	н	Н	ОН	1
1.08	SOCH₂Ph	CF ₃	Н	Н	ОН	1
1.081	SO₂CH₂Ph	CF ₃	Н	Н	ОН	1
1.082	SCH₃	CF ₃	Н	Н	OH	1
1.083	SOCH₃	CF ₃	Н	Н	ОН	1
1.084	SO₂CH₃	CF ₃	Н	Н	ОН	1
1.085	SPh	CF ₃	н	H	ОН	1
1.086	SOPh	CF ₃	н	H	ОН	1
1.087	SO₂Ph	CF ₃	Н	Н	ОН	1
1.088	$N(CH_3)_2$	CF ₃	Н	H	ОН	1
1.089	CH=CH₂	CF ₃	Н	Н	ОН	1
1.09	CH₂CH=CH₂	CF ₃	Н	Ħ	ОН	1
1.091	SO ₂ N(CH ₃) ₂	CF ₃	Н	Н	ОН	1
1.092	ethynyl	CF ₃	Н	H	ОН	1
1.093	cyclopropyl	CF ₃	Н	Н	ОН	1

Comp.	R,	R ₂	R_3	R ₄	₽s	р
No.						
1.094	OCH₃	CF ₃	Н	Н	ОН	1
1.095	OPh	CF ₃	Н	Н	ОН	1
1.096	OCHF₂	CF3	Н	Н	ОН	1
1.097	CO₂Me	CF₃	Н	н	ОН	1
1.098	2-furyl	CF ₃	Н	Н	ОН	1
1.099	OCH₂ CCH	CF₃	Н	Н	ОН	1
1.1	2-pyridyl	CF ₃	Н	H	ОН	1
1.101	3-pyridyl	CF₃	Н	Н	ОН	1
1.102	4-pyridyl	CF ₃	Н	Н	ОН	1
1.103	Н	CF ₂ CF ₃	Н	Н	ОН	0
1.104	CI	CF ₂ CF ₃	Н	Н	ОН	0
1.105	CHF ₂	CF ₂ CF ₃	Н	Н	ОН	0
1.106	CCl ₃	CF ₂ CF ₃	Н	Н	ОН	0
1.107	CCIF ₂	CF ₂ CF ₃	Н	Н	ОН	0
1.108	CF ₃	CF ₂ CF ₃	H	Н	ОН	0
1.109	CH₃	CF ₂ CF ₃	Н	Н	ОН	0
1.11	CH₂CH ₃	CF ₂ CF ₃	Н	Н	ОН	0
1.111	CH(CH ₃) ₂	CF ₂ CF ₃	Н	Н	ОН	0
1.112	(CH₂)₂CH₃	CF ₂ CF ₃	Н	Н	ОН	0
1.113	C(CH ₃) ₃	CF ₂ CF ₃	н	Н	OH	0
1.114	CH₂F	CF ₂ CF ₃	H	Н	ОН	0
1.115	CH₂CI	CF ₂ CF ₃	Н	Н	ОН	0
1.116	CH₂OH	CF ₂ CF ₃	Н	Н	ОН	0
1.117	CH₂OCOCH₃	CF ₂ CF ₃	н	Н	ОН	0
1.118	CH₂OCOPh	CF ₂ CF ₃	н	Н	ОН	0
1.119	CH₂OCH₃	CF ₂ CF ₃	Н	Н	ОН	0
1.12	CH₂OCH₂CH₃	CF ₂ CF ₃	Н	Н	ОН	0
1.121	CH₂SMe	CF ₂ CF ₃	Н	Н	ОН	D
1.122	CH₂SOMe	CF ₂ CF ₃	Н	Н	ОН	0
1.123	CH₂SO₂Me	CF ₂ CF ₃	Н	Н	ОН	0
1.124	CH ₂ SO ₂ Ph	CF ₂ CF ₃	Н	Н	ОН	0
••						

- 72 -

Comp.	R,	R ₂	R ₃	R₄	R ₅	р
No.						
1.125	N(CH ₃) ₂	CF ₂ CF ₃	H	Н	ОН	0
1.126	CH=CH₂	CF₂CF₃	Н	Н	OH	0
1.127	CH₂CH=CH₂	CF₂CF₃	Н	н	ОН	0
1.128	SO ₂ N(CH ₃) ₂	CF ₂ CF ₃	н	н	ОН	0
1.129	CCH	CF₂CF₃	Н	Н	ОН	0
1.13	cyclopropyl	CF ₂ CF ₃	Н	Н	ОН	0
1.131	OPh	CF₂CF₃	Н	Н	ОН	0
1.132	OCH ₃	CF ₂ CF ₃	Н	H	ОН	0
1.133	CO₂Me	CF ₂ CF ₃	Н	н	ОН	0
1.134	OCH₂CCH	CF₂CF ₃	Н	н	ОН	0
1.135	2-pyridyl	CF ₂ CF ₃	Н	н	ОН	0
1.136	3-pyridyl	CF₂CF ₃	Н	Н	ОН	0
1.137	4-pyridyl	CF₂CF₃	Н	н	ОН	0
1.138	н	CF ₂ CF ₃	Н	Н	ОН	1
1.139	Cl	CF₂CF₃	Н	н	ОН	1
1.14	CHF ₂	CF ₂ CF ₃	Н	Н	ОН	1
1.141	CC1 ₃	CF₂CF ₃	Н	Н	ОН	1
1.142	CCIF ₂	CF ₂ CF ₃	Н	Н	ОН	1
1.143	CF₃	CF₂CF₃	Н	Н	ОН	1
1.144	CH ₃	CF ₂ CF ₃	Н	H	ОН	1
1.145	CH₂CH₃	CF ₂ CF ₃	Н	Н	ОН	1
1.146	CH(CH ₃) ₂	CF ₂ CF ₃	Н	Н	ОН	1
1.147	$(CH_2)_2CH_3$	CF ₂ CF ₃	н	H	ОН	1
1.148	C(CH₃)₃	CF ₂ CF ₃	Н	Н	ОН	1
1.149	CH₂F	CF ₂ CF ₃	Н	Н	ОН	1
1.15	CH₂CI	CF₂CF ₃	Н	Н	ОН	1
1.151	CH₂OH	CF ₂ CF ₃	н	Н	ОН	1
1.152	CH₂OCOCH₃	CF ₂ CF ₃	Н	н	ОН	1
1.153	CH₂OCOPh	CF ₂ CF ₃	Н	Н	ОН	1
1.154	CH₂OCH₃	CF ₂ CF ₃	Н	н	ОН	1
1.155	CH₂OCH₂CH₃	CF ₂ CF ₃	Н	H	ОН	1

- 73 -

Comp.	R,	R_2	Ra	Ft ₄	R ₅	р
No.						
1.156	CH₂SMe	CF₂CF₃	Н	Н	ОН	1
1.157	CH₂SOMe	CF₂CF₃	Н	Н	ОН	1
1.158	CH₂SO₂Me	CF ₂ CF ₃	Н	Н	ОН	1
1.159	CH₂SO₂Ph	CF ₂ CF ₃	н	н	ОН	1
1.16	N(CH ₃) ₂	CF ₂ CF ₃	Н	Н	ОН	1
1.161	CH=CH2	CF ₂ CF ₃	Н	н	ОН	1
1.162	CH ₂ CH=CH ₂	CF₂CF₃	Н	Н	OH	1
1.163	SO ₂ N(CH ₃) ₂	CF ₂ CF ₃	Н	Н	ОН	1
1.164	CCH	CF ₂ CF ₃	Н	Н	ОН	1
1.165	cyclopropyi	CF ₂ CF ₃	Н	Н	ОН	1
1.166	OPh	CF ₂ CF ₃	Н	Н	ОН	1
1.167	OCH3	CF ₂ CF ₃	Н	Н	ОН	1
1.168	CO₂Me	CF ₂ CF ₃	Н	Н	ОН	1
1.169	OCH₂CCH	CF ₂ CF ₃	н	Н	ОН	1
1.17	2-pyridyl	CF ₂ CF ₃	н	Н	ОН	1
1.171	3-pyridyl	CF ₂ CF ₃	Н	Н	ОН	1
1.172	4-pyridyl	CF ₂ CF ₃	Н	Н	ОН	1
1.173	Н	CF ₂ CF ₂ CF ₃	Н	Н	OH	0
1.174	CHF ₂	CF ₂ CF ₂ CF ₃	Н	Н	ОН	0
1.175	CF ₃	CF ₂ CF ₂ CF ₃	Н	Н	ОН	0
1.176	CH ₃	CF ₂ CF ₂ CF ₃	Н	н	ОН	0
1.177	CH₂CH₃	CF ₂ CF ₂ CF ₃	Н	Н	ОН	0
1.178	(CH2)2CH3	CF ₂ CF ₂ CF ₃	Н	Н	ОН	0
1.179	CH₂CI	CF ₂ CF ₂ CF ₃	Н	Н	он	0
1.18	CH₂OCH₃	CF ₂ CF ₂ CF ₃	Н	H	OH	0
1.181	Н	CF ₂ CF ₂ CF ₃	Н	Н	он	1
1. 182	CHF ₂	CF ₂ CF ₂ CF ₃	Н	Н	ОН	1
1.183	CF ₃	CF ₂ CF ₂ CF ₃	Н	н	он	1
1.184	CH ₃	CF ₂ CF ₂ CF ₃	Н	Н	ОН	1
1.185	CH₂CH₃	CF ₂ CF ₂ CF ₃	Н	н	OH	1
1.186	$(CH_2)_2CH_3$	CF ₂ CF ₂ CF ₃	Н	Н	ОН	0

Comp.	R,	R ₂	₽³	R ₄	R ₅	p
No.						
1.187	CH₂CI	CF ₂ CF ₂ CF ₃	Н	Н	ОН	1
1.188	CH₂OCH₃	CF ₂ CF ₂ CF ₃	Н	н	ОН	1
1.189	Н	CF ₂ Cl	Н	н	ОН	0
1.19	CI	CF ₂ Cl	Н	н	ОН	0
1.191	CHF ₂	CF ₂ Cl	Н	Н	ОН	0
1.192	CCl ₃	CF ₂ Cl	Н	Н	OH	0
1.193	CCIF ₂	CF₂CI	Н	н	ОН	0
1.194	CF ₃	CF ₂ CI	Н	Н	ОН	0
1.195	СН₃	CF ₂ CI	Н	Н	OH	0
1.196	CH ₂ CH ₃	CF ₂ CI	H	Н	ОН	0
1.197	CH(CH ₃) ₂	CF ₂ Cl	н	Н	ОН	0
1.198	(CH ₂) ₂ CH ₃	CF₂C!	Н	Н	ОН	0
1.199	C(CH ₃) ₃	CF ₂ Cl	Н	Н	ОН	0
1.2	CH₂F	CF ₂ CI	Н	Н	ОН	0
1.201	CH₂CI	CF ₂ CI	Н	Н	OH	0
1.202	CH₂OH	CF₂CI	Н	Н	ОН	0
1.203	CH₂OCOCH₃	CF ₂ Cl	H	Н	ОН	0
1.204	CH₂OCOPh	CF ₂ Cl	Н	Н	ОН	0
1.205	CH₂OCH₃	CF₂Cl	н	Н	ОН	0
1.206	CH₂OCH₂CH₃	CF₂Cl	Н	Н	ОН	0
1.207	CH₂SMe	CF₂CI	Н	Н	OH	0
1.208	CH₂SOMe	CF₂CI	Н	Н	он	0
1.209	CH₂SO₂Me	CF₂CI	Н	Н	OH	0
1.21	CH₂SO₂Ph	CF₂Cl	Н	Н	ОН	٥
1.211	N(CH ₃) ₂	CF ₂ CI	Н	Н	ОН	0
1.212	CH=CH₂	CF ₂ Cl	Н	Н	он	0
1.213	CH₂CH=CH₂	CF ₂ Cl	Н	Н	ОН	0
1.214	SO₂N(CH₃)₂	CF ₂ Cl	Н	Н	ОН	0
1.215	CCH	CF₂Cl	Н	Н	ОН	0
1.216	cyclopropyl	CF₂CI	Н	Н	ОН	0
1.217	OPh	CF₂Cl	Н	Н	ОН	0

- 75 -

Comp.	R ₁	R₂	R₃	R₄	R₅	р
No.						
1.218	OCH ₃	CF ₂ Cl	Н	Н	OH	0
1.219	CO₂Me	CF₂CI	Н	Н	OH	0
1.22	OCH₂CCH	CF₂CI	Н	Н	OH	0
1.221	2-pyridyl	CF ₂ Cl	Н	Н	ОН	0
1.222	3-pyridyl	CF ₂ CI	Н	Н	ОН	0
1.223	4-pyridyl	CF₂CI	Н	Н	OH	0
1.224	Н	CF ₂ CI	Н	Н	ОН	1
1.225	CI	CF₂CI	н	Н	OH	1
1.226	CHF₂	CF ₂ CI	Н	Н	ОН	1
1.227	CCIa	CF₂CI	Н	Н	ОН	1
1.228	CCIF2	CF₂CI	H	Н	ОН	1
1.229	CF ₃	CF₂ÇI	Н	Н	он	1
1.23	CH ₃	CF₂CI	H	Н	ОН	1
1.231	CH₂CH₃	CF₂CI	H	Н	ОН	1
1.232	CH(CH ₃) ₂	CF₂CI	Н	Н	он	1
1.233	$(CH_2)_2CH_3$	CF ₂ CI	Н	Н	ОН	1
1.234	C(CH ₃) ₃	CF₂CI	Н	Н	ОН	1
1.235	CH₂F	CF₂CI	Н	Н	ОН	1
1.236	CH ₂ Cl	CF₂CI	H	H	ОН	1
1.237	CH ₂ OH	CF ₂ Cl	H	Н	ОН	1
1.238	CH₂OCOCH₃	CF ₂ CI	H	Н	ОН	1
1.239	CH₂OCOPh	CF₂CI	Н	Н	ОН	1
1.24	CH₂OCH₃	CF₂CI	Н	Н	ОН	1
1.241	CH₂OCH₂CH₃	CF₂CI	Н	Н	ОН	1
1.242	CH₂SMe	CF ₂ Cl	н	Н	ОН	1
1.243	CH₂SOMe	CF ₂ CI	Н	Н	он	1
1.244	CH₂SO₂Me	CF₂CI	Н	Н	ОН	1
1.245	CH₂SO₂Ph	CF₂C1	Н	Н	ОН	1
1.246	$N(CH_3)_2$	CF₂CI	н	н	ОН	1
1.247	CH=CH ₂	CF₂CI	н	Н	он	1
1.248	CH ₂ CH=CH ₂	CF ₂ CI	Н	Н	ОН	1

- 76 -

Comp.	R ₁	R ₂	R_3	R ₄	R ₅	р
No.						
1.249	SO₂N(CH ₃) ₂	CF ₂ CI	H	Н	ОН	1
1.25	CCH	CF₂CI	Н	Н	ОН	1
1.251	cyclopropyl	CF₂CI	Н	Н	OH	1
1.252	OPh	CF₂CI	Н	Н	ОН	1
1.253	OCH₃	CF ₂ CI	Н	Н	ОН	1
1.254	CO ₂ Me	CF ₂ CI	н	Н	ОН	1
1.255	OCH₂CCH	CF ₂ CI	Н	. Н	ОН	1
1.256	н	CCI ₃	Н	Н	ОН	0
1.257	CI	CCI ₃	Н	Н	ОН	0
1.258	CH ₃	CCl ₃	Н	Н	OH	0
1.259	CH₂CH₃	CCI ₃	н	Н	OH	0
1.26	CH(CH ₃) ₂		Н	Н	ОН	0
1.261	$(CH_2)_2CH_3$	CCl ₃	Н	H	ОН	0
1.262	CH₂F	CCl ³	Н	н	ОН	0
1.263	CH₂CI	CCl ₃	н	Н	ОН	0
1.264	CH₂OH	CCl ₃	H	н	ОН	0
1.265	CH₂OCOCH₃	CCl3	н	н	OH	0
1.266	CH₂OCOPh	CCl ₃	Н	H	OH	0
1.267	CH₂OCH₃	CCl ₃	Н	н	ОН	0
1.268	CH2OCH2CH3	CCl ₃	Н	Н	OH	0
1.269	CH₂SMe	CCl ₃	Н	Н	ОН	0
1.27	CH₂SOMe	CCl ₃	Н	Н	ОН	0
1.271	CH₂SO₂Me	CCI ₃	Н	Н	ОН	0
1.272	CH₂SO₂Ph	CCI ₃	H	Н	ОН	0
1.273	cyclopropyi	CCI ₃	Н	Н	ОН	0
1.274	OPh	CCI ₃	Н	Н	ОН	0
1.275	OCH ₃	CCI ₃	н	Н	ОН	0
1.276	CO₂Me	CCl3	Н	Н	ОН	0
1.277	OCH₂CCH	CCI ₃	Н	Н	ОН	0
1.278	H	CCI ₃	Н	Н	ОН	1
1.279	Cl	CCI ₃	Н	Н	OH	1

- 77 -

Сотр.	R ₁	R₂	R ₃	R₄	R ₅	р
No.						
1.28	CH₃	CCI ₃	Н	н	ОН	1
1.281	CH₂CH₃	CCI ₃	н	н	ОН	1
1.282	CH(CH ₃) ₂	CCI ₃	н	Н	OH	1
1.283	$(CH_2)_2CH_3$	CCl ₃	H	Н	ОН	1
1.284	CH₂F	CCl3	Н	Н	ОН	1
1.285	CH₂CI	CCl ₃	Н	Н	OH	1
1.286	CH₂OH	CCI3	H	Н	ОН	1
1.287	CH₂OCOCH3	CCl3	Н	H	ОН	1
1.288	CH₂OCOPh	CCI3	Н	Н	ОН	1
1.289	CH ₂ OCH ₃	CCI ₃	Н	H	ОН	1
1.29	CH ₂ OCH ₂ CH ₃	CCl ₃	Н	H	ОН	1
1.291	CH₂SMe	CCl ₃	Н	H	ОН	1
1.292	CH₂SOMe	CCI ₃	н	Н	ОН	1
1.293	CH₂SO₂Me	CCl ₃	н	Н	ОН	1
1.294	CH₂SO₂Ph	CCI ₃	н	Н	ОН	1
1.295	cyclopropyl	CCl ₃	Н	Н	ОН	1
1.296	OPh	CCl ₃	н	Н	ОН	1
1.297	OCH₃		Н	Н	ОН	1
1.298	CO₂Me	CCI ₃	Н	Н	ОН	1
1.299	OCH₂CCH	CCI ₃	Н	Н	ОН	1
1.3	CF₃	CHF ₂	Н	Н	ОН	0
1.301	CH₃	CHF ₂	Н	Н	ОН	0
1.302	CH₂OCH₃	CHF ₂	н	H	ОН	0
1.303	CH₂CI	CHF₂	Н	Н	ОН	0
1.304	CH₂F	CHF ₂	Н	н	OH	0
1.305	CF₃	CHF ₂	Н	Н	ОН	1
1.306	CH ₃	CHF2	Н	Н	ОН	1
1.307	CH₂OCH₃	CHF ₂	Н	Н	ОН	1
1.308	CH₂Cl	CHF ₂	Н	Н	ОН	1
1.309	CH₂F	CHF ₂	Н	Н	ОН	1
1.31	CH₃	CF ₃	Н	CH ₃	ОН	0

- 78 -

Comp.	R ₁	R ₂	R_3	R₄	R ₅	Р
No.						
1.311	CH₃	CF₃	Н	CH ₃	ОН	1
1.312	CI	CF₃	Н	CH ₃	ОН	0
1.313	CH₃	CF ₃	CH ₃	Н	ОН	0
1.314	CH₃	CF ₃	Ph	Н	ОН	0
1.315	CH₃	CF ₃	CI	Н	ОН	0
1.316	CH ₃	CF ₃	CO₂CH₂CH₃	Н	ОН	0
1.317	CH ₃	CF ₃	CO₂CH₂Ph	Н	ОН	0
1.318	CH₃	CF ₃	CH ₃	Н	ОН	1
1.319	CH₃	CF ₃	Ph	Н	ОН	1
1.32	CH ₃	CF ₃	Cl	Н	ОН	1
1.321	CH₃	CF ₃	CO ₂ CH ₂ CH ₃	Н	ОН	1
1.322	CH ₃	CF₃	CO ₂ CH ₂ Ph	Н	ОН	1
1.323	OCH₃	CF ₃	CH₃	Н	ОН	0
1.324	CH ₂ OCH ₃	CF ₃	CH₃	Н	ОН	0
1.325	CH₂OCH₃	CF ₃	Ph	Н	ОН	0
1.326	CH₂OCH₃	CF ₃	CI	Н	ОН	0
1.327	CH₂OCH₃	CF ₃	CO ₂ CH ₂ CH ₃	Н	ОН	0
1.328	CH₂OCH₃	CF₃		Н	ОН	0
1.329	CH₂OCH₃	CF₃	CH ₃	Н	ОН	1
1.33	CH₂OCH₃	CF ₃	Ph	H	ОН	1
1.331	CH₂OCH₃	CF ₃	CI	Н	ОН	1
1.332	CH₂OCH₃	CF ₃	CO ₂ CH ₂ CH ₃	Н	ОН	1
1.333	CH₂OCH₃	CF ₃	CO ₂ CH ₂ Ph	Н	ОН	1
1.334	COOCH3	H	Н	Н	ОН	0
1.335	CF ₃	SCH ₃	Н	Н	OH	0
1.336	CH₃	SCH ₃	Н	Н	ОН	0
1.337	CF ₃	SOCH ₃	Н	Н	ОН	0
1.338	CH₃	SOCH ₃	Н	Н	ОН	0
1.339	CF ₃	SO₂CH₃	Н	Н	ОН	0
1.34	CH ₃	SO₂CH₃	H	Н	ОН	0
1.341	CF ₃	SCH₂CH₃	Н	Н	ОН	0

- 79 -

Comp.	R₁	R ₂	R_3	R ₄	R _s	р
No.						
1.342	CH₃	SCH₂CH₃	Н	Н	ОН	0
1.343	CF₃	SOCH₂CH₃	Н	Н	ОН	0
1.344	CH₃	SOCH₂CH₃	Н	Н	ОН	0
1.345	CF₃	SO ₂ CH ₂ CH ₃	Н	Н	ОН	0
1.346	CH₃	SO ₂ CH ₂ CH ₃	Н	Н	ОН	0
1.347	CF ₃	OCH₃	Н	Н	ОН	0
1.348	CH₃	OCH ₃	Н	Н	OH	0
1.349	CF ₃	OCH ₂ CF ₃	Н	Н	он -	0
1.35	CH₃	OCH ₂ CF ₃	Н	H	ОН	0
1.351	CF ₃	OCH₂CCH	Н	Н	ОН	0
1.352	CH ₃	OCH₂CCH	Н	Н	ОН	0
1.353	CF ₃	CN	Н	Н	ОН	0
1.354	CH ₃	CN	Н	Н	ОН	0
1.355	CF ₃	CI	Н	Н	ОН	0
1.356	CF₃	CI	Н	Н	O-NEt ₃ +	0
1.357	CH ₃	CI	Н	Н	OH	0
1.358	Н	CI	Н	Н	ОН	0
1.359	CF ₃	OCH₃	Н	Н	OH	0
1.36	CH ₃	OCH₃	Н	Н	ОН	0
1.361	CF_3	CH ₃	H	Н	ОН	0
1.362	Н	CF ₃	Н	CH ₃	ОН	0
1.363	Н	CF₃	Н	CF ₃	ОН	0
1.364	Н	CF ₃	Н	CH ₂ CH ₃	ОН	0
1.365	H	CF ₃	Н	CF ₃	ОН	0
1.366	H	CF ₃	Н	SCH ₃	ОН	0
1.367	Н	CF ₃	Н	SOCH₃	ОН	0
1.368	Н	CF ₃	Н	SO₂CH₃	ОН	0
1.369	H	CF ₃	Н	Ci	ОН	0
1.37	H	CF ₃	Н	OCH₃	ОН	0
1.371	H	CH₃	Н	CF ₃	ОН	0
1.372	Н	CI	Н	CF ₃	ОН	0

- 80 -

Comp.	R ₁	R_2	A_3	R4	R ₅	р
No.						
1.373	Н	OCH₃	Н	CF₃	он	0
1.374	Н	SCH₃	Н	CF ₃	OH	0
1.375	Н	SOCH ₃	Н	CF ₃	ОН	0
1.376	CH₃	CF ₃	Н	Н	O-K+	0
1.377	CH ₃	CF ₃	Н	н	S(CH ₂) ₇ CH ₃	0
1.378	CH₃	CF ₃	Н	Н	S(CH ₂) ₇ CH ₃	0
1.379	CH ₃	CF ₃	Н	Н	SO(CH ₂) ₇ CH ₃	0
1.38	CH ₃	CF ₃	Н	Н	SO ₂ (CH ₂) ₇ CH ₃	0
1.381	CH ₃	CF ₃	Н	H	SPh	0
1.382	CH₃	CF ₃	Н	H	SOPh	0
1.383	CH₃	CF ₃	Н	Н	SO₂Ph	0
1.384	CH₃	CF ₃	Н	н	NOCH ₃	0
1.385	CH₃	CF ₃	Н	H	NOCH ₂ Ph	0
1.386	CH₃	CF ₃	н	Н	NOCH2CH=CH2	0
1.387	CH₃	CF ₃	Н	н	NOC(CH ₃) ₃	0
1.388	CH₃	CF ₃	Н	H	NOCH₂CH₃	0
1.389	CH ₃	CF ₃	Н	Н	NCH ₂ CH ₂ SH	0
1.39	CH₃	CF ₃	Н	H	NN(CH ₃) ₂	0
1.391	CH ₃	CF ₃	Н	H	NN(CH₃)C(S)NH₂	0
1.392	CH ₃	CF ₃	Н	H	N-morpholino	0
1.393	CH ₃	CF ₃	Н	Н	NHCOCH ₃	0
1.394	CH ₃	CF ₃	Н	H	NHCO(CH₂) ₇ CH₃	0
1.395	CH3	CF ₃	Н	н	NHCOPh	0
1.396	CH₃	CF ₃	Н	Н	NHSO₂CH₃	0
1.397	CH ₃	CF ₃	Н	Н	NH(CO)S(CH ₂) ₇ CH ₃	0
1.398	CH ₃	CF ₃	Н	Н	CI	0
1.399	CH ₃	CF ₃	Н	H	NH₂	0
1.4	CH ₃	CF ₃	Н	Н	OCOC(CH ₃) ₃	0
1.401	CH ₃	CF ₃	Н	Н	OCOCH ₃	0
1.402	CH ₃	CF ₃	Н	Н	OCOPh	0
1.403	CH ₃	CF ₃	н	Н	OCO-cyclopropyl	0

Comp.	. R ₁	R ₂	R₃	R.	R ₅	þ
No.						
1.404	CH ₃	CF ₃	Н	Н	OCOCH₂CH₃	0
1.405	CH ₃	CF ₃	Н	Н	OCOCH=CH2	0
1.406	CH ₃	CF ₃	Н	Н	OCOCH=CHCH3	0
1.407	CH₃	CF ₃	Н	Н	O(CO)SCH ₃	0
1.408	CH ₃	CF ₃	Н	Н	O(CO)S(CH ₂) ₇ CH ₃	0
1.409	CH ₃	CF ₃	Н	Н	O(CO)OCH ₂ CH ₃	0
1.41	CH ₃	CF ₃	Н	Н	O(CO)N(CH ₂ CH ₃) ₂	0
1.411	CH ₃	$(CF_2)_3CF_3$	Н	Н	ОН	0
1.412	CH₃	CF₃	Н	Н	S-(4-Cl-phenyl)	0
1.413	CH ₃	CF ₃	Н	Н	SO-(4-Cl-phenyl)	0
1.414	CH ₃	CF₃	Н	Н	SO₂-(4-CI-phenyl)	0
1.415	ÇH₃	CF₃	Н	Н	S-(4-CF ₃ -phenyl)	0
1.416	CH ₃	CF ₃	Н	Н	SO-(4-CF ₃ -phenyl)	0
1.417	CH₃	CF₃	Н	Н	SO ₂ -(4-CF ₃ -phenyl)	0
1.418	CH ₃	CF ₃	Н	Н	S-(4-NO ₂ -phenyl)	0
1.419	CH ₃	CF ₃	Н	Н	SO-(4-NO ₂ -phenyl)	0
1.42	CH₃	CF ₃	Н	Н	SO ₂ -(4-NO ₂ -phenyl)	0
1.421	CH ₃	CF₃	Н	Н	s	0
1.422	CH₃	CF ₃	Н	Н	s L	0
1.423	CH₃	CF₃	Н	Н	s N	0
1.424	CH₃	CF ₃	Н	Н	S S SOH3	0
1.425	CF₂H	SCH₃	Н	Н	OH	0
1.426	CF ₂ Cl	SCH₃	H	Н	OH	0
1.427	CF₂H	SOCH₃	н	Н	OH	0
1.428	CF₂CI	SOCH ₃	Н	Н	ОН	0
1.429	CF₂H	SO ₂ CH ₃	Н	Н	ОН	0
1.43	CF₂CI	SO₂CH₃	н	H	ОН	0

- 82 -

Comp.	A,	R ₂	R ₃	R₄	R₅	P
No.						
1.431	CF₂H	SCH ₂ CH ₃	H	Н	ОН	0
1.432	CF₂CI	SCH ₂ CH ₃	н	Н	ОН	0
1.433	CF₂H	SOCH₂CH₃	H	Н	ОН	0
1.434	CF₂CI	SOCH₂CH₃	Н	Н	ОН	0
1.435	CF₂H	SO ₂ CH ₂ CH ₃	H	Н	ОН	0
1.436	CF ₂ Cl	SO₂CH₂CH₃	Н	Н	ОН	0
1.437	CF₂H	OCH ₃	Н	Н	OH	0
1.438	CF ₂ Cl	OCH ₃	н	Н	ОН	0
1.439	CF₂H	OCH₂CF₃	Н	Н	ОН	0
1.44	CF ₂ Cl	OCH ₂ CF ₃	Н	Н	ОН	0
1.441	CF₂H	OCH₂CCH	Н	Н	ОН	0
1.442	CF₂CI	OCH₂CCH	Н	Н	ОН	0
1.443	CF₂H	CN	Н	Н	ОН	0
1.444	CF₂CI	CN	Н	Н	ОН	0
1.445	CF₂H	CI	Н	Н	ОН	0
1.446	CF₂CI	CI	Н	Н	ОН	0
1.447	CF₂H	OCH₃	Н	Н	ОН	0
1.448	CF₂CI	OCH₃	Н	Н	OH	0
1.449	CF ₃	CH₂O CH ₃	Н	H	ОН	0
1.45	CF₃	CH₂OCH₃	Н	Н	ОН	1
1.451	CF₂CI	CH₂OCH₃	н	Н	он	0
1.452	CF₂CI	CH₂OCH₃	Н	Н	он	1
1.453	CF₂H	CH₂OCH₃	Н	Н	ОН	0
1.454	CF₂H	CH₂OCH₃	Н	Н	ОН	1
1.455	CN	CF₃	Н	Н	он	0

Table 2:

	N R,	
R_2	R_3	
CE	ш	

			~4 H ₃	
Comp. No.	R _t	R_2	R ₃	R₄
2.001	Н	CF ₃	Н	н
2.002	F	CF ₃	Н	H
2.003	CI	CF ₃	Н	Н
2.004	Br	CF ₃	Н	Н
2.005	CHF ₂	CF ₃	H	Н
2.006	CCI ₃	CF ₃	Н	Н
2.007	CCIF ₂	CF ₃	Н	Н
2.008	CF₃	CF ₃	Н	Н
2.009	CH₃	CF ₃	Н	Н
2.01	CH₂CH₃	CF ₃	Н	Н
2.011	CH(CH₃)₂	CF ₃	Н	Н
2.012	(CH₂)₂CH₃	CF ₃	Н	Н
2.013	Ph	CF ₃	Н	Н
2.014	CH₂F	CF ₃	Н	Н
2.015	CH₂CI	CF ₃	Н	Н
2.016	CH₂Br	CF ₃	Н	Н
2.017	CH₂OH	CF ₃	Н	Н
2.018	CH₂OCOC H ₃	CF ₃	Н	Н
2.019	CH₂OCOPh	CF ₃	Н	H
2.02	CH₂OCH₃	CF ₃	н	Н
2.021	CH₂OCH₂CH₃	CF ₃	Н	Н
2.022	CH₂CH₂OCH₃	CF ₃	Н	Н
2.023	CH₂SMe	CF ₃	Н	Н
2.024	CH₂SOMe	CF ₃	Н	Н
2.025	CH₂SO₂Me	CF ₃	Н	Н
2.026	CH₂SO₂Ph	CF ₃	Н	Н

Comp. No.	R_t	R_2	R_3	R₄
2.027	SCH₂Ph	CF ₃	Н	Н
2.028	SOCH₂Ph	CF ₃	H	Н
2.029	SO₂CH₂Ph	CF ₃	Н	Н
2.03	SCH ₃	CF ₃	H	Н
2.031	SOCH ₃	CF ₃	Н	н
2.032	SO₂CH ₃	CF ₃	Н	Н
2.033	$N(CH_3)_2$	CF ₃	Н	н
2.034	CH=CH ₂	CF ₃	Н	Н
2.035	CH ₂ CH=CH ₂	CF ₃	H	Н
2.036	$SO_2N(CH_3)_2$	CF ₃	Н	н
2.037	CCH	CF ₃	Н	Н
2.038	OCH₃	CF ₃	Н	Н
2.039	OPh	CF ₃	Н	Н
2.04	OCHF₂	CF ₃	Н	Н
2.041	CO₂Me	CF ₃	Н	Н
2.042	OCH₂CCH	CF ₃	н	Н
2.043	OCH₂CF₃	CF ₃	H	H
2.044	H	CF ₃	Н	CI
2.045	CI OCHF ₂	F	Н	CI
2.046	CN	CF ₃	Н	Н
2.047	Н	CHF ₂	Н	H
2.048	CH ₃	CHF₂	Н	Н
2.049	CH₂C H ₃	CHF ₂	Н	Н
2.05	CH₂OCH₃	CHF ₂	H	н
2.051	Н	CF₂CI	Н	Н
2.052	CH ₃	CF₂CI	Н	н
2.053	CH₂CH₃	CF₂CI	Н	Н
2.054	CH₂OCH₃	CF₂Cl	Н	н

<u>Table 3:</u>

Comp. No.	R ₁	R ₂ .	R₃	R ₄
3.001	Н	CF ₃	Н	Н
3.002	F	CF ₃	Н	Н
3.003	CI	CF ₃	Н	Н
3.004	Br	CF ₃	Н	Н
3.005	CHF ₂	CF₃	Н	Н
3.006	CCl ₃	CF ₃	Н	Н
3.007	CCIF ₂	CF ₃	Н	Н
3.008	CF₃	CF ₃	Н	Н
3.009	CH₃	CF ₃	Н	Н
3.01	CH₂CH₃	CF ₃	Н	Н
3.011	CH(CH ₃) ₂	CF ₃	Н	Н
3.012	(CH ₂) ₂ CH ₃	CF ₃	Н	Н
3.013	Ph	CF ₃	Н	Н
3.014	CH₂F	CF ₃	н	Н
3.015	CH₂CI	CF ₃	Н	Н
3. 0 16	CH₂Br	CF ₃	Н	н
3.017	CH₂OH	CF ₃	Н	Н
3.018	CH₂OCOCH₃	CF ₃	Н	H
3.019	CH₂OCOPh	CF ₃	Н	H
3.02	CH₂OCH₃	CF ₃	Н	Н
3.021		CF ₃	Н	Н
3.022	CH₂CH₂OCH₃	CF ₃	Н	Н
3.023	CH₂SMe	CF ₃	н	Н
3.024	CH₂SOMe	CF ₃	Н	Н
3.025	CH₂SO₂Me	CF ₃	Н	Н

Comp. No.	R ₁	R_2	R_3	R4
3.026	CH₂SO₂Ph	CF ₃	Н	Н
3.027	SCH₂Ph	CF ₃	Н	H
3.028	SOCH₂Ph	CF ₃	Н	Н
3.029	SO₂CH₂Ph	CF ₃	Н	Н
3.03	SCH₃	CF ₃	Н	н
3.031	SOCH₃	CF ₃	Н	Н
3.032	SO₂CH₃	CF ₃	Н	Н
3.033	$N(CH_3)_2$	CF ₃	Н	Н
3.034	CH=CH₂	CF ₃	Н	Н
3.035	CH ₂ CH=CH ₂	CF₃	Н	Н
3.036	SO₂N(CH ₃)₂	CF ₃	Н	Н
3.037	CCH	CF ₃	Н	Н
3.038	OCH ₃	CF ₃	Н	Н
3.039	OPh	CF ₃	Н	Н
3.04	OCHF ₂	CF ₃	Н	Н
3.041	CO₂Me	CF ₃	Н	Н
3.042	OCH₂CCH	CF ₃	H	Н
3.043	OCH₂CF ₃	CF₃	Н	Н
3.044	Н	CF₃	Н	Н
3.045	CN	CF ₃	Н	Н
3.046	H	CHF ₂	Н	Н
3.047	CH₃	CHF2	Н	Н
3.048	CH₂CH₃	CHF2	Н	Н
3.049	CH₂OCH₃	CHF ₂	Н	Н
3.05	H	CF ₂ Cl	Н	Н
3.051	CH₃	CF ₂ CI	Н	Н
3.052	CH₂CH₃	CF₂CI	Н	Н
3.053	CH₂OCH₃	CF₂CI	Н	Н
3.054	CI	CH ₃	H	Н
3.055	CN	SCH ₃	Н	Н
3.056	ÇN	SO ₂ CH ₃	Н	Н

Table 4:

Comp.	R ₁	R ₂	R ₃	R ₄	R ₅	P
No.						
4.001	Н	CF ₃	н	Н	ОН	0
4.002	F	CF ₃	Н	Н	ОН	0
4.003	Cl	CF₃	Н	н	ОН	0
4.004	Br	CF ₃	Н	Н	ОН	0
4.005	CHF ₂	CF ₃	Н	Н	ОН	0
4.006	CCl₃	CF ₃	н	Н	ОН	0
4.007	CCIF ₂	CF ₃	H	Н	он	0
4.008	CF₃	CF ₃	H	Н	ОН	0
4.009	CH₃	CF ₃	H	Н	ОН	0
4.01	CH₂CH₃	CF ₃	Н	Н	ОН	0
4.011	CH(CH ₃) ₂	CF ₃	Н	H	он	0
4.012	(CH ₂) ₂ CH ₃	CF_3	Н	н	ОН	0
4.013	C(CH ₃) ₃	CF ₃	Н	Н	ОН	0
4.014	Ph	CF ₃	Н	Н	ОН	٥
4.015	CH₂F	CF ₃	Н	Н	ОН	0
4.016	CH₂CI	CF ₃	Н	Н	ОН	ð
4.017	CH₂Br	CF ₃	Н	Н	ОН	0
4.018	CH₂OH	CF ₃	Н	Н	ОН	0
4.019	CH₂OCOCH₃	CF ₃	Н	Н	он	0
4.02	CH₂OCOPh	CF ₃	Н	Н	ОН	0
4.021	CH₂OCH₃	CF ₃	Н	Н	ОН	0
4.022	CH₂OCH₂CH₃	CF ₃	Н	Н	ОН	0
4.023	CH ₂ CH ₂ OCH ₃	CF ₃	н	Н	ОН	0

- 88 -

Comp.	R _t	R₂	R₃	R ₄	R ₅	Р
No.						
4.024	CH₂SMe	CF ₃	Н	Н	ОН	0
4.025	CH₂SOMe	CF ₃	н	н	ОН	0
4.026	CH₂SO₂Me	CF₃	Н	H	ОН	0
4.027	CH₂SO₂Ph	CF ₃	Н	Н	ОН	0
4.028	N(CH ₃) ₂	CF ₃	Н	Н	ОН	0
4.029	CH=CH ₂	CF ₃	Н	Н	ОН	0
4.03	CH ₂ CH=CH ₂	CF _a	Н	H	ОН	0
4.031	SO ₂ N(CH ₃) ₂	CF ₃	Н	Н	ОН	0
4.032	CCH	CF ₃	Н	Н	ОН	0
4.033	cyclopropyl	CF ₃	Н	Н	OH	0
4.034	OCH ₃	CF₃	Н	Н	ОН	0
4.035	OPh	CF ₃	Н	Н	ОН	0
4.036	OCHF ₂	CF ₃	Н	Н	ОН	0
4.037	CO₂Me	CF ₃	Н	Н	ОН	0
4.038	OCH ₂ CCH	CF ₃	Н	Н	ОН	0
4.039	Н	CF ₃	Н	Н	ОН	1
4.04	F	CF ₃	Н	Н	ОН	1
4.041	CI	CF ₃	Н	Н	ОН	1
4.042	Br	CF ₃	Н	H	ОН	1
4.043	CHF ₂	CF₃	H	Н	ОН	1
4.044	CCI ₃	CF ₃	Н	Н	ОН	1
4.045	CCIF ₂	CF₃	Н	Н	ОН	1
4.046	CF ₃	CF₃	Н	Н	ОН	1
4.047	CH₃	CF₃	Н	Н	OH	1
4.048	CH₂CH₃	CF ₃	Н	H	ОН	1
4.049	$CH(CH_3)_2$	CF ₃	Н	H	ОН	1
4.05	$(CH_2)_2CH_3$	CF ₃	Н	H	ОН	1
4.051	C(CH ₃) ₃	CF ₃	Н	Н	ОН	1
4.052	Ph	CF ₃	Н	Н	ОН	1
4.053	CH₂F	CF ₃	Н	Н	ОН	1
4.054	CH₂Cl	CF₃	Н	Н	ОН	1

Comp.	R ₁	R₂	R ₃	Fl₄	Ħ ₅	P
No.						
4.055	CH₂Br	CF₃	Н	Н	ОН	1
4.056	CH₂OH	CF ₃	Н	Н	ОН	1
4.057	CH₂OCOCH₃	CF ₃	Н	Н	ОН	1
4.058	CH₂OCOPh	CF ₃	Н	Н	ОН	1
4.059	CH₂OCH₃	CF ₃	Н	Н	OH	1
4.06	CH ₂ OCH ₂ CH ₃	CF ₃	Н	Н	ОН	1
4.061	CH₂CH₂OCH₃	CF ₃	н	Н	ОН	1
4.062	CH₂SMe	CF ₃	Н	Н	ОН	1
4.063	CH₂SOMe	CF ₃	Н	Н	ОН	1
4.064	CH₂SO₂Me	CF ₃	Н	Н	ОН	1
4.065	CH₂SO₂Ph	CF ₃	Н	Н	ОН	1
4.066	N(CH ₃) ₂	CF ₃	н	Н	ОН	1
4.067	CH=CH₂	CF ₃	Н	н	он	1
4.068	CH ₂ CH=CH ₂	CF ₃	Н	H	ОН	1
4.069	SO₂N(CH₃)₂	CF ₃	н	Н	ОН	1
4.07	CCH	CF ₃	Н	Н	ОН	1
4.071	cyclopropyl	CF ₃	Н	Н	ОН	1
4.072	OCH ₃	CF₃	Н	Н	OH	1
4.073	OPh	CF ₃	Н	Н	ОН	1
4.074	OCHF ₂	CF ₃	H	Н	ОН	1
4.075	CO₂Me	CF ₃	н	Н	он	1
4.076	2-furyl	CF ₃	Н	Н	он	1
4.077	OCH₂CCH	CF ₃	Н	Н	ОН	1
4.078	Н	CF₂CF₃	H	Н	он	0
4.079	CI	CF ₂ CF ₃	Н	Н	он	0
4.08	CHF ₂	CF ₂ CF ₃	Н	н	ОН	0
4.081	CCl ₃	CF ₂ CF ₃	Н	Н	ОН	0
4.082	CCIF ₂	CF ₂ CF ₃	Н	Н	ОН	0
4.083	CF ₃	CF ₂ CF ₃	Н	Н	ОН	0
4.084	CH ₃	CF ₂ CF ₃	Н	Н	он	0
4.085	CH ₂ CH ₃	CF₂CF ₃	Н	Н	ОН	0

- 90 -

Comp.	R,	R ₂	R ₃	R ₄	R ₅	P
No.						
4.086	CH(CH ₃) ₂	CF ₂ CF ₃	H	н	ОН	0
4.087	(CH2)2CH3	CF₂CF₃	Н	Н	OH	0
4.088	$C(CH_3)_3$	CF ₂ CF ₃	Н	Н	ОН	0
4.089	CH₂F	CF ₂ CF ₃	Н	н	ОН	0
4.09	CH ₂ CI	CF ₂ CF ₃	Н	Н	ОН	0
4.091	CH₂OH	CF ₂ CF ₃	H	Н	OH	0
4.092	CH ₂ OCOCH ₃	CF₂CF₃	Н	H	ОН	0
4.093	CH₂OCOPh	CF₂CF₃	н	Н	ОН	0
4.094	CH₂OCH₃	CF ₂ CF ₃	Н	Н	ОН	0
4.095	CH ₂ OCH ₂ CH ₃	CF ₂ CF ₃	Н	Н	ОН	0
4.096	CH₂SMe	CF ₂ CF ₃	Н	Н	ОН	0
4.097	CH₂SOMe	CF ₂ CF ₃	Н	Н	ОН	0
4. 09 8	CH₂SO₂Me	CF ₂ CF ₃	Н	Н	ОН	0
4.099	CH₂SO₂Ph	CF ₂ CF ₃	Н	Н	ОН	0
4.1	$N(CH_3)_2$	CF ₂ CF ₃	Н	Н	OH	0
4.101	CH=CH₂	CF ₂ CF ₃	Н	Н	OH	0
4.102	CH ₂ CH=CH ₂	CF ₂ CF ₃	Н	H	OH	0
4.103	$SO_2N(CH_3)_2$	CF ₂ CF ₃	Н	Н	OH	0
4.104	CCH	CF ₂ CF ₃	Н	Н	OH	0
4.105	cyclopropyl	CF ₂ CF ₃	Н	Н	ОН	0
4.106	OPh	CF ₂ CF ₃	Н	Н	ОН	0
4.107	OCH ₃	CF ₂ CF ₃	Н	Н	ОН	0
4.108	CO₂Me	CF ₂ CF ₃	Н	H	ОН	0
4.109	OCH₂CCH	CF ₂ CF ₃	Н	H	ОН	0
4.11	Н	CF ₂ CF ₂ CF ₃	Н	Н	ОН	0
4.111	CHF ₂	CF ₂ CF ₂ CF ₃	Н	Н	ОН	0
4.112	CF ₃	CF ₂ CF ₂ CF ₃	Н	Н	OH	0
4.113	CH ₃	CF ₂ CF ₂ CF ₃	Н	Н	OH	0
4.114	CH₂CH₃	CF ₂ CF ₂ CF ₃	Н	Н	OH	0
4.115	$(CH_2)_2CH_3$	CF ₂ CF ₂ CF ₃	Н	Н	ОН	0
4.116	CH ₂ CI	CF ₂ CF ₂ CF ₃	Н	Н	ОН	0

- 91 -

Comp.	R ₁	R_2	R₃	R ₄	R ₅	P
No.						
4.117		CF ₂ CF ₂ CF ₃	Н	Н	ОН	0
4.118	Н	CF₂CI	Н	Н	ОН	0
4.119	Cl	CF₂CI	Н	Н	ОН	0
4.12	CHF ₂	CF₂CI	Н	Н	ОН	0
4.121	CCl₃	CF ₂ CI	H	Н	OH	0
4.122	CCIF ₂	CF₂CI	Н	H	ОН	0
4.123	CF₃	CF ₂ CI	Н	Н	ОН	0
4.124	CH₃	CF₂CI	Н	Н	OH	0
4.125	CH₂CH₃	CF₂Ci	Н	Н	OH	0
4.126	CH(CH ₃) ₂	CF ₂ CI	Н	Н	ОН	0
4.127	(CH ₂) ₂ CH ₃	CF ₂ CI	Н	Н	ОН	0
4.128	C(CH ₃) ₃	CF₂CI	Н	Н	ОН	0
4.129	CH₂F	CF₂CI	Н	Н	ОН	0
4.13	CH₂CI	CF₂CI	Н	Н	ОН	0
4.131	CH₂OH	CF₂CI	Н	H	OH	0
4.132	CH ₂ OCOCH ₃	CF ₂ CI	Н	Н	OH	0
4.133	CH₂OCOPh	CF₂CI	Н	Н	ОН	0
4.134	CH₂OCH₃	CF₂CI	Н	Н	ОН	0
4.135	CH ₂ OCH ₂ CH ₃	CF₂CI	Н	H	OH	0
4.136	CH₂SMe	CF₂CI	Н	н	ОН	0
4.137	CH₂SOMe	CF₂CI	Н	Н	ОН	0
4.138	CH₂SO₂Me	CF₂CI	н	Н	ОН	0
4.139	CH₂SO₂Ph	CF₂CI	Н	Н	OH	0
4.14	$N(CH_3)_2$	CF₂CI	Н	Н	ОН	0
4.141	CH=CH ₂	CF ₂ CI	Н	Н	OH	0
4.142	CH ₂ CH=CH ₂	CF₂CI	Н	H	ОН	Ó
4.143	SO₂N(CH ₃)₂	CF ₂ Cl	Н	Н	ОН	0
4.144	CCH	CF₂CI	Н	Н	ОН	0
4.145	cyclopropyl	CF₂CI	Н	Н	ОН	0
4.146	OPh	CF₂CI	Н	н	ОН	0
4.147	OCH₃	CF₂CI	Н	Н	ОН	0

Comp.	R ₁	R_2	R ₃	R ₄	R₅	P
No.						
4.148	CO₂Me	CF₂CI	Н	н	ОН	0
4.149	OCH₂CCH	CF₂Cl	Н	H	ОН	0
4.15	CH₃	CF₂CI	Н	Н	ОН	1
4.151		CF₂CI	н	Н	OH	1
4.152	Н	CCI3	Н	Н	ОН	0
4.153	CI	CCI ₃	Н	Н	ОН	0
4.154	CH₃	CCI ₃	Н	Н	ОН	0
4.155	CH₂CH₃	CCI ₃	Н	Н	ОН	0
4.156	CH(CH ₃) ₂	CCl ₃	Н	Н	ОН	0
4.157	$(CH_2)_2CH_3$	CCl ₃	H	Н	ОН	0
4.158	CH₂F	CCI ₃	Н	Н	ОН	0
4.159	CH₂CI	CCI ₃	Н	Н	ОН	0
4.16	CH₂OH	CCI ₃	Н	H	ОН	0
4.161	CH₂OCOCH₃	CCI ₃	H	Н	ОН	0
4.162	CH₂OCOPh	CCI3	н	Н	ОН	0
4.163	CH ₂ OCH ₃	CCl ₃	Н	Н	OH	0
4.164	CH₂OCH₂CH₃	CCI ₃	Н	Н	ОН	0
4.165	CH₂SMe	CCI ₃	Н	Н	ОН	0
4.166	CH ₂ SOMe	CCI ₃	н	Н	ОН	0
4.167	CH₂SO₂Me	CCI ₃	Н	Н	OH	0
4.168	CH₂SO₂Ph	CCl ₃	Н	Н	ОН	0
4.169	cyclopropyl	CCI ₃	Н	H	ОН	0
4.17	OPh	CCl ₃	Н	Н	ОН	0
4.171	OCH₃	CCl ₃	Н	н	ОН	O
4.172	CO₂Me	CCI ₃	Н	Н	ОН	0
4.173	OCH₂CCH	CCl ₃	Н	Н	ОН	0
4.174	CF₃	CHF ₂	Н	Н	ОН	0
4.175	CH₃	CHF ₂	Н	Н	ОН	0
4.176	CH₂OCH₃	CHF2	Н	H	ОН	0
4.177	CH₂Cl	CHF₂	Н	H	ОН	0
4.178	CH₂F	CHF ₂	Н	H	ОН	0

- 93 -

Comp.	R ₁	R₂	R₃	R ₄	R ₅	Р
No.						
4.179	CF₃	CHF ₂	Н	Н	ОН	1
4.18	CH₃	CHF ₂	Н	Н	ОН	1
4.181	CH ₂ OCH ₃	CHF ₂	Н	Н	ОН	1
4.182	CH₂CI	CHF ₂	Н	Н	ОН	1
4.183	CH₂F	CHF ₂	Н	Н	ОН	1
4.184	CH₃	CF ₃	Н	CH ₃	ОН	0
4.185	CH ₃	CF ₃	Н	CH₃	ОН	1
4.186	CI	CF ₃	Н	СН₃	ОН	0
4.187	CH ₃	CF ₃	CH ₃	Н	ОН	0
4.188	CH ₃	CF ₃	Ph	Н	ОН	0
4.189	CH ₃	CF₃	CI	Н	ОН	0
4.19	CH₃	CF ₃	CO₂CH₂CH₃	Н	ОН	0
4.191	CH₃	CF ₃	CO₂CH₂Ph	н	ОН	0
4.192	CH ₃	CF ₃	CH ₃	Н	ОН	1
4.193	CH ₃	CF ₃	Ph	Н	ОН	1
4.194	CH ₃	CF ₃	CI	Н	ОН	1
4. 19 5	CH ₃	CF ₃	CO₂CH₂CH₃	Н	ОН	1
4.196	CH ₃	CF ₃	CO ₂ CH ₂ Ph	н	ОН	1
4.197	OCH ₃	CF ₃	CH ₃	H	ОН	0
4.198	CH ₂ OCH ₃	CF ₃	CH ₃	Н	ОН	0
4.199	CH ₂ OCH ₃	CF ₃	₽h	H	ОН	0
4.2		CF ₃	CI	Н	ОН	0
4.201		CF ₃	CO ₂ CH ₂ CH ₃	Н	ОН	0
4.202	CH₂OCH₃	CF ₃	CO₂CH₂Ph	H	ОН	0
4.203	CH₂OCH₃	CF ₃	CH ₃	Н	ОН	1
4.204		CF ₃	₽h	Н	ОН	1
4.205	CH₂OCH₃	CF ₃	ÇI	Н	ОН	1
4.206	CH ₂ OCH ₃	CF ₃	CO₂CH₂CH₃	Н	он	1
4.207	CH₂OCH₃	CF ₃	CO ₂ CH ₂ Ph	Н	ОН	1
4.208	COOCH₃	Н	Н	Н	он	0
4.209	CF ₃	SCH ₃	Н	H	OH	0

- 94 -

(Comp.	R ₁	R ₂	R ₃	R₄	R ₅	P
	No.						
	4.21	CH ₃	SCH₃	Н	н	ОН	0
	4.211	CF₃	SOCH₃	Н	Н	ОН	0
	4.212	CH ₃	SOCH₃	Н	Н	ОН	0
	4.213	CF ₃	SO ₂ CH ₃	Н	H	ОН	0
	4.214	CH ₃	SO ₂ CH ₃	Н	Н	ОН	0
	4.215	CF ₃	SCH₂CH₃	Н	Н	ОН	0
	4.216	CH ₃	SCH₂CH₃	Н	Н	ОН	0
	4.217	CF ₃	SOCH₂CH₃	Н	Н	ОН	0
	4.218	CH ₃	SOCH ₂ CH ₃	Н	н	ОН	0
	4.219	CF ₃	SO ₂ CH ₂ CH ₃	Н	Н	ОН	0
	4.22	CH ₃	SO ₂ CH ₂ CH ₃	Н	н	ОН	0
	4.221	CF ₃	OCH ₃	Н	Н	ОН	0
	4.222	CH ₃	OCH ₃	Н	н	OH	0
	4.223	CF ₃	OCH ₂ CF ₃	Н	Н	ОН	0
	4.224	CH₃	OCH ₂ CF ₃	Н	Н	ОН	0
	4.225	CF ₃	OCH₂CCH	Н	Н	ОН	0
	4.226	CH ₃	OCH₂CCH	H	H	ОН	0
	4.227	CF ₃	CN	Н	H	ОН	0
	4.228	CH ₃	CN	H	Н	ОН	0
	4.2 2 9	CF ₃	CI	Н	Н	ОН	0
	4.23	CH₃	CI	Н	Н	он	0
	4.231	Н	CI	Н	Н	ОН	0
	4.232	CF ₃	OCH ₃	Н	Н	OH	0
	4.233	CH ₃	OCH ₃	Н	Н	ОН	0
	4.234	CF ₃	CH₃	H	Н	ОН	0
	4.235	Н	CF₃	Н	CH ₃	ОН	0
	4.236	Н	CF ₃	Н	CF ₃	ОН	0
	4.237	Н	CF ₃	Н	CH₂CH₃	ОН	0
	4.238	Н	CF₃	Н	CF ₃	ОН	0
	4.239	Н	CF ₃	Н	SCH ₃	ОН	0
	4.24	Н	CF ₃	Н	SOCH ₃	ОН	0

- 95 -

Comp.	\mathbf{R}_1	Fl ₂	R₃	R₄	R_{5}	P
No.						
4.241	Н	CF ₃	Н	SO ₂ CH ₃	ОН	0
4.242	Н	CF₃	Н	CI	OH	0
4.243	H	CF₃	Н	OCH ₃	OH	0
4.244	Н	CH₃	н	CF ₃	ОН	0
4.245	Н	CI	H	CF ₃	OH	0
4.246	Н	OCH₃	Н	CF ₃	ОН	0
4.247	Н	SCH₃	Н	CF ₃	OH	0
4.248	Н	SOCH₃	Н	CF ₃	OH	0
4.249	CH ₃	CF ₃	Н	H	S(CH ₂) ₇ CH ₃	0
4.25	CH ₃	CF₃	Н	Н	S(CH ₂) ₇ CH ₃	0
4.251	CH ₃	CF₃	Н	H	SO(CH ₂) ₇ CH ₃	0
4.252	CH_3	CF ₃	H	Н	SO ₂ (CH ₂) ₇ CH ₃	0
4.253	CH₃	CF ₃	Н	Н	SPh	0
4.254	CH ₃	CF ₃	Н	H	SOPh	0
4.255	CH₃	CF ₃	Н	Н	SO₂Ph	0
4.256	CH ₃	CF ₃	Н	Н	NOCH ₃	0
4.257	CH ₃	CF ₃	Н	Н	NOCH₂Ph	0
4.258	CH ₃	CF₃	Н	Н	NOCH ₂ CH=CH ₂	0
4.259	CH ₃	CF ₃	H	Н	NOC(CH₃)₃	0
4.26	CH ₃	CF ₃	Н	Н	NOCH₂CH₃	0
4.261	CH₃	CF ₃	Н	Н	NCH₂CH₂SH	0
4.262	CH ₃	CF ₃	Н	Н	NN(CH ₃) ₂	0
4.263	CH₃	CF₃	Н	Ħ	NN(CH ₃)C(S)NH ₂	0
4.264	CH ₃	CF₃	Н	H	N-morpholino	0
4.265	CH ₃	CF₃	Н	H	NHCOCH₃	0
4.266	CH ₃	CF₃	Н	Н	NHCO(CH ₂) ₇ CH ₃	0
4.267	CH₃	CF₃	Н	Н	NHCOPh	0
4. 26 8	CH₃	CF ₃	Н	Н	NHSO₂CH ₃	0
4.269	CH ₃	CF ₃	Н	Н	NH(CO)S(CH ₂) ₇ CH ₃	0
4.27	CH₃	CF ₃	Н	н	CI	0
4.271	CH ₃	CF ₃	Н	Н	NH₂	0

Comp.	R ₁	R ₂	R ₃	R₄	R₅	P
No.						
4.272	CH ₃	CF ₃	н	Н	OCOC(CH ₃) ₃	0
4.273	CH ₃	CF ₃	Н	Н	OCOCH3	0
4.274	CH ₃	CF ₃	Н	Н	OCOPh	Ō
4.275	CH ₃	CF ₃	Н	н	OCO-cyclopropyl	0
4.276	CH ₃	CF ₃	Н	Н	OCOCH₂CH₃	0
4.277	CH₃	CF₃	Н	Н	OCOCH≒CH₂	0
4.278	CH₃	CF ₃	Н	Н	OCOCH=CHCH3	0
4.279	CH₃	CF ₃	Н	н	O(CO)SCH ₃	0
4.28	CH₃	CF₃	Н	H	O(CO)S(CH ₂) ₇ CH ₃	0
4.281	CH₃	CF ₃	Н	н	O(CO)OCH₂CH₃	0
4.282	CH₃	CF ₃	H	H	O(CO)N(CH ₂ CH ₃) ₂	0
4.283	CH₃	(CF ₂) ₃ CF ₃	н	H	ОН	0
4.284	CH ₃	CF ₃	Н	н	S-(4-Ci-phenyl)	0
4.285	CH ₃	CF ₃	Н	Н	SO-(4-Ci-phenyl)	0
4.286	CH₃	CF₃	Н	н	SO ₂ -(4-Cl-phenyl)	0
4.287	CH ₃	CF ₃	Н	н	S-(4-CF ₃ -phenyl)	0
4.288	CH₃	CF₃	Н	Н	SO-(4-CF ₃ -phenyl)	0
4.289	CH ₃	CF ₃	Н	н	SO ₂ -(4-CF ₃ -phenyl)	0
4.29	CH ₃	CF ₃	Н	Н	S-(4-NO ₂ -phenyl)	0
4.291	CH ₃	CF ₃	Н	Н	SO-(4-NO ₂ -phenyl)	0
4.292	CH ₃	CF₃	Н	н	SO ₂ -(4-NO ₂ -phenyl)	0
4.293	CH ₃	CF₃	Н	Н	s	0
4.294	CH₃	CF₃	Н	Н	s H	0
4.295	CH₃	CF₃	Н	Н	s N	0
4.296	CH₃	CF₃	Н	Н	S S SCH ₃	0
4.297	CF ₂ H	SCH₃	Н	H	ОН	0

- 97 -

Comp.	R,	R ₂	R₃	R ₄	R ₅	P
No.						
4.298	CF ₂ Cl	scH₃	н	Н	ОН	0
4.299	CF₂H	SOCH₃	Н	Н	ОН	0
4.3	CF ₂ CI	SOCH₃	н	Н	ОН	0
4.301	CF₂H	SO₂CH₃	Н	Н	ОН	0
4.302	CF ₂ Cl	SO₂CH₃	Ħ	Н	ОН	0
4.303	CF ₂ H	SCH₂CH₃	Н	Н	ОН	0
4.304	CF₂CI	SCH₂CH₃	Н	Н	ОН	0
4.305	CF₂H	SOCH₂CH₃	Н	Н	ОН	0
4.306	CF₂CI	SOCH₂CH₃	Н	н	ОН	0
4.307	CF₂H	SO ₂ CH ₂ CH ₃	Н	Н	ОН	0
4.308	CF₂CI	SO ₂ CH ₂ CH ₃	Н	Н	ОН	0
4.309	CF₂H	OCH₃	H	Н	ОН	0
4.31	CF ₂ Cl	OCH ₃	Н	·H	ОН	0
4.311	CF₂H	OCH₂CF₃	Н	Н	ОН	0
4.312	CF₂CI	OCH ₂ CF ₃	Н	Н	ОН	0
4.313	CF₂H	OCH₂CCH	Н	Н	ОН	0
4.314	CF₂CI	OCH₂CCH	Н	Н	ОН	0
4.315	CF₂H	CN	Н	Н	ОН	0
4.316	CF ₂ Cl	CN	Н	Н	ОН	0
4.317	CF₂H	CI	Н	Н	ОН	0
4.318	CF₂CI	Cl	Н	Н	ОН	0
4.319	CF₂H	OCH₃	Н	Н	ОН	0
4.32	CF₂CI	OCH₃	Н	н	ОН	0
4.321	CF ₃	CH₂OCH₃	Н	Н	ОН	0
4.322	CF ₃	CH₂OCH₃	Н	Н	ОН	1
4.323	CF₂CI	CH₂OCH₃	Н	Н	ОН	0
4.324	CF ₂ CI	CH₂OCH₃	Н	Н	ОН	1
4.325	CF₂H	CH₂OCH₃	Н	Н	· OH	0
4.326	CF₂H	CH₂OCH₃	н	Н	ОН	1
4.327	CN	CF ₃	Н	Н	ОН	0
4.328	SCH₃	Н	Н	Н	ОН	0

WO 00/15615

Table 5

$$H_3C$$
 H_3C
 R_4
 R_2

Comp. No.	R ₁	R ₂	R₃	R ₄	R ₅
5.001	Н	CF ₃	н	H	СН₃
5.002	F	CF ₃	Н	Н	CH₃
5. 0 03	CI	CF ₃	H	Н	CH ₃
5. 0 04	CHF ₂	CF ₃	Н	Н	CH ₃
5.005	CCI ₃	CF ₃	Н	н	CH ₃
5.006	CCIF ₂	CF ₃	H	Н	CH₃
5.007	CF₃	CF ₃	Н	Н	CH₃
5.008	CH ₃	CF ₃	Н	Н	CH ₃
5.009	CH₂CH₃	CF ₃	Н	Н	CH₃
5.01	CH(CH ₃)₂	CF ₃	Н	Н	CH ₃
5.011	$(CH_2)_2CH_3$	CF ₃	Н	Н	CH ₃
5.012	CH₂F	CF ₃	Н	Н	CH ₃
5.013	CH₂CI	CF ₃	Н	Н	CH ₃
5.014	CH₂Br	CF3	Н	H	CH ₃
5.015	CH₂OCOCH₃	CF ₃	Н	Н	CH ₃
5.016	CH₂OCH₃	CF ₃	Н	Н	CH ₃
5.017		CF ₃	Н	H	CH ₃
5.018	CH₂SMe	CF ₃	Н	Н	СН₃
5.019	CH₂SOMe	CF ₃	Н	Н	CH ₃
5.02	CH₂SO₂Me	CF ₃	Н	Н	CH₃
5.021	$N(CH_3)_2$	CF ₃	Н	Н	CH ₃
5.022	CH=CH ₂	CF ₃	Н	Н	CH₃
5.023	CH ₂ CH=CH ₂	CF ₃	H	Н	CH₃
5.024	SO₂N(CH₃)₂	CF ₃	Н	Н	CH₃

- 99 -

Comp. No.	\mathbf{R}_1	R_2	R ₃	R₄	R ₅
5.025	CCH	CF ₃	Н	Н	CH ₃
5.026	cyclopropy	CF ₃	Н	Н	CH₃
5.027	OCH₃	CF ₃	Н	Н	CH ₃
5.028	OPh	CF ₃	Н	Н	CH ₃
5.029	OCHF₂	CF₃	Н	Н	CH ₃
5.03	CO₂Me	CF ₃	Н	H	CH ₃
5.031	OCH₂CCH	CF ₃	H	Н	CH₃
5.032	CF₃	scH₃	Н	Н	CH₃
5 .03 3	CH ₃	SCH₃	Н	Н	CH₃
5.034	CF ₃	SOCH₃	Н	Н	CH ₃
5.035	CH₃	SOCH₃	Н	Н	CH₃
5.036	CF ₃	SO₂CH₃	Н	Н	CH ₃
5. 037	CH₃	SO₂CH₃	Н	Н	CH ₃
5.038	CF₃	OCH ₃	Н	Н	CH₃
5 .03 9	CH₃	OCH ₃	Н	Н	CH ₃
5.04	CF ₃	OCH ₂ CF ₃	Н	H	CH ₃
5.041	CH₃	OCH₂CF₃	Н	Н	CH₃
5.042	CF₃	OCH₂CCH	Н	Н	CH_3
5.043	CH₃	OCH₂CCH	Н	Н	CH ₃
5.044	CF ₃	CN	Н	Н	CH ₃
5.045	CH₃	CN	Н	Н	CH ₃
5.046	CF ₃	CI	Н	Н	CH ₃
5.047	CH₃	CI	Н	Н	CH ₃
5.048	Н	Cl	Н	Н	CH ₃
5.049	CF ₃	OCH₃	Н	Н	CH ₃
5.05	CH ₃	OCH ₃	Н	Н	CH₃
5.051	CF ₃	CH₃	Н	Н	CH ₃
5.052	н	CF ₃	Н	CH ₃	CH ₃
5.053	н	CF ₃	Н	CF₃	CH₃
5.054	Н	CF ₃	Н	CH₂CH₃	CH₃
5.055	Н	CF ₃	Н	CF ₃	CH ₃
5.056	Н	CF₃	Н	SCH₃	CH₃

- 100 -

Comp. No.	R ₁	R_2	R ₃	R₄	R_5
5.057	Н	CF ₃	Н	SOCH₃	CH ₃
5 .05 8	Н	CF₃	Н	SO ₂ CH ₃	CH ₃
5. 05 9	Н	CF ₃	Н	CI	CH₃
5.06	Н	CF ₃	Н	OCH ₃	CH ₃
5.061	Н	CH₃	Н	CF₃	CH ₃
5.062	Н	Cl	Н	CF ₃	CH ₃
5.063	Н	OCH₃	Н	CF ₃	CH ₃
5.064	Н	SCH₃	Н	CF ₃	CH ₃
5.065	Н	SOCH₃	Н	CF ₃	CH ₃
5.066	CF ₂ CI	CH₃	Н	Н	CH₃
5.067	CF ₂ CI	CH₂CH₃	Н	Н	CH₃
5.068	CF ₂ CI	SCH₃	Н	H	CH ₃
5.069	CF ₂ Cl	SOCH₃	Н	H	CH ₃
5.07	CF ₂ Cl	SO₂CH₃	Н	Н	CH₃
5.071	CF ₂ C1	OCH ₃	Н	Н	CH ₃
5.072	CF ₂ CI	OCH₂CF₃	Н	Н	CH ₃
5.073	CF ₂ Cf	OCH₂CCH	Н	Н	CH₃
5.074	CF ₂ Cl	CN	H	Н	CH₃
5.075	CF ₂ CI	Ct	Н	Н	CH₃
5.076	CF₂CI	OCH ₃	Н	Н	CH₃
5.077	CF₃	CH₂OCH₃	Н	Н	CH_3
5.078	CF ₂ Cl	CH₂OCH₃	Н	Н	CH ₃
5.079	CF₂H	CH₂OCH₃	Н	Н	CH ₃
5.08	CN	CF ₃	H	Н	CH₃
5.081	CH ₃	CF ₃	Н	Н	CH ₂ CH ₃
5.082	CH ₃	CF ₃	Н	Н	SCH₃
5.083	CH ₃	CF ₃	Н	Н	SOCH ₃
5.084	CH₃	CF₃	Н	Н	SO₂CH₃
5.085	CH ₃	CF ₃	Н	Н	Н

Table 6:

Comp. No.	R ₁	R_2	R_3	R₄	R_{5}
6.001	CI	CF ₃	Н	н	CH₂CH₃
6.002	CHF2	CF ₃	Н	H	CH₂CH₃
6.003	CCl ₃	CF ₃	Н	Н	CH₂CH₃
6.004	CCIF ₂	CF ₃	Н	Н	CH₂CH₃
6.005	CF₃	CF ₃	Н	Н	CH₂CH₃
6.006	CH₃	CF₃	Н	Н	CH₂CH₃
6.007	CH₂CH₃	CF ₃	Н	Н	CH₂CH₃
6.008	(CH ₂) ₂ CH ₃	CF ₃	Н	Н	CH₂CH₃
6.009	CH₂F	CF ₃	Н	Н	CH₂CH₃
6.01	CH₂CI	CF ₃	Н	Н	CH₂CH₃
6.011	CH₂OCH₃	CF ₃	Н	Н	CH₂CH₃
6.012	CH₂SMe	CF ₃	Н	Н	CH ₂ CH ₃
6.013	CH₂SO₂Me	CF ₃	Н	Н	CH₂CH₃
6.014	CH≔CH₂	CF ₃	Н	Н	CH₂CH₃
6.015	CH ₂ CH=CH ₂	CF ₃	Н	Н	CH₂CH₃
6.016	CCH	CF ₃	Н	Н	CH₂CH₃
6.017	CF ₃	SCH₃	Н	Н	CH₂CH₃
6.018	CF ₃	SOCH ₃	Н	Н	CH₂CH₃
6.019	CF ₃	SO₂CH₃	Н	н	CH₂CH₃
6.02	CF₃	OCH₃	Н	н	CH ₂ CH ₃
6.021	CF₃	CN	Н	Н	CH₂CH₃
6.022	CF₃	CI	Н	н	CH₂CH₃
6.023	CF ₃	OCH ₃	Н	Н	CH₂CH₃
6.024	CF₃	CH₃	Н	Н	CH₂CH₃

- 102 -

Comp. No.	R ₁	R ₂	R_3	R_4	R ₅
6.025	Н	CF ₃	Н	CH₃	CH₂CH₃
6.026	Н	CF₃	Н	CF ₃	CH₂CH₃
6.027	н	CF ₃	Н	SCH₃	CH₂CH₃
6.028	н	CF₃	Н	SOCH ₃	CH₂CH₃
6.029	Н	CF ₃	Н	SO₂CH₃	CH ₂ CH ₃
6.03	Н	CF ₃	Н	CI	CH ₂ CH ₃
6.031	Н	CF ₃	Н	OCH₃	CH₂CH₃
6.032	Н	CH ₃	H	CF ₃	CH₂CH₃
6.033	Н	CI	Н	CF ₃	CH₂CH₃
6.034	Н	OCH ₃	Н	CF₃	CH₂CH₃
6.035	CN	CF ₃	Н	н	CH₂CH₃
6.036	CI	CF₃	Н	н	CH(CH ₃) ₂
6.037	CHF ₂	CF₃	Н	Н	CH(CH ₃) ₂
6.038	CCI ₃	CF₃	Н	Н	CH(CH ₃) ₂
6.039	CCIF ₂	CF₃	Н	н	CH(CH ₃) ₂
6.04	CF ₃	CF ₃	Н	н	CH(CH ₃) ₂
6.041	CH₃	CF ₃	н	Н	CH(CH ₃) ₂
6.042	CH₂CH₃	CF ₃	Н	Н	CH(CH ₃) ₂
6.043	(CH ₂) ₂ CH ₃	CF ₃	Н	Н	CH(CH ₃) ₂
6.044	CH₂F	CF ₃	Н	Н	CH(CH ₃) ₂
6.045	CH₂C!	CF₃	Н	Н	CH(CH ₃) ₂
6.046	CH₂OCH₃	CF ₃	Н	H	CH(CH ₃) ₂
6.047	CH₂SMe	CF ₃	н	H	CH(CH ₃) ₂
6.048	CH₂SO₂Me	CF₃	Н	Н	CH(CH ₃) ₂
6.049	CH=CH₂	CF ₃	Н	Н	CH(CH ₃) ₂
6.05	CH₂CH=CH₂	CF ₃	Н	н	CH(CH ₃) ₂
6.051	ССН	CF₃	Н	н	CH(CH ₃) ₂
6.052	CF ₃	SCH₃	Н	Н	CH(CH ₃) ₂
6.053	CF₃	SOCH₃	Н	Н	CH(CH ₃) ₂
6.054	CF ₃	SO₂CH₃	Н	Н	CH(CH ₃) ₂
6.055	CF₃	OCH₃	Н	н	CH(CH ₃) ₂
6.056	CF₃	CN	Н	н	CH(CH ₃) ₂

Comp. No.	R ₁	R ₂	Ra	R₄	R ₅
6.057	CF ₃	CI	Н	Н	CH(CH ₃) ₂
6.058	CF ₃	OCH₃	Н	Н	CH(CH ₃) ₂
6.059	CF ₃	CH ₃	Н	Н	CH(CH ₃) ₂
6.06	н	CF ₃	Н	CH ₃	CH(CH ₃) ₂
6.061	н	CF ₃	Н	CF ₃	CH(CH ₃) ₂
6.062	Н	CF ₃	Н	SCH₃	CH(CH ₃) ₂
6.063	н	CF ₃	Н	SOCH ₃	CH(CH ₃) ₂
6.064	Н	CF ₃	H	SO ₂ CH ₃	CH(CH ₃) ₂
6.065	н	CF₃	Н	Cl	CH(CH ₃) ₂
6. 06 6	Н	CF ₃	Н	OCH ₃	CH(CH ₃) ₂
6.067	н	CH₃	Н	CF ₃	CH(CH ₃) ₂
6.068	Н	Cl	Н	CF ₃	CH(CH ₃) ₂
6.069	Н	OCH ₃	Н	CF ₃	CH(CH ₃) ₂
6.07	CN	CF ₃	Н	Н	CH(CH ₃) ₂
6.071	Ci	CF ₃	Н	Н	HNPh
6.072	CHF ₂	CF ₃	Н	Н	H NP h
6.073	CCl ₃	CF ₃	Н	Н	HNPh
6.074	CCIF ₂	CF ₃	Н	Н	HNPh
6.075	CF ₃	CF ₃	Н	H	HNPh
6.076	CH₃	CF ₃	Н	Н	HNPh
6.077	CH₂CH₃	CF ₃	Н	Н	HNPh
6.078	$(CH_2)_2CH_3$	CF ₃	н	Н	H NP h
6.079	CH₂F	CF ₃	H	Н	HNPh
6.08	CH₂Cl	CF ₃	Н	Н	HNPh
6.081	CH₂OCH₃	CF ₃	Н	Н	HNPh
6.082	CH₂SMe	CF ₃	H	Н	HNPh
6.083	CH₂SO₂Me	CF ₃	H	Н	HNPh
6.084	CH=CH ₂	CF ₃	Н	Н	HNPh
6.085	CH ₂ CH=CH ₂	CF ₃	H	Н	HNPh
6.086	CCH	CF ₃	H	Н	HNPh
6.087	CF ₃	SCH₃	Н	Н	HNPh
6.088	CF ₃	SOCH ₃	Н	Н	HNPh

- 104 -

Comp. No.	R ₁	R_2	Ħ ₃	R₄	₽s
6.089	CF ₃	SO₂CH₃	н	Н	HNPh
6.09	CF ₃	OCH₃	Н	Н	HNPh
6.091	CF ₃	CN	Н	Н	HNPh
6.092	CF ₃	Cl	Н	Н	HNPh
6.093	CF ₃	OCH₃	Н	H	HNPh
6.094	CF ₃	CH₃	Н	Н	HNPh
6.095	Н	CF ₃	Н	CH ₃	HNPh
6. 09 6	Н	CF ₃	Н	CF₃	HNPh
6. 09 7	н	CF ₃	Н	SCH₃	HNPh
6.098	Н	CF ₃	Н	SOCH ₃	HNPh
6.099	Н	CF ₃	Н	SO ₂ CH ₃	HNPh
6.1	Н	CF ₃	H	CI	HNPh
6.101	Н	CF ₃	Н	OCH₃	HNP h
6.102	H	CH ₃	Н	CF ₃	HNPh
6.103	Н	CI	Н	CF ₃	HNPh
6.104	Н	OCH ₃	Н	CF ₃	HNPh
6.105	CN	CF ₃	Н	Н	HNPh
6.106	CI	CF ₃	Н	Н	HNC(CH ₃) ₃
6.107	CHF ₂	CF ₃	Н	Н	HNC(CH ₃) ₃
6.108	CCI ₃	CF ₃	H	Н	HNC(CH ₃) ₃
6.109	CCIF ₂	CF ₃	Н	Н	HNC(CH ₃) ₃
6.11	CF ₃	CF ₃	Н	Н	HNC(CH ₃) ₃
6.111	CH ₃	CF ₃	Н	Н	HNC(CH ₃) ₃
6.112	CH₂CH₃	CF₃	Н	Н	HNC(CH ₃) ₃
6.113	$(CH_2)_2CH_3$	CF ₃	Н	Н	HNC(CH ₃) ₃
6.114	CH₂F	CF₃	н	Н	HNC(CH ₃) ₃
6.115	CH₂CI	CF ₃	Н	Н	HNC(CH ₃) ₃
6.116	CH₂OCH₃	CF ₃	Н	Н	HNC(CH₃)₃
6.117	CH₂SMe	CF ₃	Н	Н	HNC(CH ₃) ₃
6.118	CH₂SO₂Me	CF₃	Н	Н	HNC(CH ₃) ₃
6.119	CH=CH ₂	CF ₃	Н	Н	HNC(CH ₃) ₃
6.12	CH₂CH=CH₂	CF ₃	Н	н	HNC(CH ₃) ₃

- 105 -

Comp. No.	R ₁	R_2	R_3	R₄	R₅
6.121	ССН	CF ₃	Н	Н	HNC(CH ₃) ₃
6.122	CF ₃	SCH₃	Н	Н	HNC(CH ₃) ₃
6.123	CF ₃	SOCH₃	Н	Н	HNC(CH ₃) ₃
6.124	CF ₃	SO ₂ CH ₃	Н	Н	HNC(CH ₃) ₃
6.125	CF₃	OCH ₃	H	Н	HNC(CH ₃) ₃
6.126	CF₃	CN	Н	Н	HNC(CH ₃) ₃
6.127	CF ₃	CI	Н	Н	HNC(CH ₃) ₃
6.128	CF₃	OCH₃	H	Н	HNC(CH ₃) ₃
6.129	CF ₃	CH₃	Н	Н	HNC(CH ₃) ₃
6.13	Н	CF ₃	Н	CH ₃	HNC(CH ₃) ₃
6.131	Н	CF ₃	Н	CF ₃	HNC(CH ₃) ₃
6.132	H	CF ₃	Н	SCH₃	HNC(CH ₃) ₃
6.133	н	CF₃	Н	SOCH₃	HNC(CH ₃) ₃
6.134	Н	CF ₃	Н	SO₂CH₃	HNC(CH ₃) ₃
6.135	H	CF ₃	Н	CI	HNC(CH ₃) ₃
6.136	Н	CF ₃	Н	OCH ₃	HNC(CH ₃) ₃
6.137	Ħ	CH ₃	Н	CF ₃	HNC(CH ₃) ₃
6.138	H	CI	Н	CF ₃	HNC(CH ₃) ₃
6.139	н	OCH ₃	Н	CF ₃	HNC(CH ₃) ₃
6.14	CN	CF ₃	Н	Н	HNC(CH ₃) ₃

<u>Table 7:</u>

Comp. No.	R ₁	R ₂	R ₃	R4	р
7.023	CH₂CH₂OCH₃	CF ₃	Н	н	0
7.024	CH₂SMe	CF₃	H	Н	0
7.025	CH₂SOMe	CF ₃	н	Н	0
7.026	CH₂SO₂Me	CF ₃	Н	H	0
7.027	CH₂SO₂ P h	CF ₃	Н	н	0
7.028	SCH₃	CF ₃	Н	Н	0
7.029	SOCH ₃	CF ₃	Н	Н	0
7.03	SO₂CH₃	CF ₃	Н	Н	0
7.031	N(CH ₃) ₂	CF ₃	Н	Н	0
7.032	CH=CH ₂	CF ₃	Н	Н	0
7.033	CH₂CH=CH₂	CF ₃	Н	Н	0
7.034	SO ₂ N(CH ₃) ₂	CF ₃	Н	Н	0
7.035	CCH	CF ₃	Н	Н	0
7.036	cyclopropyl	CF ₃	Н	H	0
7.037	OCH₃	CF ₃	Н	H	0
7.038	OCHF ₂	CF₃	Н	Н	0
7.039	OCH₂CCH	CF ₃	Н	Н	0
7.04	н	CF ₂ CF ₃	Н	Н	0
7.041	CI	CF ₂ CF ₃	Н	Н	0
7.042	CHF ₂	CF ₂ CF ₃	Н	Н	0
7.043	CCl ₃	CF ₂ CF ₃	Н	Н	0
7.044	CCIF ₂	CF ₂ CF ₃	H	Н	0
7.045	CF ₃	CF ₂ CF ₃	Н	Н	0
7.046	CH₃	CF ₂ CF ₃	Н	Н	0
7.047	CH₂CH₃	CF ₂ CF ₃	H	Н	0
7.048	CH(CH ₃) ₂	CF ₂ CF ₃	Н	Н	0
7.049	(CH ₂) ₂ CH ₃	CF ₂ CF ₃	Н	н	0
7.05	$C(CH_3)_3$	CF ₂ CF ₃	Н	н	0
7.051	CH ₂ F	CF₂CF₃	Н	н	0
7.052	CH₂CI	CF ₂ CF ₃	H	Н	0
7.053	CH₂OH	CF ₂ CF ₃	Н	Н	0
7.054	CH2OCOCH3	CF ₂ CF ₃	Н	Н	0

- 108 -

Comp. No.	R ₁	R ₂	R ₃	R₄	р
7.055	CH ₂ OCOPh	CF ₂ CF ₃	Н	Н	0
7.056	CH₂OCH₃	CF ₂ CF ₃	Н	Н	0
7.057	CH ₂ OCH ₂ CH ₃	CF ₂ CF ₃	Н	Н	0
7.058	CH₂SMe	CF ₂ CF ₃	Н	Н	0
7.059	CH₂SOMe	CF ₂ CF ₃	Н	Н	0
7.06	CH ₂ SO ₂ Me	CF ₂ CF ₃	, H	Н	0
7.061	CH₂SO₂Ph	CF ₂ CF ₃	Н	н	0
7.062	$N(CH_3)_2$	CF ₂ CF ₃	Н	H	0
7.063	CH=CH₂	CF ₂ CF ₃	Н	H	0
7.064	CH₂CH=CH₂	CF ₂ CF ₃	Н	Н	0
7.065	SO₂N(CH ₃)₂	CF ₂ CF ₃	H	Н	0
7.066	CCH	CF ₂ CF ₃	Н	Н	0
7.067	cyclopropyi	CF ₂ CF ₃	Н	Н	0
7.068	OCH₃	CF ₂ CF ₃	Н	Н	0
7.069	CO₂Me	CF ₂ CF ₃	Н	Н	0
7.07	OCH₂CCH	CF ₂ CF ₃	Н	Н	0
7.071	Н	CF₂CI	Н	Н	0
7.072	CI	CF ₂ CI	Н	Н	0
7 .07 3	CHF₂	CF ₂ CI	Н	Н	0
7.074	CCl ₃	CF₂CI	Н	Н	0
7.075	CCIF ₂	CF ₂ CI	Н	Н	0
7.076	CF ₃	CF₂CI	H	Н	0
7.077	CH₃	CF₂CI	Н	Н	0
7.078	CH₂CH₃	CF₂Cl	Н	Н	0
7 .07 9	CH(CH₃)₂	CF₂CI	Н	H	0
7.08	(CH₂)₂CH₃	CF ₂ CI	Н	Н	0
7.081	C(CH ₃) ₃	CF₂CI	Н	Н	0
7.082	CH₂F	CF ₂ Cl	Н	Н	0
7.083	CH₂CI	CF ₂ Ci	Н	H	0
7.084	CH₂OH	CF ₂ CI	Н	H	0
7.085	CH₂OCOCH₃	CF ₂ Cl	Н	Н	0
7.086	CH₂OCOPh	CF₂C1	H	Н	0

- 109 -

Comp. No.	R ₁	R ₂	R_3	₽4	p
7.087	CH₂OCH₃	CF ₂ CI	Н	Н	0
7.088	CH₂OCH₂CH₃	CF ₂ Cl	Н	Н	0
7.089	CH₂SMe	CF₂CI	Н	Н	0
7.09	CH₂SOMe	CF ₂ Cl	Н	Н	0
7.091	CH₂SO₂Me	CF₂CI	Н	Н	0
7.092	CH₂SO₂Ph	CF ₂ Cl	Н	Н	0
7.093	$N(CH_3)_2$	CF₂Cl	Н	Н	0
7.094	CH=CH ₂	CF₂CI	Н	Н	0
7.095	CH ₂ CH=CH ₂	CF ₂ Cl	H	Н	0
7.096	SO ₂ N(CH ₃) ₂	CF₂CI	Н	Н	0
7.097	CCH	CF ₂ CI	Н	Н	0
7.098	cyclopropyl	CF₂CI	H	Н	0
7.099	OCH₃	CF ₂ CI	Н	Н	0
7.1	OCH₂CCH	CF ₂ CI	H	Н	0
7.101	CF ₃	CHF ₂	Н	Н	0
7.102	CH₃	CHF ₂	Н	H	0
7.103	CH₂OCH3	CHF ₂	Н	Н	0
7.104	CH ₂ Cl	CHF ₂	Н	Н	0
7.105	CH₂F	CHF ₂	H	Н	0
7.106	CH₃	CF ₃	Н	СН₃	0
7.107	CI	CF ₃	Н	CH₃	0
7.108	CH ₃	CF ₃	CH₃	Н	0
7.109	CH₃	CF ₃	CI	Н	0
7.11	OCH₃	CF ₃	CH₃	Н	0
7.111	CH₂OCH₃	CF ₃	CH ₃	Н	0
7.112	CH₂OCH₃	CF ₃	CI	Н	0
7.113	COOCH ₃	Н	Н	Н	0
7.114	CF ₃	SCH ₃	Н	Н	0
7.115	CH₃	SCH ₃	Н	Н	0
7.116	CF ₃	SOCH₃	Н	Н	0
7.117	CH₃	SOCH ₃	H	H	0
7.118	CF ₃	SO₂CH₃	Н	Н	0

Comp. No.	R ₁	R ₂	R ₃	R₄	р
7.119	CH ₃	SO₂CH₃	Н	Н	0
7.12	CF ₃	OCH₃	Н	Н	0
7.121	CH ₃	OCH ₃	Н	Н	0
7.122	CF ₃		Н	Н	0
7.123	CH₃	OCH ₂ CF ₃	Н	Н	0
7.124	CF ₃	OCH₂CCH	Н	Н	0
7.125	CH₃	OCH₂CCH	Н	Н	0
7.126	CF₃	CN	Н	Н	0
7.127	CH₃	CN	Н	Н	0
7 .12 8	CF ₃	CI	H	Н	0
7.129	CF ₃	Cl	Н	Н	0
7.13	CH₃	CI	Н	Н	0
7.131	Н	Cl	Н	Н	0
7.132	CF ₃	OCH₃	Н	Н	0
7.133	CH₃		Н	Н	0
7.134	CF ₃	CH₃	Н	Н	0
7.135	Н	CF ₃	Н	CH ₃	0
7.136	H	CF ₃	Н	CF ₃	0
7.137	Н	CF₃	Н	CH₂CH₃	0
7.138	н	CF ₃	Н	CF ₃	0
7.139	Н	CF ₃	Н	SCH ₃	0
7.14	Н	CF ₃	Η	SOCH ₃	0
7.141	Н	CF ₃	Н	SO₂CH ₃	0
7.142	Н	CF ₃	Н	CI	0
7.143	Н	CF ₃	Н	OCH_3	0
7.144	Н	CH₃	Н	CF ₃	0
7.145	Н	CI	Н	CF ₃	0
7.146	Н	OCH ₃	Н	CF ₃	0
7.147	H	SCH₃	Н	CF ₃	0
7.148	H	SOCH₃	Н	CF ₃	0
7.149	CH ₃	(CF ₂) ₃ CF ₃	Н	Н	0
7.15	CF₂H	SCH₃	Н	Н	0

Comp. No.	R ₁	R_2	R ₃	R ₄	р
7.151	CF₂CI	SCH₃	Н	Н	0
7.152	CF₂H	SOCH₃	Н	Н	0
7.153	CF₂CI	socH₃	Н	Н	0
7.154	CF₂H	SO₂CH ₃	Н	Н	0
7.155	CF₂CI	SO ₂ CH ₃	Н	Н	0
7.156	CF₂H	OCH₃	Н	Н	0
7. 157	CF₂CI	OCH ₃	Н	Н	0
7.158	CF₂H	OCH ₂ CF ₃	Н	Н	0
7.159	CF ₂ Cl	OCH ₂ CF ₃	Н	Н	0
7.16	CF₂H	OCH₂CCH	Н	H	0
7.161	CF₂CI	OCH₂CCH	Н	Н	0
7.162	CF₂H	CN	Н	Н	0
7.163	CF₂CI	CN	Н	Н	0
7.164	CF₂H	Cl	Н	Н	0
7.165	CF₂CI	CI	Н	Н	0
7.166	CF₂H	OCH ₃	H	Н	0
7.167	CF ₂ CI	OCH ₃	Н	Н	0
7.168	CF ₃	CH₂OCH₃	Н	H	0
7. 16 9	CF₂CI	CH₂OCH₃	Н	Н	0
7.17	CF₂H	CH₂OCH₃	Н	H	0
7.171	CN	CF ₃	Н	Н	0
7.172	Н	CF₃	Н	Н	2
7.173	F	CF ₃	Н	Н	2
7.174	CI	CF₃	Н	Н	2
7.175	Br	CF ₃	H	Н	2
7.176	CHF ₂	CF ₃	Н	Н	2
7.177	CCl ₃	CF ₃	Н	Н	2
7.178	CCIF ₂	CF ₃	Н	Н	2
7. 179	CF ₃	CF ₃	Н	Н	2
7.18	CH ₃	CF ₃	Н	Н	2
7.181	CH₂CH₃	CF ₃	Н	H	2
7.182	CH(CH ₃) ₂	CF ₃	Н	Н	2

R ₁	R ₂	R_3	R ₄	p
(CH ₂) ₂ CH ₃	CF ₃	Н	Н	2
C(CH₃)₃	CF ₃	Н	Н	2
Ph	CF ₃	Н	Н	2
CH₂F	CF ₃	Н	Н	2
CH₂CI	CF ₃	H	Н	2
CH₂Br	CF₃	H	Н	2
CH₂OH	CF ₃	Н	Н	2
CH₂OCOCH₃	CF ₃	Н	H	2
CH₂OCOPh	CF ₃	Н	Н	2
CH₂OCH₃	CF ₃	Н	Н	2
CH ₂ OCH ₂ CH ₃	CF ₃	Н	Н	2
CH₂CH₂OCH₃	CF ₃	Н	Н	2
CH₂SMe	CF ₃	Н	Н	2
CH₂SOMe	CF ₃	Н	н	2
CH₂SO₂Me	CF₃	Н	Н	2
CH₂SO₂Pħ	CF ₃	Н	Н	2
SCH₃	CF ₃	Н	Н	2
SOCH₃	CF ₃	Н	Н	2
SO₂CH₃	CF ₃	Н	Н	2
$N(CH_3)_2$	CF ₃	Н	Н	2
CH=CH ₂	CF ₃	Н	Н	2
CH ₂ CH=CH ₂	CF ₃	Н	Н	2
SO ₂ N(CH ₃) ₂	CF ₃	Н	Н	2
CCH	CF ₃	Н	Н	2
cyclopropyl	CF ₃	Н	н	2
OCH ₃	CF ₃	Н	Н	2
OCHF2	CF ₃	Н	Н	2
OCH₂CCH	CF₃	Н	Н	2
	(CH ₂) ₂ CH ₃ C(CH ₃) ₃ Ph CH ₂ F CH ₂ CI CH ₂ Br CH ₂ OCOCH ₃ CH ₂ OCOPh CH ₂ OCH ₃ CH ₂ OCH ₃ CH ₂ CH ₂ CH ₃ CH ₂ SMe CH ₂ SOMe CH ₂ SO ₂ Me CH ₂ SO ₂ Ph SCH ₃ SOCH ₃ SOCH ₃ SOCH ₃ CH ₂ CH ₂ CH ₃ CCH ₂ CH ₂ CH ₃ CCH ₂ CH ₃ CCH ₂ CH ₃ COCH ₃ CCH ₂ CH ₃ CCH ₂ CH ₂ CH ₃ CCH ₂ CH ₂ CH ₂ CH ₃ CCH ₂ CH ₂	(CH ₂) ₂ CH ₃ CF ₃ C(CH ₃) ₃ CF ₃ Ph CF ₃ CH ₂ F CF ₃ CH ₂ Cl CF ₃ CH ₂ Cl CF ₃ CH ₂ OH CF ₃ CH ₂ OCOCH ₃ CF ₃ CH ₂ OCOCH ₃ CF ₃ CH ₂ OCOCH ₃ CF ₃ CH ₂ OCH ₂ CH ₃ CF ₃ CH ₂ CH ₂ CH ₃ CF ₃ CH ₂ CH ₂ CH ₃ CF ₃ CH ₂ SMe CF ₃ CH ₂ SOMe CF ₃ CH ₂ SO ₂ Ph CF ₃ CH ₂ SO ₂ Ph CF ₃ SO ₂ CH ₃ CF ₃ SO ₂ CH ₃ CF ₃ SO ₂ CH ₃ CF ₃ CH ₂ CH ₂ CH ₃ CF ₃ CCH ₃ CF ₃ CCH ₂ CH ₃ CF ₃ CCH ₃ CF ₃ CCH ₃ CF ₃ CCH ₂ CH ₃ CF ₃ CCH CF ₃ CCH ₃ CF ₃ CCH ₄ CF ₃	(CH2)2CH3 CF3 H C(CH3)3 CF3 H Ph CF3 H CH2F CF3 H CH2CI CF3 H CH2CI CF3 H CH2CI CF3 H CH2OH CF3 H CH2OCOCH3 CF3 H CH2OCOPh CF3 H CH2OCH2CH3 CF3 H CH2OCH2CH3 CF3 H CH2CH2CH3 CF3 H CH2SMe CF3 H CH2SMe CF3 H CH2SO2Me CF3 H CH2SO2Me CF3 H SCH3 CF3 H SO2Ph CF3 H SO2CH3 CF3 H N(CH3)2 CF3 H CH2CH=CH2 CF3 H CH2CH=CH2 CF3 H CCH CF3 H CCH	(CH2)2CH3 CF3 H H C(CH3)3 CF3 H H Ph CF3 H H CH2F CF3 H H CH2CI CF3 H H CH2CI CF3 H H CH2CI CF3 H H CH2CH CF3 H H CH2OCH CF3 H H CH2OCOPh CF3 H H CH2OCH3 CF3 H H CH2OCH2CH3 CF3 H H CH2OCH2CH3 CF3 H H CH2CH2OCH3 CF3 H H CH2SMe CF3 H H CH2SMe CF3 H H CH2SO2Me CF3 H H CH2SO2Ph CF3 H H SO2CH3 CF3 H H SO2CH3 CF3 H H

WO 00/15615

Table 8

Comp. No.	\mathbb{R}_1	R_2	R₃	R₄
8.025	CH₂SOMe	CF ₃	Н	Н
8.026	CH₂SO₂Me	CF ₃	Н	Н
8.027	CH₂SO₂Ph	CF ₃	Н	н
8.028	SCH₃	CF₃	H	Н
8.029	SOCH3	CF ₃	Н	н
8.03	SO₂CH₃	CF ₃	Н	Н
8.031	N(CH ₃) ₂	CF₃	H	H
8.032	CH=CH ₂	CF ₃	H	Н
8.033	CH ₂ CH=CH ₂	CF ₃	Н	H
8.034	SO₂N(CH₃)₂	CF ₃	H	H
8.035	CCH	CF ₃	Н	Н
8.036	cyclopropyl	CF₃	Н	Н
8.037	OCH₃	CF ₃	H	Н
8.038	OCHF ₂	CF ₃	H	Н
8.039	OCH₂CCH	CF ₃	Н	H

Table 9:

Comp. No.	R _f	R ₂	R ₃	R₄
9.001	Н	CF ₃	н	н
9.002	F	CF ₃	H	Н
9.003	CI	CF ₃	Н	H
9.004	Br	CF ₃	Н	Н
9.005	CHF₂	CF ₃	Н	Н
9.006	CCl ₃	CF₃	Н	Н

Comp. No.	R ₁	R_2	R_3	R ₄
9.007	CCIF ₂	CF₃	H	Н
9.008	CF ₃	CF ₃	Н	Н
9.009	CH₃	CF ₃	н	Н
9.01	CH₂CH₃	CF ₃	н	Н
9.011	CH(CH ₃) ₂	CF ₃	Н	Н
9.012	(CH₂)₂CH₃	CF₃	н	Н
9.013	C(CH ₃) ₃	CF ₃	Н	Н
9.014	Ph	CF₃	Н	Н
9.015	CH₂F	CF ₃	Н	Н
9.016	CH₂CI	CF ₃	Н	Н
9.017	CH₂Br	CF ₃	н	Н
9.018	CH₂OH	CF₃	Н	Н
9.019	CH₂OCOCH₃	CF₃	Н	Н
9.02	CH₂OCOPh	CF ₃	Н	Н
9.021	CH₂OCH₃	CF ₃	Н	Н
9.022	CH₂OCH₂CH₃	CF ₃	Н	Н
9.023	CH₂CH₂OCH₃	CF ₃	Н	Н
9.024	CH₂SMe	CF ₃	Н	Н
9.025	CH₂SOMe	CF₃	Н	Н
9.026	CH₂SO₂Me	CF ₃	Н	Н
9.027	CH₂SO₂Ph	CF ₃	н	Н
9.028	SCH₃	CF ₃	Н	Н
9.029	SOCH₃	CF ₃	Н	Н
9.03	SO₂CH₃	CF ₃	Н	Н
9.031	$N(CH_3)_2$	CF ₃	н	Н
9.032	CH=CH₂	CF ₃	Н	Н
9.033	CH₂CH≕CH₂	CF ₃	Н	Н
9.034	$SO_2N(CH_3)_2$	CF ₃	Н	Н
9.035	CCH	CF ₃	H	Н
9.036	cyclopropyl	CF ₃	Н	Н
9.037	OCH₃	CF ₃	Н	Н
9.038	OCHF ₂	CF ₃	Н	Н

- 116 -

Comp. No. R₁ R₂ R₃ R₄ 9.039 OCH₂CCH CF₃ H H

Physical data (melting points in°C):

Comp. No.

1.001	resin
1.005	crystals m.p. 61-62
1.008	oil
1.009	crystals m.p. 75-77
1.01	oil
1.011	crystals m.p. 111-112
1.012	crystals m.p. 87-88
1.013	crystals m.p. 112-114
1.014	oil
1.021	crystals m.p. 128-129
1.023	crystals m.p. 91-92
1.024	oil
1.026	amorphous
1.028	amorphous
1.03	resin
1.031	crystals m.p. 145-146
1.042	oil
1.043	crystals m.p. 107-110
1.047	crystals m.p. 155-156
1.048	viscous
1.05	crystals m.p. 51-53
1.06	crystals m.p. >220
1.109	oil
1.195	oil
1.258	crystals m.p. 119-121

WO 00/15615

- 117 -

PCT/EP99/06761

1.31	crystals m.p. 92-94
1.312	viscous
1.313	crystals m.p. 137-138
1.314	oil
1.316	resin
1.323	oil
1. 3 34	resin
1.335	crystals m.p. 140-142
1.339	crystals m.p. 137-139
1.341	resin
1.343	crystals m.p. 97-99
1.347	crystals m.p. 135-137
1.349	oil, n ₀ 1.4965
1.351	crystals m.p. 125-127
1.353	resin, n _D 1.5289
1.355	crystals m.p. 90-92
1.356	resin
1.358	resin
1.361	oil
1.362	crystals m.p. 139-142
1.371	crystals m.p. 96-97
1.372	resin
1.373	resin
1.374	crystals m.p. 116-1199
1.375	resin
1.376	crystals m.p. >270
.381	crystals m.p. 117-118
1.383	crystals m.p. 172-173
.384	resin
.385	resin
.386	resin
.387	resin
.388	crystals m.p. 102-104

1.389	crystals m.p. 143-145
1.39	crystals m.p. 195-197
1.391	solid
1.392	crystais m.p. 202-206
1.398	crystals m.p. 137-138
1.399	crystals m.p. 262-263
1.4	oil
1.401	oil
1.402	oil
1.403	oil
1.404	oil
1.405	viscous
1.406	oil
1.408	oil
1.409	oil
1.41	oil
1.411	crystals m.p. 98-100
1.412	crystals m.p. 130-131
1.413	crystals m.p. 167-170
1.414	crystals m.p. 166-167
1.415	crystals m.p. 91-93
1.418	crystals m.p. 149-150
1.421	crystals m.p. 88-89
1.422	crystals m.p. 175-177
1.423	crystals m.p. 45-47
1.424	crystals m.p. 102-104
2.001	resin
2.003	oil
2.03	crystals m.p. 107-110
2.038	crystals m.p. 111-113
2.043	resin
2.044	crystals m.p. 105-106
2.045	amorphous

3.001	crystals m.p. 95-97
3.054	oil
3.055	crystals m.p. 108-110
3.056	resin, n _D 1.5509
4.009	crystals m.p. 107-109
4.01	oil
4.011	oil
4.014	crystals m.p. 148-149
4.021	crystals m.p. 44-45
4.033	crystals m.p. 46-48
4.124	crystals m.p. 46-48
4.328	oìl
5.008	resin
5.081	reşin
5.083	crystals m.p. 161-162
5.084	crystals m.p. 215-216
5.085	resin
6.006	crystals m.p. 176-177
6.041	crystals m.p. 186-187
6.076	crystals m.p. 195-196
6.111	crystals m.p. 163-164
7.009	ratio A: B = 2:1.H-NMR(CDCI ₃ ,ppm) SCH ₃ : A: 2.50; B: 2.66.
7.01	ratio A: B = 5:1. H-NMR(CDCl ₃ ,ppm) SCH ₃ : A: 2.50; B: 2.64.
7.011	ratio A: B = 9:1. H-NMR(CDCl ₃ ,ppm) SCH ₃ : A: 2.46; B: 2.59.
7.021	ratio A: $B = 3:1$. H-NMR(CDCl ₃ ,ppm) SCH ₃ : A: 2.50; B: 2.62.
7.18	ratio A: $B = 2$:. H-NMR(CDCl ₃ ,ppm) SO ₂ CH ₃ : A: 3.40; B: 3.58.
7.182	ratio A: B = 9:1. H-NMR(CDCl ₃ ,ppm) SO ₂ CH ₃ : A: 3.32; B: 3.50.
7.192	ratio A: B = 3:1. H-NMR(CDCl ₃ ,ppm) SO_2CH_3 : A: 3.40; B: 3.58.
8.009	crystals m.p. 96-97
8.01	amorphous
8.011	oil
8.021	oil
9.009	crystals m.p. 112-113

- 120 -

9.01 amorphous9.011 amorphous9.021 oil

Biological Examples

Example B1: Herbical action before emergence of the plants (pre-emergence action) Monocotyledonous and dicotyledonous test plants are sown in standard soil in plastic pots. Immediately after sowing, the test substances are sprayed on (500 I of water/ha) as an aqueous suspension (prepared from a 25% wettable powder (Example F3, b) according to WO 97/34485) or emulsion (prepared from a 25% emulsion concentrate (Example F1, c)), corresponding to a dosage of 2 kg of AS/ha. The test plants are then grown under optimum conditions in a greenhouse. After a test period of 3 weeks, the test is evaluated with a nine-level scale of ratings (1 = complete damage, 9 = no effect). Ratings of 1 to 4 (in particular 1 to 3) mean good to very good herbicidal action.

Table B1: pre-emergence action:

Test plant	Avena	Cyperus	Setaria	Sinapis	Solanum	Stellaria
Active compound No.						
1.009	2	1	1	2	1	2
1.376	2	1	1	2	1	2
4.009	1	2	1	2	1	3
7.009	4	2	1	3	1	2
1,381	4	1	2	2	1	1
1,011	2	1	1	1	1	1
5.008	2	1	1	2	1	2
4.021	2	1	2	2	1	2
1.010	2	1	1	1	1	2
1.021	4	2	1	1	1	3
1.398	2	1	1	1	1	1
1.195	2	1	1	1	1	2

	121	١.
_	16	

4.124	2	1	2	2	1	2
1,411	3	2	1	2	1	2
1.042	4	2	2	1	1	4
1.023	2	2	2	1	1	2
1.109	2	2	2	2	1	3
1.313	3	1	2	1	1	2
1.401	2	1	1	2	1	2
1.404	2	1	1	2	1	2
1.400	2	1	1	2	1	2
1.403	2	1	1	1	1	2
1.405	2	1	1	1	t	2
1.406	2	1	1	1	1	2
1.402	2	1	1	2	1	2
1.005	4	1	1	1	1	1
1.043	4	2	1	2	1	2
1.409	1	1	1	1	1	1
1.41	2	1	1	1	1	1
1.06	2	1	f	2	1	1
7.192	4	2	2	3	2	2
7.021	1	1	1	1	1	1

The same results are obtained when the compounds of the formula I are formulated according to Examples F2 and F4 to F8 according to WO 97/34485.

Example B2: Post-emergence herbicidal action

Monocotyledonous and dicotyledonous test plants are grown in plastic pots with standard soil in a greenhouse and, in the 4- to 6-leaf stage, are sprayed with an aqueous suspension of the test substances of the formula I, prepared from a 25% wettable powder (Example F3, b) according to WO 97/34485) or with an emulsion of the test substances of the formula I, prepared from a 25% emulsion concentrate (Example F1, c) according to WO 97/34485), corresponding to a dosage of 2 kg of AS/ha (500 I of water/ha). The test plants are then grown further under optimum conditions in a greenhouse. After a test period of about 18 days, the test is evaluated with a nine-level scale of rating (1 = complete damage, 9 = no

- 122 -

effect). Ratings of 1 to 4 (in particular 1 to 3) mean good to very good herbicidal action. In this test, the compounds of the formula I show strong herbicidal action.

Table B2: post-emergence action:

Test plant	Avena	Setaria	Solanum	Sinapis	Stellaria
Active compound No.					
1.009	1	1	1	1	2
1.376	1	2	2	1	2
4.009	1	1	1	1	1
1.026	3	1	1	1	2
7.009	3	2	1	1	1
1.381	2	2	2	2	2
1.011	2	2	2	2	2
5.008	2	3	1	1	2
5.085	3	2	2	1	2
4.021	2	2	1	1	2
1.012	3	2	2	1	2
1.010	2	2	2	1	4
4.010	3	3	2	2	2
1.021	2	4	2	1	2
1.398	2	2	2	1	2
1.195	2	2	2	1	2
4.124	2	2	1	1	2
1.411	2	2	2	1	2
1.008	2	2	2	1	2
6.006	2	5	2	2	2
5.081	3	2	1	1	2
1.042	2	2	2	1	2
1.023	2	2	2	1	2
1.109	2	2	2	1	2
1.313	2	2	2	1	2

- 123 -

4 404	_		_	_	_
1.401	2	2	2	2	2
1.404	2	2	1	1	2
1.400	2	2	2	1	2
1.403	2	2	2	1	2
1,403	2	2	2	1	2
1.405	2	2	2	1	2
1.406	2	2	1	1	2
1.402	2	2	2	1	2
1.001	3	2	2	1	2
1.005	2	2	2	1	2
1.362	3	2	2	1	2
1.043	2	2	2	1	2
1.409	2	1	1	1	2
1.410	1	1	1	1	1
1.060	2	1	1	1	2
7.192	2	3	3	2	2
7.021	1	2	1	1	2
1.048	2	1	1	1	2

The same results are obtained when the compounds of the formula I are formulated according to Examples F2 and F4 to F8 according to WO 97/34485.

Example B3: Herbicidal action before emergence of the plants (pre-emergence action)

Monocotyledonous and dicotyledonous test plants are sown in pots in standard soil. Immediately after sowing, the test substances are sprayed on (500 I of spray liquor/ha) as an aqueous suspension, prepared from a wettable powder WP10 corresponding to the desired dosage (250 g of a.i./ha).

The test plants are then grown under optimum conditions in a greenhouse.

After a test period of 3 weeks, the test is evaluated with a nine-level scale of ratings (1 = complete damage, 9 = no effect). Ratings of 1 to 4 (in particular 1 to 3) mean good to very good herbicidal action, 7-9 mean good tolerance.

- 124 -

Table B3: Pre-emergence action:

Test plant	Abutilon	Amar- anthus	Cheno- podium	Kochia	Sida	Stellaria	Dose [g of AS/ha]
<u>Active</u>							
compound							
<u>No.</u>							
1.355	1	1	1	1	2	2	250
1.347	2	2	1	1	4	1	250
1.335	1	2	1	5	2	7	250
1,349	1	3	1	4	2	5	250
1.339	2	1	1	7	2	1	250
1.341	3	9	1	9	4	1	250
1.343	1	4	1	9	3	5	250

The same results are obtained when the compounds of the formula I are formulated according to Examples F2 and F4 to F8 according to WO 97/34485.

Example B4: Herbicidal action after the emergence of the plants (post-emergence action)

Monocotyledonous and dicotyledonous test plants are sown in pots in standard soil. In the 2-3-leaf stage of the test plants, the test substances are sprayed on (500 I of spray liquor/ha) as an aqueous suspension, prepared from a wettable powder WP10 according to the desired dosage (250 g of a.i./ha). 0.2% of X77 is added as wetting agent to the spray liquor. The test plants are then grown under optimum conditions in a greenhouse.

After a test period of 3 weeks, the test is evaluated with a nine-level scale of ratings (1 = complete damage, 9 = no effect). Ratings of 1 to 4 (in particular 1 to 3) mean good to very good herbicidal action, 7-9 mean good tolerance.

- 125 -

Table B4: Post-emergence action:

Test plant	Abutilon	Amar- anthus	Cheno- podium	Kochia	Setaria	Stellaria	Dose [g of AS/ha]
<u>Active</u>							
compound							
<u>No.</u>							
1.355	2	2	2	3	2	3	250
1.347	3	2	2	2	3	3	250
1.335	3	2	2	2	2	3	250
1.349	2	2	2	2	2	3	250
1.339	2	2	3	1	4	3	250
1.351	5	2	3	3	3	3	250
1.341	5	2	3	4	5	4	250
1.343	3	2	2	3	9	3	250
1.361	2	2	2	2	2	3	250

The same results are obtained when the compounds of the formula I are formulated according to Examples F2 and F4 to F8 according to WO 97/34485.

WHAT IS CLAIMED IS:

1. A compound of the formula I

$$Q$$
 (I)
 (I)
 (I)
 (I)
 (I)

in which

each R independently is C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆haloalkenyl, C₂-C₆alkynyl, C₂-C₆ haloalkynyi, C3-C6cycloalkyi, C1-C6alkoxy, C1-C6haloalkoxy, C1-C6alkyithio, C1 Cealkylsulfinyl, C1-Cealkylsulfonyl, C1-Cehaloalkyl, C1-Cehaloalkylthio, C1-Cehaloalkylsulfinyl, C₁-C₆ haloalkylsulfonyl, C₁-C₆alkoxycarbonyl, C₁-C₆alkylcarbonyl, C₁-C₆alkylamino, dj-C₁-C₅ alkylamino, C₁-C₆alkylaminosulfonyl, di-C₁-C₆alkylaminosulfonyl, -N(R₁)-S-R₂, -N(R₃)-SO-R₄, -N(R₅)-SO₂-R₆, nitro, cyano, hatogen, hydroxyl, amino, formyl, hydroxy-C₁-C₆alkyl, C₁-C₆ alkoxy-C1-C6alkyl, C1-C6alkoxycarbonyloxy-C1-C6alkyl, C1-C6alkylthio-C1-C6alkyl, C1-C6 alkylsulfinyl-C1-C6alkyl, C1-C6alkylsulfonyl-C1-C6alkyl, thiocyanato-C1-C6alkyl, cyano-C1-C6 alkyl, oxiranyl, C3-C6alkenyloxy, C3-C6alkynyloxy, C1-C6alkoxy-C1-C6alkoxy, cyano-C1-C6 alkenyloxy, C₁-C₆alkoxycarbonyloxy-C₁-C₆alkoxy, C₃-C₆alkynyloxy, cyano-C₁-C₆alkoxy, C₁-C₆ alkoxycarbonyl-C₁-C₆alkoxy, C₁-C₆alkylthio-C₁-C₆alkoxy, alkoxycarbonyl-C₁-C₆alkylthio, alkoxycarbonyl-C₁-C₆alkylsulfinyl, alkoxycarbonyl-C₁-C₆alkylsulfonyl, C₁-C₆alkylsulfonyloxy, C₁-C₆haloalkylsulfonyloxy, phenyl, benzyl, phenoxy, phenylthio, phenylsulfinyl, phenylsulfonyl, benzylthio, benzylsulfinyl or benzylsulfonyl, where the phenyl groups may be mono- or polysubstituted by halogen, methyl, ethyl, trifluoromethyl, methoxy or nitro, or R is a five- to ten-membered monocyclic or fused bicyclic ring system, which may be aromatic or partially saturated and may contain 1 to 4 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur, where the ring system is either attached directly to the pyridine ring or attached to the pyridine ring via a C1-C4alkylene group, and where each ring system may not contain more than 2 oxygen atoms and not more than two sulfur

atoms, and where the ring system for its part may be mono-, di- or trisubstituted by C₁-C₆alkyi, C₁-C₆haloalkyi, C₃-C₆alkenyi, C₃-C₆haloalkenyi, C₃-C₆alkynyi, C₃-C₆haloalkynyi, C₁-C₆alkoxy, C₁-C₆haloalkoxy, C₃-C₆alkenyloxy, C₃-C₆alkynyloxy, mercapto, C₁-C₆alkylthio, C₁-C₆haloalkoxy, C₃-C₆alkenylthio, C₃-C₆alkynylthio, C₂-C₆haloalkylthio, C₃-C₆alkenylthio, C₃-C₆alkoxyalkylthio, C₂-C₄cyanoalkylthio, C₅-C₆alkoxyalkylthio, C₃-C₆alkoxycarbonylalkylthio, C₂-C₄cyanoalkylthio, C₁-C₆alkylsulfinyi, C₁-C₆haloalkylsulfinyi, C₁-C₆haloalkylsulfonyi, aminosulfonyi, C₁-C₆ haloalkylsulfonyi, C₂-C₄dialkylaminosulfonyi, C₁-C₃alkylene-R₇, NR₈R₉, halogen, cyano, nitro, phenyl and benzylthio, where phenyl and benzylthio for their part may be substituted on the phenyl ring by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, halogen, cyano or nitro, and where substituents on the nitrogen in the heterocyclic ring are different from halogen;

m is 1, 2, 3 or 4;

p is 0 or 1;

R₁, R₃ and R₅ independently of one another are hydrogen or C₁-C₆alkyl;

 R_2 is $NR_{10}R_{11}$, C_1 - C_6 alkoxy, C_1 - C_6 haloalkoxy, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_3 - C_6 alkenyl, C_3 - C_6 alkynyl, C_3 - C_6 cycloalkyl or phenyl, where phenyl for its part may be substituted by C_1 - C_3 alkyl, C_1 - C_3 haloalkyl, C_1 - C_3 alkoxy, C_1 - C_3 haloalkoxy, halogen, cyano or nitro;

R₄ is NR₁₂R₁₃, C₁-C₆alkoxy, C₁-C₆haloalkoxy, C₁-C₆alkyl, C₁-C₆haloalkyl, C₃-C₆alkenyl, C₃-C₆ haloalkenyl, C₃-C₆alkynyl, C₃-C₆haloalkynyl, C₃-C₆cycloalkyl or phenyl, where phenyl for its part may be substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, halogen, cyano or nitro;

 R_6 is NR₁₄R₁₅, C_1 -C₆alkoxy, C_1 -C₆haloalkoxy, C_1 -C₆alkyl, C_1 -C₆haloalkyl, C_3 -C₆alkenyl, C_3 -C₆alkynyl, C_3 -C₆cycloalkyl or phenyl, where phenyl for its part may be substituted by C_1 -C₃alkyl, C_1 -C₃haloalkyl, C_1 -C₃alkoxy, C_1 -C₃haloalkoxy, halogen, cyano or nitro;

 R_7 is C_1 - C_3 alkoxy, C_2 - C_4 alkoxycarbonyl, C_1 - C_3 alkylthio, C_1 - C_3 alkylsulfinyl, C_1 - C_3 alkylsulfonyl or phenyl, where phenyl for its part may be substituted by C_1 - C_3 alkyl, C_1 - C_3 haloalkoxy, halogen, cyano or nitro;

 R_8 , R_{10} , R_{12} and R_{14} independently of one another are hydrogen or C_1 - C_6 alkyl; R_9 , R_{11} , R_{13} and R_{15} independently of one another are C_1 - C_6 alkyl or C_1 - C_6 alkoxy; C_1 is the group C_1

- 128 -

in which

 R_{16} , R_{17} , R_{18} and R_{19} independently of one another are hydrogen, hydroxyl, C_1 - C_4 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_1 - C_4 alkoxycarbonyl, C_1 - C_6 alkylthio, C_1 - C_6 alkylsulfinyl, C_1 - C_6 alkylsulfonyl, C_1 - C_4 alkyl-NHS(O)2, C_1 - C_4 haloalkyl, -NH- C_1 - C_4 alkyl, -N(C_1 - C_4 alkyl)2, C_1 - C_6 alkoxy, cyano, nitro, halogen or phenyl, which for its part may be substituted by C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylamino, C_1 - C_4 alkylamino, C_1 - C_4 alkylamino, C_1 - C_4 alkylamino, C_1 - C_6 alkylthio, C_1 - C_6 alkylsulfinyl, C_1 - C_6 alkylsulfonyl, C_1 - C_4 alkyl-S(O)2O, C_1 - C_4 haloalkylthio, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 alkyl-S(O)2O, C_1 - C_4 alkyl-S(O)2O, C_1 - C_4 alkyl-S(O)2NH, C_1 - C_4 alkyl-S(O)2N(C_1 - C_4 alkyl), halogen, nitro, COOH or cyano; or two adjacent substituents from the group consisting of R_{16} , R_{17} , R_{18} and R_{19} form a C_2 - C_6 alkylene bridge;

R₂₀ is hydroxyl, O'M*, halogen, cyano, SCN, OCN, C₁-C₁₂alkoxy, C₁-C₄alkoxycarbonyl-C₁-C₄ alkoxy, C₁-C₁₂alkylthio, C₁-C₁₂alkylsulfinyl, C₁-C₁₂alkylsulfonyl, C₁-C₁₂alkylsulfonyl, C₁-C₁₂haloalkylthio, C₁-C₁₂ haloalkylsulfonyl, C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkylsulfonyl, C₂-C₁₂alkenylthio, C₂-C₁₂alkenylsulfinyl, C₂-C₁₂alkenylsulfinyl, C₂-C₁₂alkenylsulfonyl, C₂-C₁₂alkynylsulfonyl, C₂-C₁₂alkynylsulfonyl, C₂-C₁₂haloalkenylsulfinyl, C₂-C₁₂haloalkenylsulfonyl, C₁-C₄alkoxycarbonyl-C₁-C₄alkylsulfonyl, C₁-C₄alkoxycarbonyl-C₁-C₄alkylsulfonyl, (C₁-C₄alkoxy)₂P(O)O, C₁-C₄alkyl-(C₁-C₄alkoxy)P(O)O, H(C₁-C₄alkoxy)P(O)O,

R₃₇R₃₈N, R₇₁R₇₂NNH-, R₂₁R₂₂NC(O)O-, R₇₃R₇₄NC(O)NH-, C₁-C₄alkyl-S(O)₂NR₃₉, C₁-C₄ haloalkyl-S(O)₂NR₄₀, C₁-C₄alkyl-S(O)₂O, C₁-C₄haloalkyl-S(O)₂O, C₁-C₁₈alkylcarbonyloxy, where the alkyl group may be substituted by halogen, C₁-C₆alkoxy, C₁-C₆alkylthio or cyano, C₂-C₁₈alkenylcarbonyloxy, C₂-C₁₈alkynylcarbonyloxy, C₃-C₆cycloalkylcarbonyloxy, C₁-C₁₂ alkoxycarbonyloxy, C₁-C₁₂alkylthiocarbonyloxy, C₁-C₁₂alkylthiocarbamoyl, C₁-C₆alkyl-NH-, di-C₁-C₆alkyl-N(CS)N(C₁-C₆alkyl)-NH-, benzyloxy, benzylthio, benzylsulfonyl, phenoxy, phenylthio, phenylsulfonyl, phenylsulfonyl, phenylsulfonyl, phenylsulfonyloxy or benzoyloxy, where the phenyl groups for their part may each be substituted by C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy, C₁-C₄alkoxy, C₁-C₄alkylcarbonyl,

 C_1 - C_4 alkytamino, C_1 - C_4 alkytamino, C_1 - C_4 alkytamino, C_1 - C_4 alkytamino, C_1 - C_4 alkytsulfonyl, C_1 - C_4 - $C_$

or a group Ar₁-thio, Ar₂-sulfinyl, Ar₃-sulfonyl, -OCO-Ar₄ or NH-Ar₅ in which Ar₁, Ar₂, Ar₃, Ar₄ and Ars independently of one another are a five- to ten-membered monocyclic or fused bicyclic ring system which may be aromatic or partially saturated and may contain 1 to 4 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur, and in which each ring system may not contain more than 2 oxygen atoms and not more than two sulfur atoms, and in which the ring system for its part may be mono-, di- or trisubstituted by C₁-C₆alkyl, C₁-C₆haloalkyl, C₃-C₆alkenyl, C₃-C₆haloalkenyl, C₃-C₆alkynyl, C₃-C₆haloalkynyl, C₁-C₆alkoxy, C₁-C₆haloalkoxy, C₃-C₆alkenyloxy, C₃-C₆alkynyloxy, mercapto, C₁-C₆alkylthio, C₁-C₆haloalkylthio, C₃-C₆alkenylthio, C₃-C₆haloalkenylthio, C₃-C₆alkynylthio, C₂-C₅ alkoxyalkylthio, C₃-C₅acetylalkylthio, C₃-C₆alkoxycarbonylalkylthio, C₂-C₄cyanoalkylthio, C₁-C₆alkylsulfinyl, C₁-C₆haloalkylsulfinyl, C₁-C₆alkylsulfonyl, C₁-C₆haloalkylsulfonyl, aminosulfonyl, C₁-C₂alkylaminosulfonyl, C₂-C₄dialkylaminosulfonyl, C₁-C₂alkylene-R₄₁, NR₄₂R₄₃, halogen, cyano, nitro, phenyl and benzylthio, where phenyl and benzylthio for their part may be substituted on the phenyl ring by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃hatoalkoxy, halogen, cyano or nitro, and where substituents on the nitrogen in the heterocyclic ring are different from halogen;

R₄₁ is C₁-C₃alkoxy, C₂-C₄alkoxycarbonyl, C₁-C₃alkylthio, C₁-C₃alkylsulfinyl, C₁-C₃ alkylsulfonyl or phenyl, where phenyl for its part may be substituted by C₁-C₃alkyl, C₁-C₃ haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, halogen, cyano or nitro;

R₄₂ is hydrogen or C₁-C₆alkyl;

 R_{43} is C_1 - C_6 alkyl or C_1 - C_6 alkoxy;

 R_{21} , R_{37} , R_{39} , R_{40} , R_{71} and R_{73} independently of one another are hydrogen or C_1 - C_4 alkyl; R_{22} , R_{38} , R_{72} and R_{74} independently of one another are hydrogen, C_1 - C_{12} alkyl, hydroxyl, C_1 - C_{12} alkoxy, C_3 - C_6 alkenyloxy or C_3 - C_6 alkynyloxy; or R_{21} and R_{22} together or R_{37} and R_{38} together or R_{71} and R_{72} together or R_{73} and R_{74} together are pyrrolidino, piperidino, morpholino, thiomorpholino, which may be mono- or polysubstituted by methyl groups; or are the group Q_2

- 130 -

in which

Y is a chemical bond, an alkylene group A₁, carbonyl, oxygen, sulfur, sulfinyl, sulfonyl, -NHR₂₄₈ or NH(CO)R₂₄₉;

 A_1 is $C(R_{246}R_{247})_{Mo1}$:

A is $C(R_{244}R_{245})_r$;

r and mon independently of one another are 0, 1 or 2;

R₂₄₀ is hydrogen, methyl or C₁-C₃alkoxycarbonyl;

R₂₄₁, R₂₄₂, R₂₄₃, R₂₄₄, R₂₄₅, R₂₄₆ and R₂₄₇ independently of one another are hydrogen, halogen or methyl, or R₂₄₃ together with an adjacent group R₂₄₅ or R₂₄₇ is a chemical bond; R₂₄₈ and R₂₄₉ independently of one another are hydrogen or C₁-C₄alkyl;

 $R_{23} \text{ is hydroxyl, O}^{-}M^{+}, \text{ halogen, cyano, SCN, OCN, C}_{1}\text{-}C_{12}\text{alkoxy, C}_{1}\text{-}C_{4}\text{alkoxycarbonyl-C}_{1}\text{-}C_{4}$ alkoxy, $C_{1}\text{-}C_{12}\text{alkylthio, C}_{1}\text{-}C_{12}\text{alkylsulfinyl, C}_{1}\text{-}C_{12}\text{alkylsulfonyl, C}_{1}\text{-}C_{12}\text{haloalkylthio, C}_{1}\text{-}C_{12}$ haloalkylsulfonyl, $C_{1}\text{-}C_{6}\text{alkoxy-C}_{1}\text{-}C_{6}\text{alkylsulfinyl, C}_{1}\text{-}C_{6}\text{alkoxy-C}_{1}\text{-}C_{6}\text{alkoxy-C}_{1}\text{-}C_{6}\text{alkoxy-C}_{1}\text{-}C_{6}\text{alkoxy-C}_{1}\text{-}C_{6}\text{alkylsulfinyl, C}_{2}\text{-}C_{12}\text{alkenylsulfinyl, C}_{2}\text{-}C_{12}\text{alkenylsulfinyl, C}_{2}\text{-}C_{12}\text{alkynylsulfinyl, C}_{2}\text{-}C_{12}\text{alkynylsulfinyl, C}_{2}\text{-}C_{12}\text{alkynylsulfonyl, C}_{2}\text{-}C_{12}$ haloalkenylsulfinyl, $C_{2}\text{-}C_{12}\text{haloalkenylsulfinyl, C}_{2}\text{-}C_{12}\text{haloalkenylsulfonyl, C}_{1}\text{-}C_{4}\text{alkoxycarbonyl-C}_{1}$ $C_{4}\text{alkylsulfonyl, C}_{1}\text{-}C_{4}\text{alkoxycarbonyl-C}_{1}\text{-}C_{4}\text{alkoxy})P(O)O, H(C_{1}\text{-}C_{4}\text{alkoxy})P(O)O,$

R₄₄R₄₅N, R₇₅R₇₆NNH-, R₄₆R₄₇NC(O)O-, R₇₇R₇₈NC(O)NH-, C₁-C₄alkyl-S(O)₂NR₄₈, C₁-C₄ haloalkyl-S(O)₂NR₄₉, C₁-C₄alkyl-S(O)₂O, C₁-C₄haloalkyl-S(O)₂O, C₁-C₁₈alkylcarbonyloxy, where the alkyl group may be substituted by halogen, C₁-C₆alkoxy, C₁-C₈alkylthio or cyano, C₂-C₁₈alkenylcarbonyloxy, C₂-C₁₈alkynylcarbonyloxy, C₃-C₆cycloalkylcarbonyloxy, C₁-C₁₂ alkoxycarbonyloxy, C₁-C₁₂alkylthiocarbonyloxy, C₁-C₁₂alkylthiocarbamoyl, C₁-C₆alkyl-NH-, CS)N(C₁-C₆alkyl)-NH-, benzyloxy, benzylthio, benzylsulfinyl, benzylsulfonyl, phenoxy, phenylthio, phenylsulfinyl, phenylsulfonyl, phenylsulfonyl, phenylsulfonyloxy or benzyloxy, where the phenyl groups for their part may each be

substituted by C_1 -C₄alkyl, C_1 -C₄haloalkyl, C_1 -C₄alkoxy, C_1 -C₄haloalkoxy, C_1 -C₄alkylcarbonyl, C_1 -C₄alkylamino, di-C₁-C₄alkylamino, C_1 -C₄alkylthio, C_5 -C₄alkylsulfinyl, C_1 -C₄alkylsulfonyl, C_1 -C₄alkyl-S(O)₂O, C_1 -C₄haloalkylthio, C_1 -C₄haloalkylsulfinyl, C_1 -C₄haloalkyl-S(O)₂NH, C_1 -C₄alkyl-S(O)₂N(C₁-C₄alkyl-S(O)₂NH, C_1 -C₄alkyl-S(O)₂N(C₁-C₄alkyl), halogen, nitro or cyano,

or a group Are-thio, Arz-sulfinyl, Arg-sulfonyl, -OCO-Arg or NH-Arg in which Arg, Arg, Arg and Arto independently of one another are a five- to ten-membered monocyclic or fused bicyclic ring system which may be aromatic or partially saturated and may contain 1 to 4 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur, and in which each ring system may not contain more than 2 oxygen atoms and not more than two sulfur atoms, and in which the ring system for its part may be mono-, di- or trisubstituted by C_1 - C_6 alkyl, C_1 - C_6 haioalkyl, C_3 - C_6 alkenyl, C_3 - C_6 haloalkenyl, C_3 - C_6 alkynyl, C_3 - C_6 haloalkynyl, C₁-C₆alkoxy, C₁-C₆haloalkoxy, C₃-C₆alkenyloxy, C₃-C₆alkynyloxy, mercapto, C₁-C₆alkylthio, C1-C6haloalkylthio, C3-C6alkenylthio, C3-C6haloalkenylthio, C3-C6alkynylthio, C2-C5 ałkoxyalkylthio, C_3 - C_5 acetylalkylthio, C_3 - C_6 alkoxycarbonylalkylthio, C_2 - C_4 cyanoalkylthio, C_1 -Cealkylsulfinyl, C1-Cehaloalkylsulfinyl, C1-Cealkylsulfonyl, C1-Cehaloalkylsulfonyl, aminosulfonyl, C₁-C₂alkylaminosulfonyl, C₂-C₄dialkylaminosulfonyl, C₁-C₃alkylene-R₅₀, NR₅₁R₅₂, halogen, cyano, nitro, phenyl and benzylthio, where phenyl and benzylthio for their part may be substituted on the phenyl ring by C_1 - C_3 alkyl, C_1 - C_3 haioalkyl, C_1 - C_3 alkoxy, C_1 -C₃haloalkoxy, halogen, cyano or nitro, and where substituents on the nitrogen in the heterocyclic ring are different from halogen;

R₅₀ is C₁-C₃alkoxy, C₂-C₄alkoxycarbonyl, C₁-C₃alkylthio, C₁-C₃alkylsulfinyl, C₁-C₃alkylsulfonyl or phenyl, where phenyl for its part may be substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃ alkoxy, C₁-C₃haloalkoxy, halogen, cyano or nitro;

R₅₁ is hydrogen or C₁-C₆alkyl;

R₅₂ is C₁-C₆alkyl or C₁-C₆alkoxy;

 R_{46} , R_{44} , R_{48} , R_{49} , R_{75} and R_{77} independently of one another are hydrogen or C_1 - C_4 alkyl; R_{47} , R_{45} , R_{76} and R_{78} independently of one another are hydrogen, C_1 - C_{12} alkyl, hydroxyl, C_1 - C_{12} alkoxy, C_3 - C_6 alkenyloxy or C_3 - C_6 alkynyloxy; or R_{44} and R_{45} together or R_{46} and R_{47} together or R_{75} and R_{76} together or R_{77} and R_{78} together are pyrrolidino, piperidino, morpholino, thiomorpholino, which may be mono- or polysubstituted by methyl groups; or are the group Q_3

- 132 -

in which

R₂₆ is hydroxyl, O'M*, halogen, cyano, SCN, OCN, C₁-C₁₂ alkoxy, C₁-C₄alkoxycarbonyl-C₁-C₄ alkoxy, C₁-C₁₂alkylthio, C₁-C₁₂alkylsulfinyl, C₁-C₁₂alkylsulfonyl, C₁-C₁₂haloalkylthio, C₁-C₁₂ haloalkylsulfinyl, C₁-C₁₂haloalkylsulfonyl, C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆ alkylsulfinyl, C₁-C₆alkoxy-C₁-C₆alkylsulfonyl, C₂-C₁₂alkenylthio, C₂-C₁₂alkenylsulfinyl, C₂-C₁₂ alkenylsulfinyl, C₂-C₁₂alkynylsulfinyl, C₂-C₁₂alkynylsulfonyl, C₂-C₁₂ haloalkenylsulfinyl, C₂-C₁₂alkynylsulfinyl, C₂-C₁₂alkoxycarbonyl-C₁-C₄alkylthio, C₂-C₁₂haloalkenylsulfinyl, C₂-C₁₂haloalkenylsulfonyl, C₁-C₄alkoxycarbonyl-C₁-C₄alkylsulfonyl, (C₁-C₄alkoxy)₂P(O)O, C₁-C₄alkyl-(C₁-C₄alkoxy)P(O)O, H(C₁-C₄alkoxy)P(O)O,

 $R_{53}R_{54}N$, $R_{79}R_{80}NNH$ -, $R_{55}R_{55}NC(O)O$ -, $R_{81}R_{82}NC(O)NH$ -, C_1 - C_4 alkyl- $S(O)_2NR_{57}$, C_1 - C_4 haloalkyl- $S(O)_2NR_{58}$, C_1 - C_4 alkyl- $S(O)_2O$, C_1 - C_4 haloalkyl- $S(O)_2O$, C_1 - C_{18} alkylcarbonyloxy, where the alkyl group may be substituted by halogen, C_1 - C_6 alkoxy, C_1 - C_6 alkylthio or cyano, C_2 - C_{18} alkenylcarbonyloxy, C_2 - C_{18} alkynylcarbonyloxy, C_3 - C_6 cycloalkylcarbonyloxy, C_1 - C_{12} alkoxycarbonyloxy, C_1 - C_{12} alkylthiocarbonyloxy, C_1 - C_1 2alkylthiocarbonyloxy, C_1 - C_1 2alkylthiocarbamoyl, C_1 - C_6 alkyl-NH-, di- C_1 - C_6 alkyl- $N(C_1$ - $N(C_1$ -N(C

or a group Ar₁₁-thio, Ar₁₂-sulfinyl, Ar₁₃-sulfonyl, -OCO-Ar₁₄ or NH-Ar₁₅ in which Ar₁₁, Ar₁₂, Ar₁₃, Ar₁₄ and Ar₁₅ independently of one another are a five- to ten-membered monocyclic or fused bicyclic ring system which may be aromatic or partially saturated and may contain 1 to 4 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur, and in

which each ring system may not contain more than 2 oxygen atoms and not more than two sulfur atoms, and in which the ring system for its part may be mono-, di- or trisubstituted by C1-C6alkyl, C1-C6haloalkyl, C3-C6alkenyl, C3-C6haloalkenyl, C3-C6alkynyl, C3-C6haloalkynyl, C₁-C₆alkoxy, C₁-C₆haloalkoxy, C₃-C₆alkenyloxy, C₃-C₆alkynyloxy, mercapto, C₁-C₆alkylthio, C₁-C₆haloalkylthio, C₃-C₆alkenylthio, C₃-C₆haloalkenylthio, C₃-C₆alkynylthio, C₂-C₅ alkoxyalkyithio, C₃-C₅acetylalkylthio, C₃-C₆alkoxycarbonylalkylthio, C₂-C₄cyanoalkylthio, C₁-Calkylsulfinyl, C1-Cahaloalkylsulfinyl, C1-Calkylsulfonyl, C1-Cahaloalkylsulfonyl, aminosulfonyl, C₁-C₂alkylaminosulfonyl, C₂-C₄dialkylaminosulfonyl, C₁-C₃alkylene-R₅₉, NR₆₀R₆₁, halogen, cyano, nitro, phenyl and benzylthio, where phenyl and benzylthio for their part may be substituted on the phenyl ring by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, halogen, cyano or nitro, and where substituents on the nitrogen in the heterocyclic ring are different from halogen;

R₅₉ is C₁-C₃alkoxy, C₂-C₄alkoxycarbonyl, C₁-C₃alkylthio, C₁-C₃alkylsulfinyl, C₁-C₃alkylsulfonyl or phenyl, where phenyl for its part may be substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃ alkoxy, C₁-C₃haloalkoxy, halogen, cyano or nitro;

Reg is hydrogen or C₁-C₆alkyl;

 R_{61} is C_1 - C_6 alkyl or C_1 - C_6 alkoxy;

R₅₅, R₅₃, R₅₇, R₅₈, R₇₉ and R₈₁ independently of one another are hydrogen or C₁-C₄alkyl; R_{56} , R_{54} , R_{80} and R_{82} independently of one another are hydrogen, C_1 - C_{12} alkyl, hydroxyl, C_1 -C₁₂alkoxy, C₃-C₆alkenyloxy or C₃-C₆alkynyloxy; or R₅₃ and R₅₄ together or R₅₅ and R₅₆ together or R₇₉ and R₈₀ together or R₈₁ and R₈₂ together are pyrrolidino, piperidino, morpholino, thiomorpholino, which may be mono- or polysubstituted by methyl groups; R₂₉ is hydrogen, C₁-C₆alkyl, C₁-C₄alkylcarbonyl, C₁-C₄alkoxycarbonyl, (C₁-C₄alkyl)NHCO, phenylaminocarbonyl, benzylaminocarbonyl or (C₁-C₄alkyl)₂NCO, where the phenyl and benzyl groups for their part may each be substituted by C1-C4alkyl, C1-C4haioalkyl, C1-C4 alkoxy, C₁-C₄haloalkoxy, C₁-C₄alkylcarbonyi, C₁-C₄alkoxycarbonyi, C₁-C₄alkylamino, di-C₁-C₄ alkylamino, C₁-C₄alkylthio, C₁-C₄alkylsulfinyl, C₁-C₄alkylsulfonyl, C₁-C₄alkyl-S(O)₂O, C₁-C₄ haloalkylthio, C₁-C₄haloalkylsulfinyl, C₁-C₄haloalkylsulfonyl, C₁-C₄haloalkyl-S(O)₂O, C₁-C₄ alkyl-S(O)₂NH, C₁-C₄alkyl-S(O)₂N(C₁-C₄alkyl), halogen, nitro or cyano; or is the group Q4

- 134 -

in which

R₃₀ is hydroxyl, O'M*, halogen, cyano, SCN, OCN, C₁-C₁₂alkoxy, C₁-C₄alkoxycarbonyl-C₁-C₄ alkoxy, C₁-C₁₂alkylthio, C₁-C₁₂alkylsulfinyl, C₁-C₁₂alkylsulfonyl, C₁-C₁₂haloalkylthio, C₁-C₁₂ haloalkylsulfinyl, C_1 - C_{12} haloalkylsulfonyl, C_1 - C_6 alkoxy- C_1 - C_6 alkylthio, C_1 - C_6 alkoxy- C_1 - C_6 alkylsulfinyl, C₁-C₆alkoxy-C₁-C₆alkylsulfonyl, C₂-C₁₂alkenylthio, C₂-C₁₂alkenylsulfinyl, C₂-C₁₂ alkenvisulfonyl, C2-C12alkynylthio, C2-C12alkynylsulfinyl, C2-C12alkynylsulfonyl, C2-C12 haloalkenylthio, C_2 - C_{12} haloalkenylsulfinyl, C_2 - C_{12} haloalkenylsulfonyl, C_1 - C_4 alkoxycarbonyl-C1-C4alkylthio, C1-C4alkoxycarbonyl-C1-C4alkylsulfinyl, C1-C4alkoxycarbonyl-C1- C_4 alkylsuifonyl, $(C_1-C_4$ alkoxy)₂P(O)O, C_1-C_4 alkyl- $(C_1-C_4$ alkoxy)P(O)O, $H(C_1-C_4)$ C₄alkoxy)P(O)O,

R62R63N, R63R84NNH-, R64R65NC(O)O-, R65R66NC(O)NH-, C1-C4alkyl-S(O)2NR66, C1-C4 haloalkyl-S(O)₂NR₆₇, C₁-C₄alkyl-S(O)₂O, C₁-C₄haloalkyl-S(O)₂O, C₁-C₁₈alkylcarbonyloxy, where the alkyl group may be substituted by halogen, C1-C6alkoxy, C1-C6alkylthio or cyano, C₂-C₁₈alkenylcarbonyloxy, C₂-C₁₈alkynylcarbonyloxy, C₃-C₆cycloalkylcarbonyloxy, C₁-C₁₂ alkoxycarbonyloxy, C₁-C₁₂alkylthiocarbonyloxy, C₁-C₁₂alkylthiocarbamoyl, C₁-C₆alkyl-NH(CS)N(C₁-C₆alkyl)-NH-, di-C₁-C₆alkyl-N(CS)N(C₁-C₆alkyl)-NH-, benzyloxy, benzylthio, benzylsulfinyl, benzylsulfonyl, phenoxy, phenylthio, phenylsulfinyl, phenylsulfonyl, phenylsulfonyloxy or benzoyloxy, where the phenyl groups for their part may each be substituted by C₁-C₄alkyl, C₁-C₄haioalkyl, C₁-C₄aikoxy, C₁-C₄haioalkoxy, C₁-C₄alkylcarbonyl, C1-C4alkoxycarbonyl, C1-C4alkylamino, di-C1-C4alkylamino, C1-C4alkylthio, C1-C4alkylsulfinyl, C1-C4alkylsulfonyl, C1-C4alkyl-S(O)2O, C1-C4haloalkylthio, C1-C4haloalkylsulfinyl, C1-C4 haloalkylsulfonyl, C1-C4haloalkyl-S(O)2O, C1-C4alkyl-S(O)2NH, C1-C4alkyl-S(O)2N(C1-C4 alkyl), halogen, nitro or cyano,

or a group Ar₁₆-thio, Ar₁₇-sulfinyl, Ar₁₈-sulfonyl, -OCO-Ar₁₉ or NH-Ar₂₀ in which Ar₁₆, Ar₁₇, Ar₁₈, Ar19 and Ar20 independently of one another are a five- to ten-membered monocyclic or fused bicyclic ring system which may be aromatic or partially saturated and may contain 1 to 4 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur, and in

PCT/EP99/06761 WO 00/15615

which each ring system may not contain more than 2 oxygen atoms and not more than two sulfur atoms, and in which the ring system for its part may be mono-, di- or trisubstituted by C₁-C₆aikyl, C₁-C₆haloaikyl, C₃-C₆aikenyl, C₃-C₆haloaikenyl, C₃-C₆haloaikynyl, C₁-C₆alkoxy, C₁-C₆haloalkoxy, C₃-C₆alkenyloxy, C₃-C₆alkynyloxy, mercapto, C₁-C₆alkylthio, C₁-C₆haloalkylthio, C₃-C₆alkenylthio, C₃-C₆haloalkenylthio, C₃-C₆alkynylthio, C₂-C₅ alkoxyalkylthio, C₃-C₅acetylalkylthio, C₃-C₅alkoxycarbonylalkylthio, C₂-C₄cyanoalkylthio, C₁-Calkylsulfinyl, C1-Cahaloalkylsulfinyl, C1-Calkylsulfonyl, C3-C6haloalkylsulfonyl, aminosulfonyl, C₁-C₂alkylaminosulfonyl, C₂-C₄dialkylaminosulfonyl, C₁-C₃alkylene-R₆₈, NR₆₉R₇₀, halogen, cyano, nitro, phenyl and benzylthio, where phenyl and benzylthio for their part may be substituted on the phenyl ring by C1-C3alkyl, C1-C3haloalkyl, C1-C3alkoxy, C1-C₃haloalkoxy, halogen, cyano or nitro, and where substituents on the nitrogen in the heterocyclic ring are different from halogen;

Res is C1-C3alkoxy, C2-C4alkoxycarbonyl, C1-C3alkylthio, C1-C3alkylsulfinyl, C1-C3alkylsulfonyl or phenyl, where phenyl for its part may be substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃ alkoxy, C₁-C₃haloalkoxy, halogen, cyano or nitro;

R₇₀ is hydrogen or C₁-C₆alkyl;

 R_{61} is C_1 - C_6 alkyl or C_1 - C_6 alkoxy;

R₈₄, R₆₂, R₆₆, R₆₇, R₈₃ and R₈₅ independently of one another are hydrogen or C₁-C₄alkyl; R₆₅, R₆₃, R₈₄ and R₈₆ independently of one another are hydrogen, C₁-C₁₂alkyl, hydroxyl, C₁-C₁₂alkoxy, C₃-C₆alkenyloxy or C₃-C₆alkynyloxy; or R₆₂ and R₆₃ together or R₆₄ and R₆₅ together or R₈₃ and R₈₄ together or R₈₅ and R₈₆ together are pyrrolidino, piperidino, morpholino, thiomorpholino, which may be mono- or polysubstituted by methyl groups; R₃₃ and R₃₄ independently of one another are hydrogen, C₁-C₄alkyi, C₂-C₆alkenyi, C₂-C₆ alkynyl, C₁-C₄alkoxycarbonyl, C₁-C₆alkylthio, C₁-C₆alkylsulfinyl, C₁-C₆alkylsulfonyl, C₁-C₄ alkyl-NHS(0)₂, C_1 - C_4 haloalkyl, -NH- C_1 - C_4 alkyl, -N(C_1 - C_4 alkyl)₂, C_1 - C_6 alkoxy or phenyl, which for its part may be substituted by C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy, C₁-C₄haloalkoxy, C₁-C₄alkylearbonyl, C₁-C₄alkoxycarbonyl, amino, C₁-C₄alkylamino, di-C₁-C₄alkylamino, C₁-C₆ alkylthio, C1-C6alkylsulfinyl, C1-C6alkylsulfonyl, C1-C4alkyl-S(O)2O, C1-C4haloalkylthio, C1-C4 haloalkyisulfinyl, C₁-C₄haloalkylsulfonyl, C₁-C₄haloalkyi-S(O)₂O, C₁-C₄alkyl-S(O)₂NH, C₁-C₄ alkyl-S(O)₂N(C₁-C₄alkyl), halogen, nitro, COOH or cyano; or R₃₃ and R₃₄ together form a C₂-Csalkylene bridge; and

R₃₅ is hydrogen, C₁-C₆alkyl, C₃-C₆alkenyl, C₃-C₆alkynyl or benzyl, which for its part may be substituted by halogen, methyl or methoxy, or is C₁-C₄alkoxycarbonyl or phenyl, which for its part may be substituted by C₁-C₄alkyi, C₁-C₄haloalkyi, C₁-C₄alkoxy, C₁-C₄haloalkoxy, C₁-C₄

alkylcarbonyl, C_1 - C_4 alkoxycarbonyl, amino, C_1 - C_4 alkylamino, di- C_1 - C_4 alkylamino, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkylsulfinyl, C_1 - C_4 haloalkylsulfonyl, C_1 - C_4 haloalkylsulfonyl, C_1 - C_4 haloalkylsulfonyl, C_1 - C_4 haloalkyl- $S(O)_2O$, C_1 - C_4 alkyl- $S(O)_2N(C_1$ - C_4 alkyl), halogen, nitro, COOH or cyano; or is the group Q_5

in which

Z is S, SO or SO₂;

Rot is hydrogen, C1-C8alkyl, C1-C8alkyl substituted by halogen, C1-C4alkoxy, C1-C4alkylthio, C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkylsulfinyl, - CO_2 R $_{02}$, - COR_{03} , - $COSR_{04}$, - NR_{05} R $_{06}$, CONR $_{036}$ R $_{037}$ or phenyl, which for its part may be substituted by C1-C4alkyl, C1-C6haloalkyl, C1-C4alkoxy, C1-C₄haloalkoxy, C₂-C₅alkenyl, C₃-C₅alkynyl, C₃-C₅alkenyloxy, C₃-C₅alkynyloxy, halogen, nitro, cyano, -COOH, COOC₁-C₄alkyl, COOphenyl, C₁-C₄alkoxy, phenoxy, (C₁-C₄alkoxy)-C₁-C₄ alkyl, (C1-C4alkylthio)-C1-C4alkyl, (C1-C4alkylsulfinyl)-C1-C4alkyl, (C1-C4alkylsulfonyl)-C1-C4 alkyl, NHSO₂-C₁-C₄alkyl, NHSO₂-phenyl, N(C₁-C₆alkyl)SO₂-C₁-C₄alkyl, N(C₁-C₆alkyl)SO₂phenyl, N(C2-C6alkenyl)SO2-C1-C4alkyl, N(C2-C6alkenyl)SO2-phenyl, N(C3-C6alkynyl)SO2-C1-C4alkyl, N(C3-C6alkenyl)SO2-C1-C4alkyl, N(C3-C6alkenyl)SO2-C1-C4alkyl, N(C3-C6alkenyl)SO3-phenyl, N(C3-C6alkenyl)SO3-phenyl, N(C3-C6alkyl)SO3-phenyl, N(C3-C6a C4alkyl, N(C3-C6alkynyl)SO2-phenyl, N(C3-C7cycloalkyl)SO2-C1-C4alkyl, N(C3-C7 cycloalkyl)SO₂-phenyl, N(phenyl)SO₂-C₁-C₄alkyl, N(phenyl)SO₂-phenyl, OSO₂-C₁-C₄alkyl, CONR₂₅R₂₆, OSO₂-C₁-C₄haloalkyl, OSO₂-phenyl, C₁-C₄alkylthio, C₁-C₄haloalkylthio, phenyithio, C1-C4alkylsulfonyl, C1-C4haloalkylsulfonyl, phenyisulfonyl, C1-C4alkylsulfinyl, C1-C4alkylsulfinyl, C1-C4alkylsulfinyl, C1-C4alkylsulfonyl, C1-C4alkylsulf C₄haloalkylsulfinyl, phenylsulfinyl, C₁-C₄alkylene-phenyl or -NR₀₁₅CO₂R₀₂₇; or R₀₁ is C₂-C₈alkenyl or C₂-C₈alkenyl substituted by halogen, C₁-C₄alkoxy, C₁-C₄alkylthio, $C_1-C_4 \\ alkylsulfonyl, \ C_1-C_4 \\ alkylsulfinyl, \ -CONR_{032}\\ R_{033}, \ cyano, \ nitro, \ -CHO, \ -CO_2\\ R_{038}, \ -COR_{039}, \ -COR_{039}\\ R_{038}, \$ -COS-C₁-C₄alkyl, -NR₀₃₄R₀₃₅ or phenyl which for its part may be substituted by C₁-C₄alkyl, C1-C6haioalkyl, C1-C4alkoxy, C1-C4haloalkoxy, C2-C6alkenyl, C3-C6alkynyl, C3-C6alkenyloxy, C₃-C₈alkynyloxy, halogen, nitro, cyano, -COOH, COOC₁-C₄alkyi, COOphenyl, C₁-C₄alkoxy, phenoxy, (C₁-C₄alkoxy)-C₁-C₄alkyl, (C₁-C₄alkyl, (C₁-C₄alky (C₁-C₄alkylsuifonyl)-C₁-C₄alkyl, NHSO₂-C₁-C₄alkyl, NHSO₂-phenyl, N(C₁-C₀alkyl)SO₂-C₁-C₄ alkyl, $N(C_1-C_6alkyl)SO_2$ -phenyl, $N(C_2-C_6alkenyl)SO_2-C_1-C_4alkyl$, $N(C_2-C_6alkenyl)SO_2$ -phenyl, $N(C_3-C_6alkynyl)\\SO_2-C_1-C_4alkyl,\ N(C_3-C_6alkynyl)\\SO_2-phenyl,\ N(C_3-C_7cycloalkyl)\\SO_2-C_1-C_4alkyl,\ N(C_3-C_6alkynyl)\\SO_2-C_1-C_4alkyl,\ N(C_3-C_6alkynyl)\\SO_2-C_1-C_4alk$ alkyl, N(C₃-C₇cycloaikyi)SO₂-phenyl, N(phenyl)SO₂-C₁-C₄alkyl, N(phenyl)SO₂-phenyl, OSO₂-

C1-C4aikyl, CONR040R041, OSO2-C1-C4haloalkyl, OSO2-phenyl, C1-C4alkylthio, C1-C4 haloalkylthio, phenylthio, C₁-C₄alkylsulfonyl, C₁-C₄haloalkylsulfonyl, phenylsulfonyl, C₁-C₄ alkylsulfinyl, C₁-C₄haloalkylsulfinyl, phenylsulfinyl, C₁-C₄alkylene-phenyl or -NR₀₄₃CO₂R₀₄₂; or R₀₁ is C₃-C₆alkynyl or C₃-C₆alkynyl substituted by halogen, C₁-C₄haloalkyl, cyano, -CO₂R₀₄₄ or phenyl, which for its part may be substituted by C₁-C₄alkyl, C₁-C₆haloalkyl, C₁-C₄ alkoxy, C₁-C₄haloaikoxy, C₂-C₀alkenyl, C₃-C₀alkynyl, C₃-C₀alkenyloxy, C₃-C₀alkynyloxy, halogen, nitro, cyano, -COOH, COOC1-C4alkyl, COOphenyl, C1-C4alkoxy, phenoxy, (C1-C4 alkoxy)-C₁-C₄alkyl, (C₁-C₄alkylthio)-C₁-C₄alkyl, (C₁-C₄alkyisulfinyl)-C₁-C₄alkyl, (C₁-C₄ alkylsulfonyl)- C_1 - C_4 alkyl, NHSO₂- C_1 - C_4 alkyl, NHSO₂-phenyl, N(C_1 - C_6 alkyl)SO₂- C_1 - C_4 alkyl, N(C₁-C₆alkyl)SO₂-phenyl, N(C₂-C₆alkenyl)SO₂-C₁-C₄alkyl, N(C₂-C₆alkenyl)SO₂-phenyl, N(C₃-Cealkynyl)SO2-C1-C4alkyl, N(C3-Cealkynyl)SO2-phenyl, N(C3-C7cycloalkyl)SO2-C1-C4alkyl, N(C₃-C₇cycloalkyl)SO₂-phenyl, N(phenyl)SO₂-C₁-C₄alkyl, N(phenyl)SO₂-phenyl, OSO₂-C₁-C₄ alkyl, CONR₀₂₈R₀₂₉, OSO₂-C₁-C₄haloalkyl, OSO₂-phenyl, C₁-C₄alkylthio, C₁-C₄haloalkylthio, phenylthio, C₁-C₄alkylsulfonyl, C₁-C₄haloalkylsulfonyl, phenylsulfonyl, C₁-C₄alkylsulfinyl, C₁-C₄haloalkylsulfinyl, phenylsulfinyl, C₁-C₄alkylene-phenyl or -NR₀₃₁CO₂R₀₃₀; or R₀₁ is C₃-C₇cycloalkyl, C₃-C₇cycloalkyl substituted by C₁-C₄alkyl, C₁-C₄alkoxy, C₁-C₄ alkylthio, C1-C4alkylsulfinyl, C1-C4alkylsulfonyl or phenyl, which for its part may be substituted by halogen, nitro, cyano, C1-C4alkoxy, C1-C4haloalkoxy, C1-C4alkylthio, C1-C4haloalkylthio, C1-C4alkyl and C1-C4haloalkyl; or Ro1 is C1-C4alkylene-C3-C7cycloalkyl, phenyl, or phenyl which is substituted by C1-C4alkyl, C₁-C₆haloalkyl, C₁-C₄alkoxy, C₁-C₄haloalkoxy, C₂-C₆alkenyl, C₃-C₆alkynyl, C₃-C₆alkenyloxy, C₃-C₆alkynyloxy, halogen, nitro, cyano, -COOH, COOC₁-C₄alkyl, COOphenyl, C₁-C₄alkoxy, phenoxy, (C₁-C₄alkoxy)-C₁-C₄alkyl, (C₁-C₄alkyl, (C₁-C₄alkyl, (C₁-C₄alkyl, (C₁-C₄alkyl, (C₁-C₄alkyl, (C₁-C₄alkyl))-C₁-C₄alkyl, (C₁-C₄aikylsuifonyl)-C₁-C₄aikyl, NHSO₂-C₁-C₄aikyl, NHSO₂-phenyl, N(C₁-C₀aikyl)SO₂-C₁-C₄ alkyl, N(C1-C6alkyl)SO2-phenyl, N(C2-C6alkenyl)SO2-C1-C4alkyl, N(C2-C6alkenyl)SO2-phenyl, N(C₃-C₆aikynyl)SO₂-C₁-C₄aikyl, N(C₃-C₆aikynyl)SO₂-phenyl, N(C₃-C₇cycloaikyl)SO₂-C₁-C₄ alkyl, N(C₃-C₇cycloalkyl)SO₂-phenyl, N(phenyl)SO₂-C₁-C₄alkyl, N(phenyl)SO₂-phenyl, OSO₂-C1-C4alkyl, CONR045R046, OSO2-C1-C4haloalkyl, OSO2-phenyl, C1-C4alkylthio, C1-C4 haloalkylthio, phenylthio, C₁-C₄alkylsulfonyl, C₁-C₄haioalkylsulfonyl, phenylsulfonyl, C₁-C₄ alkylsulfinyl, C1-C4hatoalkylsulfinyl, phenylsulfinyl, or -NR048CO2R647; or Ro1 is C1-C4alkylene-phenyl, COR07 or 4-6-membered heterocyclyl; Ro2, Ro38, Ro44 and Ro66 independently of one another are hydrogen, C₁-C4alkyl, phenyl, or phenyl which is substituted by C1-C4alkyl, C1-C6haloalkyl, C1-C4alkoxy, C1-C4haloalkoxy, C2-Cealkenyl, Ca-Cealkynyl, Ca-Cealkenyloxy, Ca-Cealkynyloxy, halogen, nitro, cyano, -COOH,

 $\label{eq:cooc} $$COOC_1-C_4alkyl, COOphenyl, C_1-C_4alkoxy, phenoxy, (C_1-C_4alkoxy)-C_1-C_4alkyl, (C_1-C_4alkyl, (C_1-C_4alkyl, (C_1-C_4alkyl, (C_1-C_4alkyl, NHSO_2-C_1-C_4alkyl, (C_1-C_4alkyl, NHSO_2-C_1-C_4alkyl, NHSO_2-phenyl, N(C_1-C_6alkyl)SO_2-phenyl, N(C_1-C_6alkyl)SO_2-phenyl, N(C_2-C_6alkenyl)SO_2-phenyl, N(C_3-C_6alkynyl)SO_2-C_1-C_4alkyl, N(C_3-C_6alkynyl)SO_2-phenyl, N(C_3-C_7cycloalkyl)SO_2-phenyl, N(C_3-C_7cycloalkyl)SO_2-phenyl, N(C_3-C_7cycloalkyl)SO_2-phenyl, N(phenyl)SO_2-C_1-C_4alkyl, N(phenyl)SO_2-phenyl, OSO_2-C_1-C_4alkyl, CONR_049R_050, OSO_2-C_1-C_4alkyl, OSO_2-phenyl, C_1-C_4alkyl, OSO_2-phenyl, C_1-C_4alkyl, OSO_2-C_1-C_4alkyl, OSO_2-phenyl, C_1-C_4alkyl, OSO_2-phenyl, OSO_2-phenyl, C_1-C_4alkyl, OSO_2-phenyl, OSO_2-ph$

 R_{03} , R_{039} and R_{067} independently of one another are C_1 - C_4 alkyl, phenyl or phenyl which is substituted by C_1 - C_4 alkyl, C_1 - C_6 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_2 - C_6 alkenyl, C_3 - C_6 alkynyloxy, halogen, nitro, cyano, -COOH, COOC₁- C_4 alkyl, COOphenyl, C_1 - C_4 alkoxy, phenoxy, $(C_1$ - C_4 alkoxy)- C_1 - C_4 alkyl, $(C_1$ - C_4 alkylsulfinyl)- C_1 - C_4 alkyl, $(C_1$ - C_4 alkylsulfonyl)- C_1 - C_4 alkyl, NHSO₂- C_1 - C_4 alkyl, NHSO₂-phenyl, N(C_1 - C_6 alkyl)SO₂- C_1 - C_4 alkyl, N(C_1 - C_6 alkyl)SO₂-phenyl, N(C_2 - C_6 alkenyl)SO₂- C_1 - C_4 alkyl, N(C_3 - C_6 alkynyl)SO₂- C_1 - C_4 alkyl, N(C_3 - C_6 alkynyl)SO₂-phenyl, N(C_3 - C_6 alkynyl)SO₂-phenyl, N(C_3 - C_7 cycloalkyl)SO₂-phenyl, N(phenyl)SO₂- C_1 - C_4 alkyl, N(C_3 - C_7 cycloalkyl)SO₂-phenyl, N(C_3 - C_6 alkyll, N(C_3 - C_7 cycloalkyl)SO₂-phenyl, N(C_3 - C_7 cycloalkyl)SO₂-phenyl, N(C_3 - C_7 cycloalkyl)SO₂-phenyl, N(C_3 - C_7 cycloalkyl, N(C_3 - C_7 cycloalkyl)SO₂-phenyl, N(C_3 - C_7 cycloalkyl, N(C_3 - C_7 cycl

Ro4 is C1-C4alkyl;

Ros is hydrogen, C₁-C₄alkyl, C₂-C₆alkenyl, C₃-C₆alkynyl, C₃-C₇cycloalkyl, phenyl or phenyl which is substituted by C₁-C₄alkyl, C₁-C₆haloalkyl, C₁-C₄alkoxy, C₁-C₄haloalkoxy, C₂-C₆ alkenyl, C₃-C₆alkynyl, C₃-C₆alkynyloxy, halogen, nitro, cyano, -COOH, COOC₁-C₄alkyl, COOphenyl, C₁-C₄alkoxy, phenoxy, (C₁-C₄alkoxy)-C₁-C₄alkyl, (C₁-C₄alkyl, (C₁-C₄alkyl, C₁-C₄alkyl, (C₁-C₄alkyl, C₁-C₄alkyl, NHSO₂-C₁-C₄alkyl, NHSO₂-phenyl, N(C₁-C₆alkyl)SO₂-phenyl, N(C₁-C₆alkyl)SO₂-phenyl, N(C₂-C₆alkenyl)SO₂-C₁-C₄alkyl, N(C₃-C₆alkynyl)SO₂-henyl, N(C₃-C₆alkynyl)SO₂-H, N(C₃-C₆alkynyl)SO₂-C₁-C₄alkyl, N(C₃-C₇cycloalkyl)SO₂-phenyl, N(C₃-C₇cycloalkyl)SO₂-C₁-C₄alkyl, N(C₃-C₇cycloalkyl)SO₂-phenyl, N(C₃-C₇cycloalkyl)SO₂-C₁-C₄alkyl, N(C₃-C₇cycloalkyl)SO₂-phenyl, N(phenyl)SO₂-C₁-C₄alkyl, N(C₃-C₇cycloalkyl, OSO₂-phenyl, N(phenyl)SO₂-C₁-C₄alkyl, OSO₂-phenyl, C₁-C₄alkylthio, C₁-C₄haloalkylthio, phenylthio, C₁-C₄alkylsulfonyl, C₁-C₄haloalkylsulfonyl, C₁-C₄haloalkylsulfonyl,

phenylsulfonyl, C₁-C₄alkylsulfinyl, C₁-C₄haloalkylsulfinyl, phenylsulfinyl, C₁-C₄alkylenephenyl or -NR₀₅₀CO₂R₀₅₉;

Ros is hydrogen, C₁-C₄alkyl, C₂-C₆alkenyl, C₃-C₆alkynyl, C₃-C₇cycloalkyl, phenyl or phenyl which is substituted by C₁-C₄alkyl, C₁-C₆haloalkyl, C₁-C₄alkoxy, C₁-C₄haloalkoxy, C₂-C₆ alkenyl, C₃-C₆alkynyl, C₃-C₆alkynyloxy, C₃-C₆alkynyloxy, halogen, nitro, cyano, -COOH, COOC₁-C₄alkyl, COOphenyl, C₁-C₄alkoxy, phenoxy, (C₁-C₄alkoxy)-C₁-C₄alkyl, (C₁-C₄alkyl, (C₁-C₄alkyl, (C₁-C₄alkyl, NHSO₂-C₁-C₄alkyl, NHSO₂-phenyl, N(C₁-C₆alkyl)SO₂-phenyl, N(C₁-C₆alkyl)SO₂-phenyl, N(C₂-C₆alkynyl)SO₂-phenyl, N(C₃-C₆alkynyl)SO₂-phenyl, N(C₃-C₆alkynyl)SO₂-phenyl, N(C₃-C₆alkynyl)SO₂-phenyl, N(C₃-C₆alkynyl)SO₂-phenyl, N(C₃-C₇cycloalkyl), N(C₃-C₇cycloalkyl)SO₂-phenyl, N(C₁-C₄alkyl, N(C₃-C₇cycloalkyl)SO₂-phenyl, N(C₁-C₄alkyl, N(C₃-C₇cycloalkyl)SO₂-phenyl, N(C₁-C₄alkyl, N(C₃-C₇cycloalkyl)SO₂-phenyl, N(C₁-C₄alkyl, N(C₃-C₇cycloalkyl)SO₂-phenyl, N(C₁-C₄alkyl, CONR₀₆₁R₀₆₂, OSO₂-C₁-C₄alkyl, OSO₂-phenyl, C₁-C₄alkylthio, C₁-C₄alkylsulfinyl, C₁-C₄alkylsulfinyl, C₁-C₄alkylsulfinyl, Phenylsulfinyl, C₁-C₄alkylene-phenyl or -NR₀₆₄CO₂R₀₆₃,

R₀₇ is phenyl, substituted phenyl, C₁-C₄alkyl, C₁-C₄alkoxy or -NR₀₈R₀₉;

R₀₈ and R₀₉ independently of one another are C₁-C₄alkyl, phenyl or phenyl which is substituted by halogen, nitro, cyano, C₁-C₄alkyl, C₁-C₄alkoxy, C₁-C₄thioalkyl, -CO₂R₀₆₆, -COR₀₆₇, C₁-C₄alkylsulfonyl, C₁-C₄alkylsulfinyl, C₁-C₄haloalkyl; or R₀₈ and R₀₉ together form a 5-6-membered ring which may be interrupted by oxygen, NR₀₆₅ or S,

 R_{015} , R_{031} , R_{043} , R_{048} , R_{052} , R_{056} , R_{060} and R_{064} independently of one another are hydrogen, C_1 - C_4 alkyl, C_2 - C_6 alkynyl or C_3 - C_7 cycloalkyl;

Ro25, Ro26, Ro27, Ro28, Ro29, Ro30, Ro32, Ro33, Ro34, Ro35, Ro36, Ro37, Ro40, Ro41, Ro42, Ro45, Ro46, Ro47, Ro49, Ro50, Ro53, Ro54, Ro55, Ro57, Ro56, Ro59, Ro51, Ro62, Ro63, Ro65 and Ro70 independently of one another are hydrogen, C1-C4alkyl, C2-C6alkenyl, C3-C6alkynyl, C3-C7cycloalkyl, phenyl, or phenyl which is substituted by halogen, nitro, cyano, C1-C4alkoxy, C1-C4haloalkylthio, C1-C4haloalkylthio, C1-C4haloalkyl or C1-C4haloalkyl; and R36 is C1-C4alkyl, C1-C4haloalkyl, C3-C6alkenyl, C3-C6alkynyl, C3-C6alkynyl, C3-C6alkynyl, C3-C6alkynyl, C3-C6alkynyl, C3-C6alkynyl, C3-C6alkynyl, C3-C6alkyl, C1-C4haloalkyl, C3-C6haloalkenyl, C3-C6alkynyl, C3-C6alkynyl, C1-C4alkyl, C1-C4haloalkyl, C3-C6alkyl, C1-C4alkyl, C1-C4haloalkyl, C3-C6alkynyl, C3-C6alkynyl, C3-C6alkynyl, C1-C4alkylsulfinyl, C1-C4alkylsulfinyl, C1-C4alkylsulfinyl, C1-C4alkylsulfinyl, C1-C4haloalkylsulfinyl, C1-C4haloalkyl-S(O)2O or phenyl which for its part may be substituted by halogen, C1-C4alkyl, C1-C4haloalkyl, C3-C6alkenyl, C3-C6alkynyl,

cyano, nitro or COOH; and agronomically acceptable salts M⁺ and all stereoisomers and tautomers of the compounds of the formula I.

2. A compound of the formula IIa

in which Qa is hydroxyl, halogen, cyano or a group -CH2(CO)R36 or

R_b is hydrogen, C₁-C₄alkyl or halogen;

R, is trifluoromethyl, difluorochloromethyl, pentafluoroethyl, heptafluoro-n-propyl or trichloromethyl;

R_a is C₁-C₃alkyl, C₁-C₃haloalkyl, C₃.C₄cycloalkyl, C₁-C₂alkoxy-C₁-C₄alkyl, C₁-C₂ alkythiomethyl, hydroxyl, halogen, cyano, C₁-C₃alkoxy, C₁-C₃haloalkoxy, allyloxy, propargyloxy, C₁-C₃alkylthio, C₁-C₃alkylsuifinyl, C₁-C₃alkylsuifonyloxy, and R₀₁ and R₃₆ are defined as under group Q₅ of the formula I, except for the compounds 2,6-bis-trifluoromethylnicotinic acid, 2,6-bis-trifluoromethyl-5-methoxynicotinic acid and 2hydroxy-6-trifluoromethylnicotinic acid.

3. A compound of the formula lib

in which Qb is hydroxyl, halogen, cyano, or a group -CH2(CO)R89 or

R₉₉ is C₁-C₄alkyl, C₁-C₄haloalkyl, C₃-C₄cycloalkyl or C₁-C₄alkoxy;
R_f is trifluoromethyl, difluorochloromethyl, pentafluoroethyl or heptafluoro-n-propyl; and R_C is C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₂alkoxymethyl, C₁-C₂alkylthiomethyl, hydroxyl, halogen, cyano, C₁-C₃alkoxy, C₁-C₃haloalkoxy, allyloxy, propargyloxy, C₁-C₃alkylthio, C₁-C₃alkylsulfonyl or C₁-C₃alkylsulfonyloxy and R₀₁ is as defined under formula I.

- 4. A herbicidal and plant-growth-inhibiting composition, which contains a herbicidally effective amount of a compound of the formula I on an inert carrier.
- 5. A method for controlling undesirable plant growth, wherein a herbicidally effective amount of an active compound of the formula I or a composition which contains this active compound is applied to the plants or their habitat.
- 6. A method for inhibiting plant growth, wherein a herbicidally effective amount of an active compound of the formula I or a composition which contains this active compound is applied to the plants or their habitat.
- 7. The use of a composition according to claim 4 for controlling undesirable plant growth.

INTERNATIONAL SEARCH REPORT

Intex onal Application No PCT/EP 99/06761

		1	721 93/00/01
	CO7D213/61 CO7D213/50 CO7D213/ CO7D401/12 CO7D417/12 CO7D40 CO7D417/14 CO7D413/04 A01N43	1/04 CO7D413/06 /40	C07D405/12 C07D413/14
	to International Patent Classification (IPC) or to both national classi	nestion and IPC	····
	SEARCHED Ocumentation searched (classification system followed by classific	ation symbols)	
	CO7D A01N	•	
Documents	tion searched other than minimum documentation to the extent tha	t such documents are included in	the fields searched
Electronic d	ists base consulted during the international search (name of data)	base and, where practical, search	terms used)
C. DOCUM	ENTS CONSIDERED TO SE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the	refevant passages	Refevent to claim No.
A	WO 97 46530 A (DU PONT ;TSENG CI (US); PATEL KANU MAGANBHAI (US) MOR) 11 December 1997 (1997-12- cited in the application claims 1,16,17; examples	RORER	1,4-7
A	WO 97 34485 A (CIBA GEIGY AG ;RU (CH)) 25 September 1997 (1997-09 cited in the application examples		1,4-7
A	GB 2 305 174 A (ZENECA LTD) 2 April 1997 (1997-04-02) example 11		2
A	US 4 747 871 A (MONSANTO COMPAN) 31 May 1988 (1988-05-31) claim 1	()	2
Funt	her documents are listed in the continuation of box C.	Patent femily member	are listed in annex.
* Special car	tegories of cited documents :	"T" [oton document to this bad of	Bouths, Intermedianal films date
	ent defining the general state of the art which is not lered to be of particular relevance	"T" (ater document published a or priority date and not in a cited to understand the pri	nor me anemational rang date conflict with the application but inclpie or theory underlying the
"E" earlier of fling d	locument but published on or after the international late	"X" document of particular refer	vance; the claimed invention
"L" docume	int which may throw doubte on paority claim(s) or is divided to establish the publication date of another		ei or cannot be considered to when the document is taken alone
citation	n or other special reason (as specified) and referring to an oral disclosure, use, exhibition or	cannot be considered to in	varice; the claimed invention tvolve an inventive step when the hione or more other such docu-
	neans ant published prior to the international. Tiling date but aan the priority date claimed.	ments, such combination in the art. "&" document member of the e	ceing obvious to a person skilled
	actual completion of the international search	Date of mailing of the inter	
	December 1999	11/01/2000	·
Name and m	naling address of the ISA	Authorized officer	
	European Patent Office, P.B. 5616 Patentiaan 2 NL – 2280 HV Rijswijk		
	Tel. (+31-70) 340-2040, Tx. 31 551 epo ni. Fax: (+31-70) 340-3015	Bosma, P	

INTERNATIONAL SEARCH REPORT

information on patent family members

Intel 2014 Application No PCT/EP 99/06761

Patent document cited in search report		Publication date		stent family nember(s)	Publication date	
WO	9746530	A	11-12-1997	AU	3297397 A	05-01-1998
				CA	2257196 A	11-12-1997
				£Ρ	0922032 A	16-06-1999
WO	9734485	Α	25-09-1997	AU	1880297 A	10-10-1997
				CA	2243696 A	25-09-1997
				CN	1213272 A	07-04-1999
				EP	0888057 A	07-01-1999
				PL	328661 A	15-02-1999
				ZA	9702224 A	3 0- 07-19 9 8
GB	2305174	A	02-04-1997	NONE	······································	
US	4747871	A	31-05-1988	CA	1317971 A	18-05-1993